10/784,916 8/12/05

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Text Searches (CAPLUS USPATFULL)

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aspartam?

* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

sulfonamid?

FILE 'HOME' ENTERED AT 11:38:04 ON 12 AUG 2005

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COST IN U.S. DOLLARS

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FULL ESTIMATED COST	0.21	0.21

sulfamid?

FILE 'CAPLUS' ENTERED AT 11:38:25 ON 12 AUG 2005
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aspart? (S) phenylalanin?
or
(S) (sulfamid? or sulfonamid?)

FILE COVERS 1907 - 12 Aug 2005 VOL 143 ISS 8
FILE LAST UPDATED: 11 Aug 2005 (20050811/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s aspartam?
L1 3766 ASPARTAM?

=> s sulfonamid?
L2 32454 SULFONAMID?

=> s l1 and L2
L3 6 L1 AND L2

=> d ibib abs kwic

L3 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:396714 CAPLUS
DOCUMENT NUMBER: 138:390970
TITLE: Oral dosage form containing a **sulfonamide** prodrug (parecoxib)
INVENTOR(S): Karim, Aziz; Nema, Sandeep; Ewing, Gary D.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003041705	A1	20030522	WO 2002-US36253		20021112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2466504	AA	20030522	CA 2002-2466504		20021112
US 2003100595	A1	20030529	US 2002-292682		20021112
EP 1446118	A1	20040818	EP 2002-789593		20021112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002014081	A	20040928	BR 2002-14081		20021112
JP 2005509002	T2	20050407	JP 2003-543592		20021112
ZA 2004003328	A	20050413	ZA 2004-3328		20040503
PRIORITY APPLN. INFO.:			US 2001-350596P	P	20011113
			WO 2002-US36253	W	20021112

OTHER SOURCE(S): MARPAT 138:390970

AB A pharmaceutical composition that is substantially free of water comprises at least 1 orally deliverable dosage unit comprising a **sulfonamide** prodrug and, where the prodrug is readily degradable ex vivo, and has the means to inhibit such degradation prior to oral administration. The prodrug is parecoxib or a water-soluble salt, and the composition has the means to inhibit conversion of the parecoxib to valdecoxib. A method of treating or preventing a COX-2-mediated disorder in a subject comprises (a) dissolving at least 1 dosage unit of such a composition in a pharmaceutically acceptable aqueous vehicle to form a solution and (b) orally administering the solution to the subject before substantial precipitation of an insol. matter occurs in the solution Blood plasma concentration of valdecoxib in human subjects was determined in a pharmacokinetic study in 11 healthy adult male subjects. Each subject received each of three treatments, in randomized sequence, treatments being separated by 15 days. The treatments were: single i.v. 20-mg dose of parecoxib, as parecoxib sodium, reconstituted in 1 mL water from a lyophilized powder and administered in a bolus; a single oral 20 mg dose of valdecoxib in the form of an immediate-release valdecoxib tablet, administered with 240 mL water; and a single 20 mg dose of parecoxib, as parecoxib sodium, reconstituted in 50 mL water from a lyophilized powder and administered orally, followed 10 by two 25 mL washes of the container. Max. blood plasma concn. of valdecoxib, when parecoxib was administered orally in accordance with the present invention, was achieved in Tmax 1.22 h than when parecoxib was administered i.v. Furthermore, the max. valdecoxib concn. reached (Cmax 297 ng/mL) was similar to that achieved with either i.v. parecoxib (Cmax 312 ng/mL) or oral valdecoxib (Cmax 284 ng/mL) administration.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Oral dosage form containing a **sulfonamide** prodrug (parecoxib)

AB A pharmaceutical composition that is substantially free of water comprises at least 1 orally deliverable dosage unit comprising a **sulfonamide** prodrug and, where the prodrug is readily degradable ex vivo, and has the means to inhibit such degradation prior to oral administration. The prodrug is parecoxib or a water-soluble salt, and the composition has the means to inhibit conversion of the parecoxib to valdecoxib. A method of treating or preventing a COX-2-mediated disorder in a subject comprises (a) dissolving at least 1 dosage unit of such a composition in a pharmaceutically acceptable aqueous vehicle to form a solution and (b) orally administering the solution to the subject before substantial precipitation of an insol. matter occurs in the solution Blood plasma concentration of valdecoxib in human subjects was determined in a pharmacokinetic study in 11 healthy adult male subjects. Each subject received each of three treatments, in randomized sequence, treatments being separated by 15 days. The treatments were: single i.v. 20-mg dose of parecoxib, as parecoxib sodium, reconstituted in 1 mL water from a lyophilized powder and administered in a bolus; a single oral 20 mg dose of valdecoxib in the form of an immediate-release valdecoxib tablet, administered with 240 mL water; and a single 20 mg dose of parecoxib, as parecoxib sodium, reconstituted in 50 mL water from a lyophilized powder and administered orally, followed 10 by two 25 mL washes of the container. Maximum blood plasma concentration of valdecoxib, when parecoxib was administered orally in accordance with the present invention, was achieved in Tmax 1.22 h than when parecoxib was administered i.v. Furthermore, the maximum valdecoxib concentration reached (Cmax 297 ng/mL) was similar to that achieved with either i.v. parecoxib (Cmax 312 ng/mL) or oral valdecoxib (Cmax 284 ng/mL) administration.

ST oral dosage **sulfonamide** prodrug parecoxib

IT Taste
(modulators; oral dosage form containing **sulfonamide** prodrug (parecoxib))

IT Spices
(nutmeg; oral dosage form containing **sulfonamide** prodrug (parecoxib))

IT Anethum graveolens
Blackberry
Blueberry
Camellia sinensis
Caramel (color)
Cinnamon (spice)
Citrus aurantifolia
Citrus bergamia
Citrus limon
Citrus paradisi
Citrus reticulata
Citrus sinensis
Coffea
Coriandrum sativum
Cranberry
Cuminum cyminum
Drug bioavailability
Eucalyptus
Ficus carica
Flavoring materials
Foeniculum vulgare
Fragaria
Freeze drying
Glycyrrhiza
Human
Humulus
Malt
Mentha piperita
Mentha spicata
Molasses
Odor and Odorous substances
Pimpinella anisum
Prunus
Prunus amygdalus
Prunus armeniaca
Prunus persica
Psidium
Pyrus communis
Raspberry
Ribes nigrum
Rosa
Sweetening agents
Syzygium aromaticum
Theobroma cacao
Vanilla
Vitis vinifera
Wintergreen
Zingiber officinale
(oral dosage form contg. **sulfonamide** prodrug (parecoxib))

IT Carbohydrates, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral dosage form containing **sulfonamide** prodrug (parecoxib))

IT Drug delivery systems
(oral; oral dosage form containing **sulfonamide** prodrug (parecoxib))

IT Drug delivery systems
(powders; oral dosage form containing **sulfonamide** prodrug (parecoxib))

IT **Sulfonamides**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prodrugs; oral dosage form containing **sulfonamide** prodrug (parecoxib))

IT Drug delivery systems
(solns., oral; oral dosage form containing **sulfonamide** prodrug (parecoxib))

IT Drug delivery systems
(tablets, effervescent; oral dosage form containing **sulfonamide** prodrug (parecoxib))

IT Drug delivery systems
(tablets; oral dosage form containing **sulfonamide** prodrug (parecoxib))

IT Citrus reticulata
(tangerine; oral dosage form containing **sulfonamide** prodrug (parecoxib))

IT 329900-75-6, Synthetase, prostaglandin endoperoxide, 2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; oral dosage form containing **sulfonamide** prodrug (parecoxib))

IT 198470-84-7, Parecoxib 198470-85-8, Parecoxib sodium
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral dosage form containing **sulfonamide** prodrug (parecoxib))

IT 50-99-7, Dextrose, biological studies 57-48-7, Fructose, biological studies 57-50-1, Sucrose, biological studies 69-65-8, Mannitol 81-07-2, Saccharin 100-88-9, Cyclamic acid 22839-47-0, **Aspartame** 33665-90-6, Acesulfame 165450-17-9, Neotame 169590-41-4, Deracoxib 169590-42-5, Celecoxib 181695-72-7, Valdecoxib
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral dosage form containing **sulfonamide** prodrug (parecoxib))

=> d ibib abs kwic gi

L3 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:396714 CAPLUS
DOCUMENT NUMBER: 138:390970
TITLE: Oral dosage form containing a **sulfonamide** prodrug (parecoxib)
INVENTOR(S): Karim, Aziz; Nema, Sandeep; Ewing, Gary D.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003041705	A1	20030522	WO 2002-US36253	20021112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2466504	AA	20030522	CA 2002-2466504	20021112
US 2003100595	A1	20030529	US 2002-292682	20021112
EP 1446118	A1	20040818	EP 2002-789593	20021112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014081	A	20040928	BR 2002-14081	20021112
JP 2005509002	T2	20050407	JP 2003-543592	20021112
ZA 2004003328	A	20050413	ZA 2004-3328	20040503

PRIORITY APPLN. INFO.: US 2001-350596P P 20011113
WO 2002-US36253 W 20021112
OTHER SOURCE(S): MARPAT 138:390970
AB A pharmaceutical composition that is substantially free of water comprises at least 1 orally deliverable dosage unit comprising a **sulfonamide** prodrug and, where the prodrug is readily degradable ex vivo, and has the means to inhibit such degradation prior to oral administration. The prodrug is parecoxib or a water-soluble salt, and the composition has the means to inhibit conversion of the parecoxib to valdecoxib. A method of treating or preventing a COX-2-mediated disorder in a subject comprises (a) dissolving at least 1 dosage unit of such a composition in a pharmaceutically acceptable aqueous vehicle to form a solution and (b) orally administering the solution to the subject before substantial precipitation of an insol. matter occurs in the solution Blood plasma concentration of valdecoxib in human subjects was determined in a pharmacokinetic study in 11 healthy adult male subjects. Each subject received each of three treatments, in randomized sequence, treatments being separated by 15 days. The treatments were: single i.v. 20-mg dose of parecoxib, as parecoxib sodium, reconstituted in 1 mL water from a lyophilized powder and administered in a bolus; a single oral 20 mg dose of valdecoxib in the form of an immediate-release valdecoxib tablet, administered with 240 mL water; and a single 20 mg dose of parecoxib, as parecoxib sodium, reconstituted in 50 mL water from a lyophilized powder and administered orally, followed 10 by two 25 mL washes of the container. Max. blood plasma concn. of valdecoxib, when parecoxib was administered orally in accordance with the present invention, was achieved in Tmax 1.22 h than when parecoxib was administered i.v. Furthermore, the max. valdecoxib concn. reached (Cmax 297 ng/mL) was similar to that achieved with either i.v. parecoxib (Cmax 312 ng/mL) or oral valdecoxib (Cmax 284 ng/mL) administration.

L3 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
TI Oral dosage form containing a **sulfonamide** prodrug (parecoxib)
AB A pharmaceutical composition that is substantially free of water comprises at least 1 orally deliverable dosage unit comprising a **sulfonamide** prodrug and, where the prodrug is readily degradable ex vivo, and has the means to inhibit such degradation prior to. . .
ST oral dosage **sulfonamide** prodrug parecoxib
IT Taste
(modulators; oral dosage form containing **sulfonamide** prodrug (parecoxib))
IT Spices
(nutmeg; oral dosage form containing **sulfonamide** prodrug (parecoxib))
IT Anethum graveolens
Blackberry
Blueberry
Camellia sinensis
Caramel (color)
Cinnamon (spice)
Citrus aurantifolia
Citrus bergamia
Citrus limon
Citrus paradisi
Citrus reticulata
Citrus sinensis
Coffea
Coriandrum sativum
Cranberry
Cuminum cyminum
Drug bioavailability
Eucalyptus
Ficus carica
Flavoring materials
Foeniculum vulgare
Fragaria
Freeze drying
Glycyrrhiza
Human
Humulus
Malt
Mentha piperita
Mentha spicata
Molasses
Odor and Odorous substances
Pimpinella anisum
Prunus
Prunus amygdalus
Prunus armeniaca
Prunus persica
Psidium
Pyrus communis
Raspberry
Ribes nigrum
Rosa
Sweetening agents
Syzygium aromaticum
Theobroma cacao
Vanilla
Vitis vinifera
Wintergreen
Zingiber officinale
(oral dosage form contg. **sulfonamide** prodrug (parecoxib))
IT Carbohydrates, biological studies
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral dosage form containing **sulfonamide** prodrug (parecoxib))
IT Drug delivery systems
(oral; oral dosage form containing **sulfonamide** prodrug (parecoxib))
IT Drug delivery systems
(powders; oral dosage form containing **sulfonamide** prodrug (parecoxib))
IT **Sulfonamides**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prodrugs; oral dosage form containing **sulfonamide** prodrug (parecoxib))
IT Drug delivery systems
(solns., oral; oral dosage form containing **sulfonamide** prodrug (parecoxib))
IT Drug delivery systems
(tablets, effervescent; oral dosage form containing **sulfonamide** prodrug (parecoxib))
IT Drug delivery systems
(tablets; oral dosage form containing **sulfonamide** prodrug (parecoxib))
IT Citrus reticulata
(tangerine; oral dosage form containing **sulfonamide** prodrug (parecoxib))
IT 329900-75-6, Synthetase, prostaglandin endoperoxide, 2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; oral dosage form containing **sulfonamide** prodrug (parecoxib))
IT 198470-84-7, Parecoxib 198470-85-8, Parecoxib sodium
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral dosage form containing **sulfonamide** prodrug (parecoxib))
IT 50-99-7, Dextrose, biological studies 57-48-7, Fructose, biological studies 57-50-1, Sucrose, biological studies 69-65-8, Mannitol 81-07-2, Saccharin 100-88-9, Cyclamic acid 22839-47-0, **Aspartame** 33665-90-6, Acesulfame 165450-17-9, Neotame 169590-41-4, Deracoxib 169590-42-5, Celecoxib 181695-72-7, Valdecoxib
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral dosage form containing **sulfonamide** prodrug (parecoxib))
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs kwic 2-6

L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:465794 CAPLUS
DOCUMENT NUMBER: 137:37665
TITLE: Self-emulsifying lipid matrix (SELM) for oral pharmaceuticals
INVENTOR(S): Kuentz, Martin; Roethlisberger, Dieter
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002047663	A1	20020620	WO 2001-EP14437	20011208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2431397	AA	20020620	CA 2001-2431397	20011208
AU 2002016085	A5	20020624	AU 2002-16085	20011208
EP 1349541	A1	20031008	EP 2001-270324	20011208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016121	A	20031014	BR 2001-16121	20011208
JP 2004517837	T2	20040617	JP 2002-549237	20011208
CN 1514720	A	20040721	CN 2001-820608	20011208
US 2002114837	A1	20020822	US 2001-15925	20011210
US 6719996	B2	20040413		
ZA 2003004263	A	20040326	ZA 2003-4263	20030530
PRIORITY APPLN. INFO.:			EP 2000-127414 A	20001214
			WO 2001-EP14437 W	20011208

AB A pharmaceutical composition for oral administration of an active compound showing a bioavailability of 20% or less comprises (by weight) 0.01-15% of an active compound molecularly dissolved in the composition, 30-80% of an edible lipid matrix, and 1-20% of an edible emulsifier, the ratio between the dose weight of the active compound and its solubility in the composition being equal to or greater then 0.6 mL. The high percentage of fat (30-80%) enables to considerably increase the amount of the drug molecularly dispersed in the dosage form, thus allowing to significantly reduce the number of unit doses which must be taken daily by patients. For example, 8 g Cremophor RH 40 were dispersed in 70.08 g of cocoa butter, previously warmed to 70-80°. The temperature of the resulting mixture was then reduced to about 50-60° and 1.4 g of 2-[3,5-bis(trifluoromethyl)phenyl]-N-methyl-N-(6-morpholin-4-yl-4-o-tolylpyridin-3-yl) isobutyramide (I) were dissolved together with 0.02 g vanillin. The temperature of the resulting mixture was further reduced to 40° and 0.5 g **aspartame** were added. Finally, 20 g of milk powder were added at about 35° (upper limit of the melting interval of cocoa butter). The resulting homogeneous mixture was then dosed in molds whereby SELM tablets of 5 g each (corresponding to a volume of about 5 mL) were obtained showing a ratio between the dose weight

L3 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
of the active compd. and its soly. in the compn. of at least 4.67 mL. The use of SELM compn. enabled an increase of the bioavailability of I up to 22% in beagle dogs.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
AB A pharmaceutical composition for oral administration of an active compound showing a bioavailability of 20% or less comprises (by weight) 0.01-15% of an active compound molecularly dissolved in the composition, 30-80% of an edible lipid matrix, and 1-20% of an edible emulsifier, the ratio between the dose weight of the active compound and its solubility in the composition being equal to or greater then 0.6 mL. The high percentage of fat (30-80%) enables to considerably increase the amount of the drug molecularly dispersed in the dosage form, thus allowing to significantly reduce the number of unit doses which must be taken daily by patients. For example, 8 g Cremophor RH 40 were dispersed in 70.08 g of cocoa butter, previously warmed to 70-80°. The temperature of the resulting mixture was then reduced to about 50-60° and 1.4 g of 2-[3,5-bis(trifluoromethyl)phenyl]-N-methyl-N-(6-morpholin-4-yl-4-o-tolylpyridin-3-yl) isobutyramide (I) were dissolved together with 0.02 g vanillin. The temperature of the resulting mixture was further reduced to 40° and 0.5 g **aspartame** were added. Finally, 20 g of milk powder were added at about 35° (upper limit of the melting interval of cocoa butter). The resulting homogeneous mixture was then dosed in molds whereby SELM tablets of 5 g each (corresponding to a volume of about 5 mL) were obtained showing a ratio between the dose weight of the active compound and its solubility in the composition of at least 4.67 mL. The use of SELM composition enabled an increase of the bioavailability of I up to 22% in beagle dogs.
IT **Sulfonamides**
RL: PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(self-emulsifying lipid matrix for oral drug delivery systems)
IT 121-33-5, Vanillin 22839-47-0, **Aspartame**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(self-emulsifying lipid matrix for oral drug delivery systems)

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:429542 CAPLUS
DOCUMENT NUMBER: 137:11003
TITLE: Chondroprotective/restorative compositions containing hyaluronic acid
INVENTOR(S): Pierce, Scott W.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 14 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002068718	A1	20020606	US 2001-967977	20011002
US 6924273	B2	20050802		
PRIORITY APPLN. INFO.:			US 2000-237838P P	20001003

AB An oral composition based on hyaluronic acid or its salts and optionally a therapeutic drug is provided for treating or preventing osteoarthritis, joint effusion, joint inflammation and pain, synovitis, lameness, post-operative arthroscopic surgery, deterioration of proper joint function including joint mobility, the reduction or inhibition of metabolic activity of chondrocytes, the activity of enzymes that degrade cartilage, and the reduction or inhibition of the production of hyaluronic acid in a mammal. Addnl., compns. containing hyaluronic acid, chondroitin sulfate and glucosamine sulfate in a paste formulation are also described which can be administered on their own or can be used as a feed additive for cats and dogs. For example, a composition contained (by weight) glucosamine sulfate 36%, chondroitin sulfate 4%, sodium hyaluronate 0.144%, manganese sulfate 0.144%, ibuprofen 200 mg, powdered sugar 20%, glycerin 0.7%, xanthan gum 0.2%, sodium benzoate 0.7%, citric acid 0.2%, molasses 23.5%, and water 14.4%.
IT Amino acids, biological studies
Castor oil
Cocoa butter
Cod liver oil
Hydrocarbon oils
Kaolin, biological studies
Lanolin
Lecithins
Mineral elements, biological studies
Sulfonamides
Vitamins
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chondroprotective/restorative compns. containing hyaluronic acid for treatment of joint disorders)
IT 50-02-2 50-03-3, Hydrocortisone acetate 50-06-6, Phenobarbital, biological studies 50-13-5, Meperidine hydrochloride 50-21-5, Lactic acid, biological studies 50-23-7, Hydrocortisone 50-24-8, Prednisolone 50-33-9, Phenylbutazone, biological studies 50-78-2, Acetylsalicylic acid 50-78-2D, Acetylsalicylic acid, buffered 50-81-7, L-Ascorbic acid, biological studies 51-42-3, Epinephrine bitartrate 51-98-9, Norethindrone acetate 52-28-8, Codeine phosphate 53-03-2, Prednisone 53-86-1, Indomethacin 54-11-5, Nicotine 54-31-9, Furosemide 55-63-0, Nitroglycerin 56-75-7, Chloramphenicol 56-81-5, Glycerin, biological studies 57-11-4, Stearic acid, biological studies 57-27-2, Morphine, biological studies 57-33-0, Pentobarbital sodium 57-41-0, Phenytoin

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
57-55-6, Propylene glycol, biological studies 57-63-6, Ethinyl estradiol 58-08-2, Caffeine, biological studies 58-55-9, Theophylline, biological studies 58-85-5, Biotin 58-93-5, Hydrochlorothiazide 59-30-3, Folic acid, biological studies 59-43-8, Thiamine, biological studies 59-67-6, Niacin, biological studies 61-33-6, biological studies 61-68-7, Mefenamic acid 61-76-7, Phenylephrine hydrochloride 62-49-7, Choline 64-17-5, Ethanol, biological studies 64-19-7, Acetic acid, biological studies 64-75-5, Tetracycline hydrochloride 65-23-6, Pyridoxine 65-85-0, Benzoic acid, biological studies 67-63-0, Isopropanol, biological studies 67-68-5, Dimethyl sulfoxide, biological studies 67-71-0, Methylsulfonylmethane 68-04-2, Sodium citrate 68-19-9, Cyanocobalamin 68-22-4, Norethindrone 69-53-4, Ampicillin 69-72-7, Salicylic acid, biological studies 71-58-9, Medroxyprogesterone acetate 73-78-9, Lidocaine hydrochloride 76-22-2, Camphor 76-49-3, Bornyl acetate 76-57-3, Codeine 77-09-8, Phenolphthalein 77-41-8, Methsuximide 77-92-9, Citric acid, biological studies 78-11-5, Pentaerythritol tetranitrate 79-83-4 83-88-5, Riboflavin, biological studies 85-79-0, Dibucaine 87-67-2, Choline bitartrate, biological studies 87-89-8, myo-Inositol 88-04-0, Chloroxylenol 89-78-1, Menthol 90-64-2 93-14-1, Guaifenesin 93-60-7, Methyl nicotinate 94-09-7, Benzocaine 94-36-0, Benzoyl peroxide, biological studies 97-59-6, Allantoin 98-92-0, Niacinamide 100-97-0, Methenamine, biological studies 103-90-2, Acetaminophen 104-46-1, Anethole 108-46-3, Resorcinol, biological studies 108-95-2, Phenol, biological studies 112-38-9, Undecylenic acid 113-92-8, Chlorpheniramine maleate 114-07-8, Erythromycin 115-67-3, Paramethadione 117-10-2, Danthron 119-36-8, Methyl salicylate 119-61-9D, Benzophenone, deriva. 123-03-5, Cetylpyridinium chloride 124-94-7, Triamcinolone 125-69-9, Dextromethorphan hydrobromide 126-07-8, Griseofulvin 128-49-4, Docusate calcium 131-53-3, Dioxybenzone 131-57-7, Oxybenzone 132-20-7, Pheniramine maleate 134-31-6, 8-Hydroxyquinoline sulfate 136-77-6, Hexylresorcinol 137-58-6, Lidocaine 139-12-8, Aluminum acetate 140-65-8, Pramoxine 141-01-5, Ferrous fumarate 143-71-5, Hydrocodone bitartrate 144-55-8, Sodium bicarbonate, biological studies 147-24-0, Diphenhydramine hydrochloride 150-13-0, p-Aminobenzoic acid 152-11-4, Verapamil hydrochloride 152-43-2, Quinestrol 154-41-6, Phenylpropanolamine hydrochloride 156-51-4, Phenelzine sulfate 299-29-6, Ferrous gluconate 299-42-3, Ephedrine 302-79-4, Tretinoin 303-25-3, Cyclizine hydrochloride 318-98-9, Propranolol hydrochloride 321-64-2, Tacrine 345-78-8, Pseudoephedrine hydrochloride 395-28-8 439-14-5, Diazepam 443-48-1, Metronidazole 469-62-5, Propoxyphene 470-82-6, Eucalyptol 471-34-1, Calcium carbonate, biological studies 532-03-6, Methocarbamol 532-32-1, Sodium benzoate 546-93-0, Magnesium carbonate 550-70-9, Triprolidine hydrochloride 557-04-0, Magnesium stearate 557-08-4, Zinc undecylenate 562-10-7 577-11-7, Docusate sodium 603-50-9, Bisacodyl 614-39-1, Procainamide hydrochloride 637-07-0, Clofibrate 637-58-1, Pramoxine hydrochloride 644-62-2, Meclofenamic acid 723-46-6, Sulfamethoxazole 980-71-2, Bromopheniramine maleate 1218-35-5, Xylometazoline hydrochloride 1305-62-0, Calcium hydroxide, biological studies 1309-42-8, Magnesium hydroxide 1321-11-5, Aminobenzoic acid 1327-41-9, Aluminum chlorohydrate 1400-61-9, Nystatin 1403-66-3, Gentamicin 1404-90-6, Vancomycin 1405-10-3, Neomycin sulfate 1405-20-5, Polymyxin B sulfate 1405-41-0, Gentamycin sulfate 1405-87-4, Bacitracin 1406-16-2, Vitamin D 1406-18-4, Vitamin E 1639-60-7, Propoxyphene hydrochloride 1684-40-8, Tacrine hydrochloride 2391-03-9, Dexbrompheniramine maleate 2398-96-1, Tolnaftate 2955-38-6, Prazepam 3380-34-5, Triclosan 4205-90-7, Clonidine 4205-91-8, Clonidine hydrochloride 4499-40-5, Oxtriphylline, biological studies 5466-77-3, Octyl methoxycinnamate 5534-09-8, Beclomethasone dipropionate 5874-97-5, Metaproterenol sulfate

L3 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
6385-02-0, Meclofenamate sodium 6740-88-1, Ketamine 7054-25-3, Quinidine gluconate 7280-37-7, Estropipate 7439-89-6, Iron, biological studies 7439-96-5, Manganese, biological studies 7440-50-8, Copper, biological studies 7440-66-6, Zinc, biological studies 7440-70-2, Calcium, biological studies 7447-40-7, Potassium chloride, biological studies 7460-12-0, Pseudoephedrine sulfate 7491-09-0, Docusate potassium 7553-56-2, Iodine, biological studies 7631-86-9, Silicon dioxide, biological studies 7647-14-5, Sodium chloride (NaCl), biological studies 7681-49-4, Sodium fluoride, biological studies 7704-34-9, Sulfur, biological studies 7720-78-7, Ferrous sulfate 7723-14-0, Phosphorus, biological studies 7733-02-0, Zinc sulfate 7757-79-1, Potassium nitrate, biological studies 7785-87-7, Manganese sulfate 8011-96-9, Calamine 8025-63-6 8050-81-5, Simethicone 8065-29-0, Liotrix 9004-10-8, Insulin, biological studies 9004-32-4, Sodium carboxymethyl cellulose 9004-67-5, Methyl cellulose 9005-25-8, Starch, biological studies 9006-65-9, Dimethicone 9036-19-5, Octoxynol 10163-15-2, Sodium monofluorophosphate 11041-12-6, Cholestyramine resin 11096-26-7, Erythropoietin 11099-07-3, Glyceryl stearate 11103-57-4, Vitamin A 11111-12-9D, Cephalosporin, derivs. 11138-66-2, Xanthan gum 12001-76-2, Vitamin B 12001-79-5, Vitamin K 14362-31-3, Chlorcyclizine hydrochloride 14455-29-9, Aluminum carbonate 14663-23-1, Dantrium 14698-29-4, Oxolinic acid 14838-15-4, Phenylpropanolamine 14987-04-3, Magnesium trisilicate 15307-79-6, Diclofenac sodium 15686-71-2, Cephalexin 15687-27-1, Ibuprofen 17140-78-2, Propoxyphene napsylate 18472-51-0, Chlorhexidine gluconate 18559-94-9, Albuterol 18917-89-0, Magnesium salicylate 20830-75-5, Digoxin 21245-02-3, Padimate O 21645-51-2, Aluminum hydroxide, biological studies 21829-25-4, Nifedipine 22204-53-1, Naproxen 22832-87-7, Miconazole nitrate 22839-47-0, **Aspartame** 24390-14-5, Doxycycline hyclate 25441-16-1 25812-30-0, Gemfibrozil 26027-38-3, Nonoxynol-9 26159-34-2, Naproxen sodium 26171-23-3, Tolmetin 26787-78-0, Amoxicillin 26921-17-5, Timolol maleate 28911-01-5, Triazolam 28981-97-7, Alprozolam 29094-61-9, Glipizide 29122-68-7, Atenolol 29984-33-6, Vidarabine phosphate 34552-84-6, Isoxicam
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(chondroprotective/restorative compns. contg. hyaluronic acid for treatment of joint disorders)

L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:66094 CAPLUS
DOCUMENT NUMBER: 128:145349
TITLE: Treatment of equine protozoal myeloencephalitis
INVENTOR(S): Russell, Meri Charm; Fenger, Clara K.
PATENT ASSIGNEE(S): Mortar & Pestle Veterinary Pharmacy, Inc., USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9802164	A1	19980122	WO 1997-US12605	19970717
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5747476	A	19980505	US 1996-683507	19960717
AU 9742291	A1	19980209	AU 1997-42291	19970717
US 6255308	B1	20010703	US 1998-69956	19980430
US 6448252	B1	20020910	US 2000-685943	20001010

PRIORITY APPLN. INFO.: US 1996-683507 A 19960717
WO 1997-US12605 W 19970717
US 1998-69956 A1 19980430

AB The present invention relates to compns. and methods for treating equines, such as horses, afflicted with equine protozoal myeloencephalitis. The therapeutic compns. comprise a combination of pyrimethamine and a **sulfonamide**, preferably, sulfadiazine, in the absence of known therapeutic amts. of trimethoprim. An oral suspension contained sulfadiazine 166.67, sulfadiazine sodium 166.67, pyrimethamine 16.67, Na benzoate 2.22, xanthan gum 1.11, **aspartame** 11.11, saccharin 2.78 g, Yerba santa (Eriodictyon californium) 55.56, Caramel flavoring 5.56, Polysorbate 80 6.67, and purified water to 1000 mL.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
AB The present invention relates to compns. and methods for treating equines, such as horses, afflicted with equine protozoal myeloencephalitis. The therapeutic compns. comprise a combination of pyrimethamine and a **sulfonamide**, preferably, sulfadiazine, in the absence of known therapeutic amts. of trimethoprim. An oral suspension contained sulfadiazine 166.67, sulfadiazine sodium 166.67, pyrimethamine 16.67, Na benzoate 2.22, xanthan gum 1.11, **aspartame** 11.11, saccharin 2.78 g, Yerba santa (Eriodictyon californium) 55.56, Caramel flavoring 5.56, Polysorbate 80 6.67, and purified water to 1000 mL.
ST **sulfonamide** pyrimethamine suspension equine protozoal myeloencephalitis; sulfadiazine pyrimethamine suspension equine protozoal myeloencephalitis
IT Encephalomyelitis
Horse (Equus caballus)
Sarcocystis neurona
(pyrimethamine and **sulfonamide** combination for treatment of equine protozoal myeloencephalitis)
IT Drug delivery systems
Drug delivery systems

L3 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(suspensions, oral; pyrimethamine and **sulfonamide** combination for treatment of equine protozoal myeloencephalitis)
IT 57-68-1, Sulfamethazine 58-14-0, Pyrimethamine 63-74-1D, **Sulfonamide**, derivs. 80-32-0 80-35-3, Sulfamethoxypyridazine 116-44-9, Sulfapyrazine 122-11-2, Sulfadimethoxine 127-79-7, Sulfamerazine 515-64-0, Sulfisomidine 526-08-9, Sulfaphenazole 547-32-0, Sulfadiazine sodium
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pyrimethamine and **sulfonamide** combination for treatment of equine protozoal myeloencephalitis)

L3 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:348294 CAPLUS
DOCUMENT NUMBER: 127:66135
TITLE: Derivatized oxopiperazine rings from amino acids
AUTHOR(S): Bhatt, Ulhas; Mohamed, Nazim; Just. George; Roberts, Edward
CORPORATE SOURCE: Dep. Chem., McGill Univ., Montreal, QC, H3A 2K6, Can.
SOURCE: Tetrahedron Letters (1997), 38(21), 3679-3682
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:66135

AB Two routes for the synthesis of derivatized oxopiperazines, which may act as constrained peptide mimics, are reported. The syntheses employ reductive amination and **sulfonamide** approaches for generating N-allylic amino acid ester derivs. and utilizing them for assembling the ring systems. An **aspartame** analog was prepared using this methodol.
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
AB Two routes for the synthesis of derivatized oxopiperazines, which may act as constrained peptide mimics, are reported. The syntheses employ reductive amination and **sulfonamide** approaches for generating N-allylic amino acid ester derivs. and utilizing them for assembling the ring systems. An **aspartame** analog was prepared using this methodol.

L3 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:795330 CAPLUS
DOCUMENT NUMBER: 123:179538
TITLE: Effervescent pharmaceuticals containing antibiotic, acid and base.
INVENTOR(S): Frank, Basil; Gouws, Andre Marius
PATENT ASSIGNEE(S): S. Afr.
SOURCE: S. African, 15 pp.
CODEN: SFXXAB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 9107789	A	19930330	ZA 1991-7789	19910930
PRIORITY APPLN. INFO.:			ZA 1990-9401 A	19901123

AB An effervescent pharmaceutical for oral administration contains amoxycillin trihydrate, an alkali and an acid. When this preparation is dissolved in water, a solution having pH <7 (preferably 3.0-6.5) is obtained.
Thus, tablets contained amoxycillin , citric acid, NaHCO3 and other additives.

IT **Sulfonamides**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effervescent pharmaceuticals containing antibiotic and acid and base)

IT 77-92-9, Citric acid, biological studies 114-07-8, Erythromycin 144-55-8, Sodium bicarbonate, biological studies 151-21-3, SLS, biological studies 557-04-0, Magnesium stearate 738-70-5, Trimethoprim 8064-90-2, Cotrimoxazole 9003-39-8, Povidone 22839-47-0, **Aspartame** 25322-68-3, Macrogol 4000 26787-78-0, Amoxycillin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effervescent pharmaceuticals containing antibiotic and acid and base)

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=> fil uspatall
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                        26.05      26.26

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                           ENTRY    SESSION
CA SUBSCRIBER PRICE                                        -5.11      -5.11

FILE 'USPATFULL' ENTERED AT 11:40:39 ON 12 AUG 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 11:40:39 ON 12 AUG 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> s aspartam?
L4         8609 ASPARTAM?

=> s sulfonamid?
L5        38327 SULFONAMID?

=> s l1 and L2
L6          786 L1 AND L2

=> s L4(s)L5
L7            7 L4(S) L5

=> d 1-7
```

L7 ANSWER 1 OF 7 USPATFULL on STN
AN 2005:112292 USPATFULL
TI Substituted sulfonamide-indoles
IN Hu, Baihua, Audubon, PA, UNITED STATES
PA WYETH, Madison, NJ, UNITED STATES (U.S. corporation)
PI US 2005096377 A1 20050505
AI US 2004-947839 A1 20040923 (10)
PRAI US 2003-505803P 20030925 (60)
DT Utility
FS APPLICATION
LN.CNT 2068
INCL INCLM: 514/419.000
INCLS: 548/465.000; 548/492.000
NCL NCLM: 514/419.000
NCLS: 548/465.000; 548/492.000
IC [7]
ICM: C07D043-02
ICS: A61K031-405
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 2 OF 7 USPATFULL on STN
AN 2004:77108 USPATFULL
TI Electroprocessing in drug delivery and cell encapsulation
IN Bowlin, Gary L., Machanicsville, VA, UNITED STATES
Wnek, Gary E., Midlothian, VA, UNITED STATES
Simpson, David G., Mechanicsville, VA, UNITED STATES
PI US 2004058887 A1 20040325
AI US 2003-668085 A1 20030922 (10)
RLI Continuation of Ser. No. US 2001-982515, filed on 18 Oct 2001, PENDING Continuation-in-part of Ser. No. US 2001-946158, filed on 4 Sep 2001, PENDING Continuation-in-part of Ser. No. US 2000-654517, filed on 1 Sep 2000, ABANDONED Continuation-in-part of Ser. No. US 2000-714255, filed on 17 Nov 2000, ABANDONED Continuation-in-part of Ser. No. US 2000-512081, filed on 24 Feb 2000, ABANDONED Continuation-in-part of Ser. No. US 1999-386273, filed on 31 Aug 1999, GRANTED, Pat. No. US 6592623 Continuation-in-part of Ser. No. US 2000-512081, filed on 24 Feb 2000, ABANDONED Continuation-in-part of Ser. No. US 1999-386273, filed on 31 Aug 1999, GRANTED, Pat. No. US 6592623 Continuation-in-part of Ser. No. US 1999-386273, filed on 31 Aug 1999, GRANTED, Pat. No. US 6592623
PRAI US 2000-241008P 20001018 (60)
US 2001-270118P 20010222 (60)
DT Utility
FS APPLICATION
LN.CNT 3073
INCL INCLM: 514/044.000
INCLS: 514/008.000; 514/012.000; 514/054.000
NCL NCLM: 514/044.000
NCLS: 514/008.000; 514/012.000; 514/054.000
IC [7]
ICM: A61K038-16
ICS: A61K048-00; A61K031-737; A61K031-739
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 3 OF 7 USPATFULL on STN
AN 2004:24388 USPATFULL
TI Electroprocessing of materials useful in drug delivery and cell encapsulation
IN Wnek, Gary E., Midlothian, VA, UNITED STATES
Simpson, David G., Mechanicsville, VA, UNITED STATES
Bowlin, Gary L., Mechanicsville, VA, UNITED STATES
Yao, Li, Manchester, CT, UNITED STATES
Kenawy, El-Rafale, El-Saroe, EGYPT
Layman, John M., Chester, VA, UNITED STATES
Sanders, Elliott H., Richmond, VA, UNITED STATES
Fenn, John, Richmond, VA, UNITED STATES
PI US 2004018226 A1 20040129
AI US 2003-409682 A1 20030407 (10)
RLI Continuation-in-part of Ser. No. US 2001-982515, filed on 18 Oct 2001, PENDING Continuation-in-part of Ser. No. US 2001-991373, filed on 16 Nov 2001, PENDING Continuation-in-part of Ser. No. US 2000-714255, filed on 17 Nov 2000, ABANDONED Continuation-in-part of Ser. No. US 2000-512081, filed on 24 Feb 2000, ABANDONED Continuation-in-part of Ser. No. US 1999-386273, filed on 31 Aug 1999, GRANTED, Pat. No. US 6592623 Continuation-in-part of Ser. No. US 2001-946158, filed on 4 Sep 2001, PENDING
PRAI WO 2001-US27409 20010904
US 2000-241008P 20001018 (60)
US 2001-270118P 20010222 (60)
US 1999-121628P 19990225 (60)
US 2002-370572P 20020405 (60)
US 2002-400506P 20020802 (60)
US 2002-402218P 20020808 (60)
DT Utility
FS APPLICATION
LN.CNT 4506
INCL INCLM: 424/443.000
NCL NCLM: 424/443.000
IC [7]
ICM: A61K009-70
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 4 OF 7 USPATFULL on STN
AN 2003:282479 USPATFULL
TI Silane copolymer compositions containing active agents
IN Terry, Richard N., Conyers, GA, UNITED STATES
Walsh, Kevin, Atlanta, GA, UNITED STATES
PI US 2003198821 A1 20031023
AI US 2003-449977 A1 20030530 (10)
RLI Continuation of Ser. No. US 2000-568770, filed on 10 May 2000, GRANTED, Pat. No. US 6596401 Continuation-in-part of Ser. No. US 1998-189240, filed on 10 Nov 1998, GRANTED, Pat. No. US 6329488
DT Utility
FS APPLICATION
LN.CNT 1309
INCL INCLM: 428/447.000
NCL NCLM: 428/447.000
IC [7]
ICM: B32B009-04
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 5 OF 7 USPATFULL on STN
AN 2003:197010 USPATFULL
TI Silane copolymer compositions containing active agents
IN Terry, Richard N., Conyers, GA, United States
Walsh, Kevin, Atlanta, GA, United States
PA C. R. Bard Inc., Murray Hill, NJ, United States (U.S. corporation)
PI US 6596401 B1 20030722
AI US 2000-568770 20000510 (9)
RLI Continuation-in-part of Ser. No. US 1998-189240, filed on 10 Nov 1998, now patented, Pat. No. US 6329488
DT Utility
FS GRANTED
LN.CNT 1332
INCL INCLM: 428/447.000
INCLS: 428/448.000; 524/017.000; 524/195.000; 524/434.000; 524/450.000; 524/588.000; 524/704.000; 524/714.000; 524/780.000; 524/789.000; 524/791.000; 524/858.000; 524/869.000; 424/280.100; 424/600.000; 424/617.000; 424/684.000; 604/264.000; 427/002.280
NCL NCLM: 428/447.000
NCLS: 424/280.100; 424/600.000; 424/617.000; 424/684.000; 427/002.280; 428/448.000; 524/017.000; 524/195.000; 524/434.000; 524/450.000; 524/588.000; 524/704.000; 524/714.000; 524/780.000; 524/789.000; 524/791.000; 524/858.000; 524/869.000; 604/264.000
IC [7]
ICM: B32B009-04
ICS: A61K045-08; A01N059-00
EXF 524/17; 524/195; 524/434; 524/450; 524/588; 524/704; 524/714; 524/780; 524/789; 524/791; 524/858; 524/869; 424/280.1; 424/600; 424/617; 424/684; 427/2.28; 428/447; 428/448; 604/264
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 6 OF 7 USPATFULL on STN
AN 2003:146848 USPATFULL
TI Oral dosage form of a sulfonamide prodrug
IN Karim, Aziz, Skokie, IL, UNITED STATES
Nema, Sandeep, Grayslake, IL, UNITED STATES
Ewing, Gary D., Kalamazoo, MI, UNITED STATES
PI US 2003100595 A1 20030529
AI US 2002-292682 A1 20021112 (10)
PRAI US 2001-350596P 20011113 (60)
DT Utility
FS APPLICATION
LN.CNT 1270
INCL INCLM: 514/406.000
INCLS: 514/471.000
NCL NCLM: 514/406.000
NCLS: 514/471.000
IC [7]
ICM: A61K031-415
ICS: A61K031-365
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L7 ANSWER 7 OF 7 USPATFULL on STN
AN 2002:157133 USPATFULL
TI Electroprocessing in drug delivery and cell encapsulation
IN Bowlin, Gary L., Mechanicsville, VA, UNITED STATES
Wnek, Gary E., Midlothian, VA, UNITED STATES
Simpson, David G., Mechanicsville, VA, UNITED STATES
PI US 2002081732 A1 20020627
AI US 2001-982515 A1 20011018 (9)
PRAI WO 2001-US27409 20010904
US 2000-241008P 20001018 (60)
US 2001-270118P 20010222 (60)
DT Utility
FS APPLICATION
LN.CNT 3074
INCL INCLM: 435/446.000
INCLS: 435/325.000; 536/123.000; 536/023.100; 530/350.000; 530/395.000; 530/359.000
NCL NCLM: 435/446.000
NCLS: 435/325.000; 530/350.000; 530/359.000; 530/395.000; 536/023.100; 536/123.000
IC [7]
ICM: C07H021-04
ICS: C12N015-01; C07K014-775; C07K014-435; C12N005-06
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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=> s aspartam?
L8          8609 ASPARTAM?

=> exp aspartam?
TOO MANY FIELD CODES SPECIFIED
A term cannot be EXPANDed in more than three fields in
the same expand command.

=> exp aspartame
TOO MANY FIELD CODES SPECIFIED
A term cannot be EXPANDed in more than three fields in
the same expand command.

=> fil reg
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                10.92      37.18

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                 0.00      -5.11

FILE 'REGISTRY' ENTERED AT 11:42:32 ON 12 AUG 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.
```

```
E2            2     ASPARTAM/BI
E3           38 --> ASPARTAME/BI
E4            1     ASPARTAMIC/BI
E5           15     ASPARTAMID/BI
E6           15     ASPARTAMIDATO/BI
E7         3162     ASPARTAMIDE/BI
E8            1     ASPARTAMIDO/BI
E9            2     ASPARTAMINE/BI
E10          36     ASPARTASE/BI
E11        4656     ASPARTATE/BI
E12           1     ASPARTATE,104/BI

=> exp aspartame 50
NUMBER OF TERMS TO DISPLAY IS OUT OF RANGE
The total number of terms displayed in a single EXPAND command
must be in the range 5-25.

=> exp aspartame 25
E1            1     ASPARTALDEHYDIC/BI
E2            2     ASPARTAM/BI
E3           38 --> ASPARTAME/BI
E4            1     ASPARTAMIC/BI
E5           15     ASPARTAMID/BI
E6           15     ASPARTAMIDATO/BI
E7         3162     ASPARTAMIDE/BI
E8            1     ASPARTAMIDO/BI
E9            2     ASPARTAMINE/BI
E10          36     ASPARTASE/BI
E11        4656     ASPARTATE/BI
E12           1     ASPARTATE,104/BI
```

FILE COVERS 1907 - 12 Aug 2005 VOL 143 ISS 8
FILE LAST UPDATED: 11 Aug 2005 (20050811/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

```
=> s aspart?(s)phenylalanin?(s)(sulfamid? or sulfonamid?)
        117291 ASPART?
         75970 PHENYLALANIN?
          3038 SULFAMID?
         32454 SULFONAMID?
L9           3 ASPART?(S)PHENYLALANIN?(S)(SULFAMID? OR SULFONAMID?)

=> d ibib abs kwic
```

L9 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:795887 CAPLUS
DOCUMENT NUMBER: 137:252120
TITLE: Selective surface adhesion of the toxic microalga Alexandrium minutum induced by contact with substituted polystyrene derivatives
AUTHOR(S): La Barre, Stephane; Hamadouche, Nora; El Khadali, Zaina; Gottini, Yann; Muller, Daniel; Erard-Le Denn, Evelyne; Jozefowicz, Marcel
CORPORATE SOURCE: Laboratoire de Recherche sur les Macromolecules, CNRS UMR 7540, Universite Paris-XIII, Villetaneuse, Fr.
SOURCE: Journal of Biotechnology (2002), 93(1), 59-71
CODEN: JBITD4; ISSN: 0168-1656
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB On the basis of observations that biospecific random copolymers (RACS) could induce phenotypic changes on contact with selected eukaryotic or prokaryotic cell lines, polystyrene derivs. of known compns. and obtained by random substitutions of sodium sulfonate and of **sulfamides** of **aspartic** acid di-Me ester, **phenylalanine** and leucine, were placed in contact with swimming dinophytes of the PSP toxin producing species Alexandrium minutum and of the non-toxic species Heterocapsa triquetra. A. minutum cells exhibited higher adhesion for the random copolymer made up of polystyrene (29%), polystyrene aspartic acid di-Me ester sulfamide (47%) and polystyrene sodium sulfonate (24%), than for samples of this series with different compns. In contrast to this, A. minutum adhesion remained very low throughout the phenylalanine and leucine copolymer series. These results indicate that the cell-substrate adhesion phenomenon is dependent upon the final composition of the copolymer, i.e. that it is composition-specific. Taxonomic specificity was then demonstrated by presenting the PSAspOMe copolymer series with cells of the non toxic species H. triquetra (Peridiniales) related to A. minutum (Gonyaulacacea), and by observing no specific association, i.e. no signal above background levels at any composition Specific ligand-cell adhesion is evidenced for the first time between biospecific RACS and phytoplankton, which may inspire a new generation of structures to be used in aquaculture as protective nets over shellfish clusters, or as selective filtering devices to assist in shellfish depuration from toxic microalgae.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

AB On the basis of observations that biospecific random copolymers (RACS) could induce phenotypic changes on contact with selected eukaryotic or prokaryotic cell lines, polystyrene derivs. of known compns. and obtained by random substitutions of sodium sulfonate and of **sulfamides** of **aspartic** acid di-Me ester, **phenylalanine** and leucine, were placed in contact with swimming dinophytes of the PSP toxin producing species Alexandrium minutum and of the non-toxic species Heterocapsa triquetra. A. minutum cells exhibited higher adhesion for the random copolymer made up of polystyrene (29%), polystyrene aspartic acid di-Me ester sulfamide (47%) and polystyrene sodium sulfonate (24%), than for samples of this series with different compns. In contrast to this, A. minutum adhesion remained very low throughout the phenylalanine and leucine copolymer series. These results indicate that the cell-substrate adhesion phenomenon is dependent upon the final composition of the copolymer, i.e. that it is composition-specific. Taxonomic specificity was then demonstrated by presenting the PSAspOMe copolymer series with cells of the non toxic species H. triquetra (Peridiniales) related to A. minutum (Gonyaulacacea), and by observing no specific association, i.e. no signal

L9 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

above background levels at any compn. Specific ligand-cell adhesion is evidenced for the first time between biospecific RACS and phytoplankton, which may inspire a new generation of structures to be used in aquaculture as protective nets over shellfish clusters, or as selective filtering devices to assist in shellfish depuration from toxic microalgae.

```
=> d ibib abs kwic 2-3
```

L9 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
concn., and incubation time on the estns. were detd. Results were similar to those obtained with traditional media, but growth was slower. Incubation overnight was generally successful. Use of the synthetic media eliminated fluctuations in the results that are usually observed in natural media due to variations in their compns. 15 references.

```
=> fil uspatall
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                        16.86      54.90

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)              SINCE FILE      TOTAL
                                                           ENTRY    SESSION
CA SUBSCRIBER PRICE                                        -2.19      -7.30

FILE 'USPATFULL' ENTERED AT 11:45:15 ON 12 AUG 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 11:45:15 ON 12 AUG 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> s aspart?(s)phenylalanin?(s)(sulfamid? or sulfonamid?)
L10         69 ASPART?(S) PHENYLALANIN?(S)(SULFAMID? OR SULFONAMID?)

=> d 1-69
```

L9 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

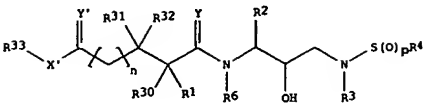
ACCESSION NUMBER: 1995:964989 CAPLUS
DOCUMENT NUMBER: 124:176937
TITLE: N-[(Succinoylamino)hydroxypropyl]sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: U.S., 32 pp. Cont.-in-part of U.S. Ser. No. 935,490, abandoned
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5463104	A	19951031	US 1993-110912	19930824
AT 154800	E	19970715	AT 1993-920213	19930824
ES 2103488	T3	19970916	ES 1993-920213	19930824
US 5714605	A	19980203	US 1995-541350	19951010
US 5760076	A	19980602	US 1995-541747	19951010
US 6022994	A	20000208	US 1998-41016	19980312
US 6313345	B1	20011106	US 1999-419816	19991018
US 2002137942	A1	20020926	US 2001-884462	20010620
US 6469207	B2	20021022		
US 2003220508	A1	20031127	US 2002-237184	20020909
US 6727282	B2	20040427		
US 2005004043	A1	20050106	US 2004-784916	20040224

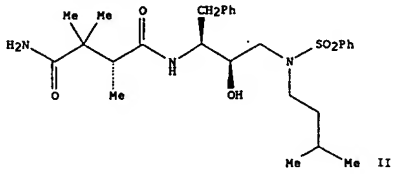
PRIORITY APPLN. INFO.: US 1992-935490 B2 19920825
US 1993-110912 A3 19930824
US 1995-541350 A1 19951010
US 1995-541747 A1 19951010
US 1998-41016 A1 19980312
US 1999-419816 A1 19991018
US 2001-884462 A1 20010620
US 2002-237184 A1 20020909

OTHER SOURCE(S): MARPAT 124:176937
GI

L9 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I



II

AB Succinoylamino hydroxyethylamino **sulfonamide** compds. I or a pharmaceutically acceptable salt or ester thereof, wherein p represents 0, 1 or 2; n represents either 0 or 1; X' represents N(R34) or O; or R33X' represents cycloalkyl or aryl radicals; Y and Y' each independently represent O or S; R1, R30, R31 and R32 each independently represent hydrogen, OH, (CH2)C(O)CH3, CH2SO2NH2, CO2CH3, CONHCH3, CON(CH3)2, CH2C(O)NHCH3, CH2C(O)N(CH3)2, CONH2, C(CH3)2(SH), C(CH3)2(SCH3), C(CH3)2[S(O)CH3], C(CH3)2[S(O)2CH3], alkyl, haloalkyl, alkenyl, alkynyl, aralkyl or cycloalkyl radicals, or the side chain of the amino acid asparagine, S-Me cysteine or the corresponding sulfoxide or sulfone derivs. thereof, leucine, isoleucine, allo-isoleucine, tert-leucine, **phenylalanine**, ornithine, alanine, norleucine, glutamine, valine, threonine, serine, o-alkyl serine, **aspartic** acid, β-cyanoalanine or allothreonine; or R30 and R32 together with the carbon atoms to which they are attached form a cycloalkyl radical; R2 = e.g., alkyl, aryl, cycloalkyl; R3, R33, R34 = e.g., H, alkyl, haloalkyl; R4 = e.g., alkyl, haloalkyl, alkenyl; R6 = H, alkyl; are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. Thus, e.g., butanediamide II was prepared by coupling of benzyl (R)-2,2,3-trimethylsuccinate (preparation given) with 2(R)-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1(S)-(phenylmethyl)propylamine (preparation given) followed by benzyl ester hydrogenolysis and amidation, and exhibited IC50 = 2 nM for inhibition of HIV protease.

AB Succinoylamino hydroxyethylamino **sulfonamide** compds. I or a pharmaceutically acceptable salt or ester thereof, wherein p represents 0, 1 or 2; n represents either 0 or 1; X' represents N(R34) or O; or R33X' represents cycloalkyl or aryl radicals; Y and Y' each independently represent O or S; R1, R30, R31 and R32 each independently represent hydrogen, OH, (CH2)C(O)CH3, CH2SO2NH2, CO2CH3, CONHCH3, CON(CH3)2, CH2C(O)NHCH3, CH2C(O)N(CH3)2, CONH2, C(CH3)2(SH), C(CH3)2(SCH3), C(CH3)2[S(O)CH3], C(CH3)2[S(O)2CH3], alkyl, haloalkyl, alkenyl, alkynyl, aralkyl or cycloalkyl radicals, or the side chain of the amino acid asparagine, S-Me cysteine or the corresponding sulfoxide or sulfone

L9 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

derivs. thereof, leucine, isoleucine, allo-isoleucine, tert-leucine, **phenylalanine**, ornithine, alanine, norleucine, glutamine, valine, threonine, serine, o-alkyl serine, **aspartic** acid, β-cyanoalanine or allothreonine; or R30 and R32 together with the carbon atoms to which they are attached form a cycloalkyl radical; R2 = e.g., alkyl, aryl, cycloalkyl; R3, R33, R34 = e.g., H, alkyl, haloalkyl; R4 = e.g., alkyl, haloalkyl, alkenyl; R6 = H, alkyl; are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. Thus, e.g., butanediamide II was prepd. by coupling of benzyl (R)-2,2,3-trimethylsuccinate (prepn. given) with 2(R)-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1(S)-(phenylmethyl)propylamine (prepn. given) followed by benzyl ester hydrogenolysis and amidation, and exhibited IC50 = 2 nM for inhibition of HIV protease.

L9 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1968:449725 CAPLUS
DOCUMENT NUMBER: 69:49725
TITLE: Turbidimetric or nephelometric microbiological determinations in synthetic media
AUTHOR(S): Leclercq, S.
CORPORATE SOURCE: Serv. Contr. Med., Assoc. Pharm. Belge, Belg.
SOURCE: Journal de Pharmacie de Belgique (1968), 23(3-4), 155-83
CODEN: JPBEAJ; ISSN: 0047-2166
DOCUMENT TYPE: Journal
LANGUAGE: French

AB Antibacterial and growth substances (25), including antibiotics, **sulfonamides**, mercurials, quaternary ammonium compds., dienestrol, and vitamin D, were estimated microbiol. with Escherichia coli, Staphylococcus aureus, Streptococcus faecalis, Leuconostoc mesenteroides, and Lactobacillus arabinosus on 2 synthetic media with the resp. compns.: glucose 50, NaOAc 40, NH4Cl 6, KH2PO4 1.2, K2HPO4 1.2, MgSO4 0.4, Mn(SO4)2 0.04, FeSO4 0.02, NaCl 0.02, pyridoxine-HCl 0.002, pyridoxamine-HCl 0.0006, pyridoxal-HCl 0.0006, nicotinic acid 0.002, riboflavine 0.001, Ca pantothenate 0.001, thiamine-HCl 0.001, p-aminobenzoic acid 0.0002, folic acid 0.00002, biotin 0.000002, asparagine 0.8, L-glutamic acid 0.6, DL-valine 0.5, L-lysine-HCl 0.5, DL-isoleucine 0.5, DL-leucine 0.5, DL-arginine-HCl 0.5, DL-threonine 0.4, DL-alanine 0.4, DL-alanine 0.4, DL-methionine 0.2, L-**aspartic** acid 0.2, glycine 0.2, DL-**phenylalanine** 0.2, L-proline 0.2, L-tyrosine 0.2, L-histidine-HCl 0.124, DL-serine 0.1, L-cystine 0.1, DL-tryptophan 0.08, adenine sulfate 0.02, guanine-HCl 0.02, uracil 0.02, and xanthine 0.02 g./l.; and KH2PO4 2, (NH4)2SO4 1, KCl 0.5, MgSO4 0.05, Na lactate 10 g./l., H2O to 1 l. mixed with 5 ml. of solution containing ferric ammonium citrate 1, FeCl3.6H2O 0.256, and CaCl2 1 g./l. The effects of inoculate concentration, test substance concentration, and incubation time on the estns. were determined Results were similar to those obtained with traditional media, but growth was slower. Incubation overnight was generally successful. Use of the synthetic media eliminated fluctuations in the results that are usually observed in natural media due to variations in their compns. 15 references.

AB Antibacterial and growth substances (25), including antibiotics, **sulfonamides**, mercurials, quaternary ammonium compds., dienestrol, and vitamin D, were estimated microbiol. with Escherichia coli, Staphylococcus aureus, Streptococcus faecalis, Leuconostoc mesenteroides, and Lactobacillus arabinosus on 2 synthetic media with the resp. compns.: glucose 50, NaOAc 40, NH4Cl 6, KH2PO4 1.2, K2HPO4 1.2, MgSO4 0.4, Mn(SO4)2 0.04, FeSO4 0.02, NaCl 0.02, pyridoxine-HCl 0.002, pyridoxamine-HCl 0.0006, pyridoxal-HCl 0.0006, nicotinic acid 0.002, riboflavine 0.001, Ca pantothenate 0.001, thiamine-HCl 0.001, p-aminobenzoic acid 0.0002, folic acid 0.00002, biotin 0.000002, asparagine 0.8, L-glutamic acid 0.6, DL-valine 0.5, L-lysine-HCl 0.5, DL-isoleucine 0.5, DL-leucine 0.5, DL-arginine-HCl 0.5, DL-threonine 0.4, DL-alanine 0.4, DL-alanine 0.4, DL-methionine 0.2, L-**aspartic** acid 0.2, glycine 0.2, DL-**phenylalanine** 0.2, L-proline 0.2, L-tyrosine 0.2, L-histidine-HCl 0.124, DL-serine 0.1, L-cystine 0.1, DL-tryptophan 0.08, adenine sulfate 0.02, guanine-HCl 0.02, uracil 0.02, and xanthine 0.02 g./l.; and KH2PO4 2, (NH4)2SO4 1, KCl 0.5, MgSO4 0.05, Na lactate 10 g./l., H2O to 1 l. mixed with 5 ml. of solution containing ferric ammonium citrate 1, FeCl3.6H2O 0.256, and CaCl2 1 g./l. The effects of inoculate concentration, test substance

L10 ANSWER 1 OF 69 USPATFULL on STN
AN 2005:138069 USPATFULL
TI Stabilization and controlled delivery of ionic biopharmaceuticals
IN Bae, You Han, Salt Lake City, UT, UNITED STATES
Kim, Jong Ho, Salt Lake City, UT, UNITED STATES
Taluja, Ajay, Salt Lake City, UT, UNITED STATES
PA University of Utah Research Foundation (U.S. corporation)
PI US 2005118718 A1 20050602
AI US 2004-948077 A1 20040922 (10)
PRAI US 2003-505055P 20030922 (60)
DT Utility
FS APPLICATION
LN.CNT 1183
INCL INCLM: 435/458.000
INCLS: 530/350.000; 536/023.200; 525/054.100; 525/054.200
NCL NCLM: 435/458.000
NCLS: 525/054.100; 525/054.200; 530/350.000; 536/023.200
IC [7]
ICM: C12N015-88
ICS: C07H021-04; C07K014-47
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 2 OF 69 USPATFULL on STN
AN 2005:112292 USPATFULL
TI Substituted sulfonamide-indoles
IN Hu, Baihua, Audubon, PA, UNITED STATES
PA WYETH, Madison, NJ, UNITED STATES (U.S. corporation)
PI US 2005096377 A1 20050505
AI US 2004-947839 A1 20040923 (10)
PRAI US 2003-505803P 20030925 (60)
DT Utility
FS APPLICATION
LN.CNT 2068
INCL INCLM: 514/419.000
INCLS: 548/465.000; 548/492.000
NCL NCLM: 514/419.000
NCLS: 548/465.000; 548/492.000
IC [7]
ICM: C07D043-02
ICS: A61K031-405
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 3 OF 69 USPATFULL on STN
AN 2005:99618 USPATFULL
TI Method and composition for treating osteoporosis
IN Rao, Kanury Venkata Subba, New Delhi, INDIA
Wani, Mohan Ramachandran, Maharashtra, INDIA
Manivel, Venkatasamy, New Delhi, INDIA
Subrayan, Parameswaran Perunninakulath, Goa, INDIA
Singh, Vinod Kumar, Kanpur, INDIA
Anand, Ramasamy Vijaya, Kanpur, INDIA
Desa, Ehrlich, Goa, INDIA
Mishra, Gyan Chandra, Pune, INDIA
Chatterji, Anil, Goa, INDIA
PA Council of Scientific & Industrial Research, New Delhi, INDIA (non-U.S. corporation)
PI US 2005085537 A1 20050421
AI US 2003-747671 A1 20031230 (10)
PRAI US 2003-512183P 20031020 (60)
DT Utility
FS APPLICATION
LN.CNT 2405
INCL INCLM: 514/517.000
INCLS: 514/562.000; 514/566.000; 514/563.000
NCL NCLM: 514/517.000
NCLS: 514/562.000; 514/563.000; 514/566.000
IC [7]
ICM: A61K031-255
ICS: A61K031-195
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 4 OF 69 USPATFULL on STN
AN 2005:93581 USPATFULL
TI Preparation of prodrugs for selective drug delivery
IN Mills, Randell L., Cranbury, NJ, UNITED STATES
Wu, Guo-Zhang, Belle Mead, NJ, UNITED STATES
PI US 2005080260 A1 20050414
AI US 2004-828558 A1 20040421 (10)
PRAI US 2003-464354P 20030422 (60)
DT Utility
FS APPLICATION
LN.CNT 6201
INCL INCLM: 544/237.000
INCLS: 564/338.000
NCL NCLM: 544/237.000
NCLS: 564/338.000
IC [7]
ICM: C07D237-30
ICS: C07C211-27
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 5 OF 69 USPATFULL on STN
AN 2005:69466 USPATFULL
TI Process for preparing peptidyl heterocyclic ketone derivatives
IN Breslav, Michael, Maple Glen, PA, UNITED STATES
Harris, Bruce, Lansdowne, PA, UNITED STATES
Kenney, Birdella, North Wales, PA, UNITED STATES
Maier, Thomas, Stockach, GERMANY, FEDERAL REPUBLIC OF
Roessler, Armin, Tengen, GERMANY, FEDERAL REPUBLIC OF
Villani, Frank, Perkasie, PA, UNITED STATES
Weigl; Ulrich, Hilzingen, GERMANY, FEDERAL REPUBLIC OF
Zhang-Plasket, Fan, Willow Grove, PA, UNITED STATES
Zhong, Hua, Maple Glen, PA, UNITED STATES
PI US 2005059607 A1 20050317
AI US 2004-902755 A1 20040729 (10)
PRAI US 2003-492646P 20030805 (60)
US 2004-566374P 20040429 (60)
DT Utility
FS APPLICATION
LN.CNT 3604
INCL INCLM: 514/018.000
INCLS: 514/019.000; 514/565.000; 530/331.000; 562/560.000
NCL NCLM: 514/018.000
NCLS: 514/019.000; 514/565.000; 530/331.000; 562/560.000
IC [7]
ICM: A61K038-05
ICS: A61K038-04; A61K031-198
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 6 OF 69 USPATFULL on STN
AN 2005:65174 USPATFULL
TI Gene expression by positive feedback activation of a cell type-specific promoter
IN Vile, Richard G., Rochester, MN, United States
Gough, Michael, Rochester, MN, United States
PA Mayo Foundation for Medical Education and Research, Rochester, MN, United States (U.S. corporation)
PI US 6867036 B1 20050315
AI US 2000-721391 20001122 (9)
PRAI US 1999-167085P 19991123 (60)
DT Utility
FS GRANTED
LN.CNT 1964
INCL INCLM: 435/320.100
INCLS: 435/455.000; 536/024.100
NCL NCLM: 435/320.100
NCLS: 435/455.000; 536/024.100
IC [7]
ICM: C12N015-00
ICS: C12N015-63; C07H021-04
EXF 424/93.1; 424/93.2; 435/320.1; 435/70.1; 514/44; 536/23.1-23.5; 536/24.1
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 7 OF 69 USPATFULL on STN
AN 2005:38171 USPATFULL
TI Process for preparing prodrugs of benzenesulfonamide-containing COX-2 inhibitors
IN Talley, John J., Boston, MA, UNITED STATES
Malecha, James W., Libertyville, IL, UNITED STATES
Bertenshaw, Stephen, Cheshire, CT, UNITED STATES
Graneto, Matthew J., Chesterfield, MO, UNITED STATES
Carter, Jeffery S., Chesterfield, MO, UNITED STATES
Li, Jinglin, Hopewell, NJ, UNITED STATES
Nagarajan, Srinivasan R., Chesterfield, MO, UNITED STATES
Brown, David L., Chesterfield, MO, UNITED STATES
Rogier, Donald J., JR., Kalamazoo, MI, UNITED STATES
Penning, Thomas D., Elmhurst, IL, UNITED STATES
Khanna, Ish K., Libertyville, IL, UNITED STATES
Xu, Xiangdong, Gurnee, IL, UNITED STATES
Weier, Richard M., Lake Bluff, IL, UNITED STATES
PA Pharmacia Corporation (U.S. corporation)
PI US 2005032851 A1 20050210
AI US 2004-939852 A1 20040913 (10)
RLI Division of Ser. No. US 2002-178697, filed on 24 Jun 2002, GRANTED, Pat. No. US 6815460 Division of Ser. No. US 2000-661859, filed on 14 Sep 2000, GRANTED, Pat. No. US 6436967 Continuation of Ser. No. US 1999-142993, filed on 18 Mar 1999, ABANDONED A 371 of International Ser. No. WO 1997-US5497, filed on 11 Apr 1997, PENDING Continuation-in-part of Ser. No. US 1996-631514, filed on 12 Apr 1996, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 2775
INCL INCLM: 514/357.000
INCLS: 514/365.000; 514/374.000; 514/396.000; 514/372.000; 514/378.000; 514/394.000; 514/406.000; 514/408.000; 514/471.000; 514/602.000; 564/086.000; 546/336.000; 548/200.000; 548/215.000; 548/305.400
NCL NCLM: 514/357.000
NCLS: 514/365.000; 514/372.000; 514/374.000; 514/378.000; 514/394.000; 514/396.000; 514/406.000; 514/408.000; 514/471.000; 514/602.000; 546/336.000; 548/200.000; 548/215.000; 548/305.400; 564/086.000
IC [7]
ICM: A61K031-44
ICS: A61K031-425; A61K031-42; A61K031-415; A61K031-34; A61K031-18
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 8 OF 69 USPATFULL on STN
AN 2005:38113 USPATFULL
TI 2-amino-benzoxazinones for the treatment of viral infections
IN Abood, Norman, Morton Grove, IL, UNITED STATES
Flynn, Daniel L., Mundelein, IL, UNITED STATES
Becker, Daniel P., Glenview, IL, UNITED STATES
Bax, Brian M., Irvine, CA, UNITED STATES
Li, Hui, Vernon Hills, IL, UNITED STATES
Nosal, Roger A., Buffalo Grove, IL, UNITED STATES
Schretzman, Lori A., Gurnee, IL, UNITED STATES
Villamil, Clara I., Glenview, IL, UNITED STATES
PA G.D. Searle & Co., Chicago, IL, UNITED STATES (U.S. corporation)
PI US 2005032793 A1 20050210
AI US 2003-728946 A1 20031208 (10)
RLI Continuation of Ser. No. US 2002-35433, filed on 4 Jan 2002, GRANTED, Pat. No. US 6683077 Continuation of Ser. No. US 2000-502038, filed on 11 Feb 2000, GRANTED, Pat. No. US 6380189 Continuation of Ser. No. US 1998-952624, filed on 15 May 1998, ABANDONED A 371 of International Ser. No. WO 1996-US7526, filed on 23 May 1996, PENDING
DT Utility
FS APPLICATION
LN.CNT 4757
INCL INCLM: 514/230.500
INCLS: 544/092.000
NCL NCLM: 514/230.500
NCLS: 544/092.000
IC [7]
ICM: C07D265-12
ICS: A61K031-535
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 9 OF 69 USPATFULL on STN
AN 2005:4455 USPATFULL
TI Biological sample component purification and differential display
IN Zuckermann, Ronald N., El Cerrito, CA, UNITED STATES
Beausoleil, Eric, San Francisco, CA, UNITED STATES
Wachowicz, Matthew, San Francisco, CA, UNITED STATES
Kothakota, Srinivas, Santa Monica, CA, UNITED STATES
PA Chiron Corporation, Emeryville, CA (U.S. corporation)
PI US 2005003558 A1 20050106
AI US 2004-837288 A1 20040429 (10)
RLI Division of Ser. No. US 2000-704422, filed on 1 Nov 2000, GRANTED, Pat. No. US 6783929
PRAI US 1999-163110P 19991102 (60)
US 1999-169160P 19991206 (60)
DT Utility
FS APPLICATION
LN.CNT 1283
INCL INCLM: 436/518.000
NCL NCLM: 436/518.000
IC [7]
ICM: G01N033-543
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 10 OF 69 USPATFULL on STN
AN 2004:319515 USPATFULL
TI Acoustic ejection of fluids from a plurality of reservoirs
IN Ellson, Richard N., Palo Alto, CA, UNITED STATES
Foote, James K., Cupertino, CA, UNITED STATES
Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
PI US 2004252163 A1 20041216
AI US 2003-623487 A1 20030718 (10)
RLI Continuation of Ser. No. US 2001-964212, filed on 25 Sep 2001, GRANTED, Pat. No. US 6666541 Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000, ABANDONED Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 2548
INCL INCLM: 347/046.000
NCL NCLM: 347/046.000
IC [7]
ICM: B41J002-135

L10 ANSWER 11 OF 69 USPATFULL on STN
AN 2004:227324 USPATFULL
TI Method for in situ, on-chip chemical synthesis
IN Haushalter, Robert C., Los Gatos, CA, UNITED STATES
PI US 2004175710 A1 20040909
AI US 2003-477085 A1 20031106 (10)
WO 2002-US16403 20020522
PRAI US 2001-292788P 20010522 (60)
DT Utility
FS APPLICATION
LN.CNT 1564
INCL INCLM: 435/006.000
INCLS: 435/287.200; 427/002.110
NCL NCLM: 435/006.000
NCLS: 427/002.110; 435/287.200
IC [7]
ICM: C12Q001-68
ICS: B05D003-00; C12M001-34
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 12 OF 69 USPATFULL on STN
AN 2004:217810 USPATFULL
TI Biological sample component purification and differential display
IN Zuckermann, Ronald N., El Cerrito, CA, United States
Beausoleil, Eric, San Francisco, CA, United States
Wachowicz, Matthew, San Francisco, CA, United States
Kothakota, Srinivas, Santa Monica, CA, United States
PA Chiron Corporation, Emeryville, CA, United States (U.S. corporation)
PI US 6783929 B1 20040831
AI US 2000-704422 20001101 (9)
PRAI US 1999-169160P 19991206 (60)
US 1999-163110P 19991102 (60)
DT Utility
FS GRANTED
LN.CNT 1110
INCL INCLM: 435/004.000
INCLS: 435/007.100; 435/007.900; 435/007.920; 435/814.000; 436/164.000; 436/177.000; 436/518.000; 436/524.000; 436/528.000; 210/600.000; 210/634.000; 210/644.000; 210/645.000; 210/649.000; 210/650.000; 210/651.000; 210/656.000
NCL NCLM: 435/004.000
NCLS: 210/600.000; 210/634.000; 210/644.000; 210/645.000; 210/649.000; 210/650.000; 210/651.000; 210/656.000; 435/007.100; 435/007.900; 435/007.920; 435/814.000; 436/164.000; 436/177.000; 436/518.000; 436/524.000; 436/528.000
IC [7]
ICM: G01N033-53
ICS: G01N033-543
EXF 435/4; 435/7.1; 435/7.9; 435/7.92; 435/814; 435/6; 436/518; 436/524; 436/528; 436/164; 436/177; 210/600; 210/634; 210/644; 210/645; 210/649-651; 210/656; 210/660
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 13 OF 69 USPATFULL on STN
AN 2004:171391 USPATFULL
TI Bioconjugates of metal complexes of nitrogen-containing macrocyclic ligands
IN Neumann, William L., Ballwin, MO, UNITED STATES
Riley, Dennis P., Chesterfield, MO, UNITED STATES
Weiss, Randy H., St. Louis, MO, UNITED STATES
Henke, Susan L., Webster Groves, MO, UNITED STATES
Lennon, Patrick J., Webster Groves, MO, UNITED STATES
Aston, Karl W., Pacific, MO, UNITED STATES
PA MetaPhore Pharmaceuticals, Inc. (U.S. corporation)
PI US 2004131550 A1 20040708
AI US 2003-737486 A1 20031216 (10)
RLI Continuation of Ser. No. US 2003-405044, filed on 1 Apr 2003, PENDING
Division of Ser. No. US 1996-698631, filed on 16 Aug 1996, ABANDONED
PRAI US 1995-2394P 19950817 (60)
DT Utility
FS APPLICATION
LN.CNT 1804
INCL INCLM: 424/009.363
NCL NCLM: 424/009.363
IC [7]
ICM: A61K049-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 14 OF 69 USPATFULL on STN
AN 2004:137207 USPATFULL
TI Non-toxic corrosion-protection pigments based on rare earth elements
IN Phelps, Andrew Wells, Kettering, OH, UNITED STATES
Sturgill, Jeffrey Allen, Fairborn, OH, UNITED STATES
Swartzbaugh, Joseph Thomas, Clayton, OH, UNITED STATES
PI US 2004104377 A1 20040603
AI US 2003-625885 A1 20030723 (10)
RLI Continuation-in-part of Ser. No. US 2002-37576, filed on 4 Jan 2002, PENDING
DT Utility
FS APPLICATION
LN.CNT 17574
INCL INCLM: 252/387.000
INCLS: 252/389.200; 252/389.400; 252/389.500; 252/389.520; 252/389.530; 252/389.540; 252/389.610
NCL NCLM: 252/387.000
NCLS: 252/389.200; 252/389.400; 252/389.500; 252/389.520; 252/389.530; 252/389.540; 252/389.610
IC [7]
ICM: C09K003-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 15 OF 69 USPATFULL on STN
AN 2004:114645 USPATFULL
TI Electrophilic ketones for the treatment of herpesvirus infections
IN Flynn, Daniel L., Clarkson Valley, MO, UNITED STATES
Williams, Kenneth, Evanston, IL, UNITED STATES
Hockerman, Susan L., Chicago, IL, UNITED STATES
Zablocki, Jeffrey, Lafayette, CO, UNITED STATES
PI US 2004087491 A1 20040506
AI US 2003-696940 A1 20031030 (10)
RLI Division of Ser. No. US 2000-712002, filed on 14 Nov 2000, GRANTED, Pat. No. US 6673784 Continuation of Ser. No. US 1998-221016, filed on 23 Dec 1998, ABANDONED Continuation of Ser. No. US 1996-620681, filed on 19 Mar 1996, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 2073
INCL INCLM: 514/002.000
INCLS: 514/485.000; 514/535.000; 514/594.000; 514/522.000; 530/300.000; 558/415.000; 560/024.000; 564/050.000
NCL NCLM: 514/002.000
NCLS: 514/485.000; 514/522.000; 514/535.000; 514/594.000; 530/300.000; 558/415.000; 560/024.000; 564/050.000
IC [7]
ICM: A61K038-00
ICS: A61K031-277; A61K031-325
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 16 OF 69 USPATFULL on STN
AN 2004:76128 USPATFULL
TI Methods of diagnostic image analysis using bioconjugates of metal complexes of nitrogen-containing macrocyclic ligands
IN Neumann, William L., Ballwin, MO, UNITED STATES
Riley, Dennis P., Ballwin, MO, UNITED STATES
Weiss, Randy H., St. Louis, MO, UNITED STATES
Henke, Susan L., Webster Groves, MO, UNITED STATES
Lennon, Patrick J., Clayton, MO, UNITED STATES
Aston, Karl W., Pacific, MO, UNITED STATES
PA MetaPhore Pharmaceuticals, Inc. (U.S. corporation)
PI US 2004057904 A1 20040325
AI US 2003-405044 A1 20030401 (10)
RLI Division of Ser. No. US 1996-698631, filed on 16 Aug 1996, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 1803
INCL INCLM: 424/009.363
NCL NCLM: 424/009.363
IC [7]
ICM: A61K049-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 17 OF 69 USPATFULL on STN
AN 2004:28446 USPATFULL
TI Non-toxic corrosion-protection conversion coats based on rare earth elements
IN Phelps, Andrew Wells, Kettering, OH, UNITED STATES
Sturgill, Jeffrey Allen, Fairborn, OH, UNITED STATES
Swartzbaugh, Joseph Thomas, Clayton, OH, UNITED STATES
PI US 2004020568 A1 20040205
AI US 2003-625915 A1 20030723 (10)
RLI Continuation-in-part of Ser. No. US 2002-38274, filed on 4 Jan 2002, PENDING
DT Utility
FS APPLICATION
LN.CNT 19239
INCL INCLM: 148/273.000
NCL NCLM: 148/273.000
IC [7]
ICM: C23C022-48
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 18 OF 69 USPATFULL on STN
AN 2004:23078 USPATFULL
TI Non-toxic corrosion-protection rinses and seals based on rare earth elements
IN Phelps, Andrew Wells, Kettering, OH, UNITED STATES
Sturgill, Jeffrey Allen, Fairborn, OH, UNITED STATES
Swartzbaugh, Joseph Thomas, Clayton, OH, UNITED STATES
PI US 2004016910 A1 20040129
AI US 2003-625886 A1 20030723 (10)
RLI Continuation-in-part of Ser. No. US 2002-38150, filed on 4 Jan 2002, PENDING
DT Utility
FS APPLICATION
LN.CNT 18631
INCL INCLM: 252/387.000
NCL NCLM: 252/387.000
IC [7]
ICM: C09K003-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 19 OF 69 USPATFULL on STN
AN 2004:15958 USPATFULL
TI Non-toxic corrosion-protection pigments based on manganese
IN Sturgill, Jeffrey A., Fairborn, OH, UNITED STATES
Phelps, Andrew Wells, Kettering, OH, UNITED STATES
PI US 2004011252 A1 20040122
AI US 2003-341435 A1 20030113 (10)
DT Utility
FS APPLICATION
LN.CNT 17481
INCL INCLM: 106/401.000
INCLS: 423/599.000; 427/327.000; 427/299.000; 106/479.000; 106/481.000; 106/499.000; 106/455.000; 106/436.000; 106/450.000; 106/014.110; 106/014.150; 106/014.120; 106/014.220; 106/014.410; 106/014.420; 106/014.430; 106/014.440
NCL NCLM: 106/401.000
NCLS: 106/014.110; 106/014.120; 106/014.150; 106/014.220; 106/014.410; 106/014.420; 106/014.430; 106/014.440; 106/436.000; 106/450.000; 106/455.000; 106/479.000; 106/481.000; 106/499.000; 423/599.000; 427/299.000; 427/327.000
IC [7]
ICM: C01G045-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 20 OF 69 USPATFULL on STN
AN 2004:13401 USPATFULL
TI Peptide analogs as irreversible interleukin-1beta protease inhibitors
IN Dolle, Roland E., King of Prussia, PA, UNITED STATES
Osifo, Irennegbe K., West Chester, PA, UNITED STATES
Schmidt, Stanley J., Chester Springs, PA, UNITED STATES
Hoyer, Denton W., Exton, PA, UNITED STATES
Ross, Tina Morgan, Audubon, PA, UNITED STATES
Chaturvedula, Prasad V., Exton, PA, UNITED STATES
Prouty, Catherine P., Wayne, PA, UNITED STATES
Awad, Mohamed M.A., Frazer, PA, UNITED STATES
Salvino, Joseph M., Schwenksville, PA, UNITED STATES
Rinker, James M., Schwenksville, PA, UNITED STATES
Lodge, Eric P., Pottstown, PA, UNITED STATES
Singh, Jasbir, Gilbertsville, PA, UNITED STATES
Ator, Mark A., Paoli, PA, UNITED STATES
PI US 2004009923 A1 20040115
AI US 2003-347641 A1 20030116 (10)
RLI Division of Ser. No. US 1999-421954, filed on 20 Oct 1999, GRANTED, Pat. No. US 6576614 Division of Ser. No. US 1996-679350, filed on 10 Jul 1996, GRANTED, Pat. No. US 5985838 Continuation of Ser. No. US 1995-371723, filed on 12 Jan 1995, ABANDONED Continuation-in-part of Ser. No. US 1993-55051, filed on 29 Apr 1993, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 1289
INCL INCLM: 514/017.000
INCLS: 514/018.000; 514/019.000; 530/330.000; 530/331.000
NCL NCLM: 514/017.000
NCLS: 514/018.000; 514/019.000; 530/330.000; 530/331.000
IC [7]
ICM: A61K038-08
ICS: A61K038-06; A61K038-04; C07K005-06; C07K005-04
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 21 OF 69 USPATFULL on STN
AN 2004:4461 USPATFULL
TI Electrophilic ketones for the treatment of herpesvirus infections
IN Flynn, Daniel L., Clarkson Valley, MO, United States
Zablocki, Jeffery, Lafayette, CO, United States
Williams, Kenneth, Evanston, IL, United States
Hockerman, Susan L., Chicago, IL, United States
PA G. D. Searle & Co., Chicago, IL, United States (U.S. corporation)
PI US 6673784 B1 20040106
AI US 2000-712002 20001114 (9)
RLI Continuation of Ser. No. US 1998-221016, filed on 23 Dec 1998, now abandoned Continuation of Ser. No. US 1996-620681, filed on 19 Mar 1996, now abandoned
DT Utility
FS GRANTED
LN.CNT 1874
INCL INCLM: 514/183.000
INCLS: 514/252.110; 514/255.010; 514/354.000; 514/357.000; 514/415.000; 514/419.000; 514/443.000; 514/448.000; 514/469.000; 514/473.000; 544/406.000; 546/314.000; 546/329.000; 548/470.000; 548/492.000; 548/530.000; 549/462.000; 549/469.000; 549/467.000; 549/468.000; 549/479.000; 549/487.000
NCL NCLM: 514/183.000
NCLS: 514/252.110; 514/255.010; 514/354.000; 514/357.000; 514/415.000; 514/419.000; 514/443.000; 514/448.000; 514/469.000; 514/473.000; 544/406.000; 546/314.000; 546/329.000; 548/470.000; 548/492.000; 548/530.000; 549/462.000; 549/467.000; 549/468.000; 549/469.000; 549/479.000; 549/487.000
IC [7]
ICM: A61K031-33
ICS: C07D241-02; C07D213-00; C07D209-00; C07D307-02
EXF 514/183; 514/354; 514/252.11; 514/357; 514/255.01; 514/415; 514/419; 514/443; 514/448; 514/469; 514/473; 544/406; 546/314; 546/329; 548/470; 548/492; 548/530; 549/462; 549/469; 549/467; 549/468; 549/479; 549/483; 549/487
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 22 OF 69 USPATFULL on STN
AN 2003:333199 USPATFULL
TI Non-toxic corrosion-protection conversion coats based on cobalt
IN Sturgill, Jeffrey Allen, Fairborn, OH, UNITED STATES
Phelps, Andrew Wells, Kettering, OH, UNITED STATES
Swartzbaugh, Joseph Thomas, Phillipsburg, OH, UNITED STATES
PI US 2003234063 A1 20031225
AI US 2002-38274 A1 20020104 (10)
DT Utility
FS APPLICATION
LN.CNT 18145
INCL INCLM: 148/273.000
NCL NCLM: 148/273.000
IC [7]
ICM: C23C022-48
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 23 OF 69 USPATFULL on STN
AN 2003:328167 USPATFULL
TI Non-toxic corrosion-protection rinses and seals based on cobalt
IN Sturgill, Jeffrey Allen, Fairborn, OH, UNITED STATES
Phelps, Andrew Wells, Kettering, OH, UNITED STATES
Swartzbaugh, Joseph Thomas, Phillipsburg, OH, UNITED STATES
PI US 2003230363 A1 20031218
AI US 2002-38150 A1 20020104 (10)
DT Utility
FS APPLICATION
LN.CNT 17689
INCL INCLM: 148/243.000
INCLS: 148/246.000; 148/247.000; 148/253.000; 148/259.000; 148/260.000; 148/261.000; 148/262.000; 148/263.000
NCL NCLM: 148/243.000
NCLS: 148/246.000; 148/247.000; 148/253.000; 148/259.000; 148/260.000; 148/261.000; 148/262.000; 148/263.000
IC [7]
ICM: C23C022-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 24 OF 69 USPATFULL on STN
AN 2003:250422 USPATFULL
TI Bacteriocin-metal complexes in the detection of pathogens and other biological analytes
IN Olstein, Alan D., Mendota Heights, MN, UNITED STATES
Feirtag, Joellen, St. Paul, MN, UNITED STATES
PI US 2003175207 A1 20030918
AI US 2002-82618 A1 20020222 (10)
DT Utility
FS APPLICATION
LN.CNT 1973
INCL INCLM: 424/001.490
INCLS: 424/009.340; 530/322.000; 435/007.320
NCL NCLM: 424/001.490
NCLS: 424/009.340; 435/007.320; 530/322.000
IC [7]
ICM: A61K051-00
ICS: G01N033-554; G01N033-569; C07K009-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 25 OF 69 USPATFULL on STN
AN 2003:213653 USPATFULL
TI Method of immobilizing biologically active molecules for assay purposes in a microfluidic format
IN Robotti, Karla, Mountain View, CA, UNITED STATES
PI US 2003148291 A1 20030807
AI US 2002-72525 A1 20020205 (10)
DT Utility
FS APPLICATION
LN.CNT 1370
INCL INCLM: 435/006.000
INCLS: 435/007.900; 436/527.000
NCL NCLM: 435/006.000
NCLS: 435/007.900; 436/527.000
IC [7]
ICM: C12Q001-68
ICS: G01N033-53; G01N033-542; G01N033-552
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 26 OF 69 USPATFULL on STN
AN 2003:200867 USPATFULL
TI High density molecular arrays on porous surfaces
IN Ellson, Richard N., Palo Alto, CA, UNITED STATES
Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
Foote, James K., Cupertino, CA, UNITED STATES
PI US 2003138852 A1 20030724
AI US 2003-338158 A1 20030107 (10)
RLI Continuation of Ser. No. US 2001-964215, filed on 25 Sep 2001, PENDING Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000, ABANDONED Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 2400
INCL INCLM: 435/007.100
INCLS: 435/006.000; 436/518.000; 427/002.110
NCL NCLM: 435/007.100
NCLS: 427/002.110; 435/006.000; 436/518.000
IC [7]
ICM: C12Q001-68
ICS: G01N033-543; B05D003-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 27 OF 69 USPATFULL on STN
AN 2003:173873 USPATFULL
TI Electrophilic ketones for the treatment of herpesvirus infections
IN Flynn, Daniel L., Clarkson Valley, MO, UNITED STATES
Zablocki, Jeffery, Lafayette, CO, UNITED STATES
Williams, Kenneth, Evanston, IL, UNITED STATES
Hockerman, Susan L., Chicago, IL, UNITED STATES
PA G. D. Searle & Co., Corporate Patent Department, Chicago, IL (U.S. corporation)
PI US 2003119721 A1 20030626
US 6673788 B2 20040106
AI US 2002-303596 A1 20021125 (10)
RLI Division of Ser. No. US 2000-712002, filed on 14 Nov 2000, PENDING Continuation of Ser. No. US 1998-221016, filed on 23 Dec 1998, ABANDONED Continuation of Ser. No. US 1996-620681, filed on 19 Mar 1996, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 2118
INCL INCLM: 514/002.000
INCLS: 514/317.000; 514/357.000; 514/256.000; 514/252.120; 514/237.800; 514/365.000; 514/400.000; 514/374.000; 514/415.000; 514/438.000; 514/471.000; 514/616.000; 530/324.000; 544/159.000; 544/330.000; 544/402.000; 546/229.000; 546/329.000; 548/204.000; 548/236.000; 548/335.500; 548/496.000; 564/152.000
NCL NCLM: 514/183.000
NCL NCLM: 514/002.000
NCLS: 514/476.000; 514/535.000; 514/538.000; 514/646.000; 514/678.000; 514/688.000; 514/237.800; 514/252.120; 514/256.000; 514/317.000; 514/357.000; 514/365.000; 514/374.000; 514/400.000; 514/415.000; 514/438.000; 514/471.000; 514/616.000; 530/324.000; 544/159.000; 544/330.000; 544/402.000; 546/229.000; 546/329.000; 548/204.000; 548/236.000; 548/335.500; 548/496.000; 564/152.000
IC [7]
ICM: A61K038-10
ICS: A61K031-445; A61K031-495; A61K031-537; A61K031-426; A61K031-421; A61K031-381; A61K031-165
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 28 OF 69 USPATFULL on STN
AN 2003:155650 USPATFULL
TI Peptide analogs as irreversible interleukin-1β protease inhibitors
IN Dolle, Roland E., King of Prussia, PA, United States
Osifo, Irennegbe K., W. Norriton, PA, United States
Schmidt, Stanley J., Chester Springs, PA, United States
Hoyer, Denton W., Exton, PA, United States
Ross, Tina Morgan, Audubon, PA, United States
Chaturvedula, Prasad V., Cheshire, CT, United States
Prouty, Catherine P., Doylestown, PA, United States
Awad, Mohamed M. A., Westerly, RI, United States
Salvino, Joseph M., Schwenksville, PA, United States
Rinker, James M., Hamden, CT, United States
Lodge, Eric P., Glendale, AZ, United States
Singh, Jasbir, Gilbertsville, PA, United States
Ator, Mark A., Paoli, PA, United States
PA Vertex Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)
PI US 6576614 B1 20030610
AI US 1999-421954 19991020 (9)
RLI Division of Ser. No. US 1996-679350, filed on 10 Jul 1996, now patented, Pat. No. US 5985838 Continuation of Ser. No. US 1995-371723, filed on 12 Jan 1995, now abandoned Continuation-in-part of Ser. No. US 1993-55051, filed on 29 Apr 1993, now abandoned
DT Utility
FS GRANTED
LN.CNT 1316
INCL INCLM: 514/019.000
INCLS: 514/017.000; 514/018.000; 530/330.000; 530/331.000; 562/571.000
NCL NCLM: 514/019.000
NCLS: 514/017.000; 514/018.000; 530/330.000; 530/331.000; 562/571.000
IC [7]
ICM: C07K005-06
EXF 530/330; 530/331; 514/18; 514/19; 514/17; 562/571
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 29 OF 69 USPATFULL on STN
AN 2003:100176 USPATFULL
TI Process for preparing prodrugs of benzenesulfonamide-containing cox-2 inhibitors
IN Talley, John J., Boston, MA, UNITED STATES
Malecha, James W., Libertyville, IL, UNITED STATES
Bertenshaw, Stephen, Cheshire, CT, UNITED STATES
Graneto, Matthew J., Chesterfield, MO, UNITED STATES
Carter, Jeffery S., Chesterfield, MO, UNITED STATES
Li, Jinglin, Hopewell, NJ, UNITED STATES
Nagarajan, Srinivasan, Chesterfield, MO, UNITED STATES
Brown, David L., Chesterfield, MO, UNITED STATES
Rogier, Donald J., JR., Kalamazoo, MI, UNITED STATES
Penning, Thomas D., Elmhurst, IL, UNITED STATES
Khanna, Ish K., Libertyville, IL, UNITED STATES
Xu, Xiangdong, Gurnee, IL, UNITED STATES
Weier, Richard M., Lake Bluff, IL, UNITED STATES
PA Pharmacia Corporation (U.S. corporation)
PI US 2003069287 A1 20030410
US 6815460 B2 20041109
AI US 2002-178697 A1 20020624 (10)
RLI Division of Ser. No. US 2000-661859, filed on 14 Sep 2000, GRANTED, Pat. No. US 6436967 Continuation of Ser. No. US 1999-142993, filed on 18 Mar 1999, ABANDONED A 371 of International Ser. No. WO 1997-US5497, filed on 11 Apr 1997, PENDING A 371 of International Ser. No. US 1996-631514, filed on 12 Apr 1996, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 3285
INCL INCLM: 514/357.000
INCLS: 514/422.000; 514/408.000; 514/602.000; 546/330.000; 548/577.000; 548/517.000; 564/084.000; 564/086.000
NCL NCLM: 514/378.000
NCL NCLM: 514/357.000
NCLS: 548/247.000; 514/408.000; 514/422.000; 514/602.000; 546/330.000; 548/517.000; 548/577.000; 564/084.000; 564/086.000
IC [7]
ICM: A61K031-44
ICS: A61K031-4025; A61K031-40; A61K031-18
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 30 OF 69 USPATFULL on STN
AN 2003:85917 USPATFULL
TI Focused acoustic energy in the preparation of peptide arrays
IN Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
Ellson, Richard N., Palo Alto, CA, UNITED STATES
PI US 2003059522 A1 20030327
AI US 2002-271940 A1 20021015 (10)
RLI Continuation of Ser. No. US 2001-963173, filed on 25 Sep 2001, PENDING Continuation-in-part of Ser. No. US 2000-669997, filed on 25 Sep 2000, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 1750
INCL INCLM: 427/002.110
INCLS: 435/007.900; 435/287.200
NCL NCLM: 427/002.110
NCLS: 435/007.900; 435/287.200
IC [7]
ICM: B05D003-00
ICS: G01N033-53; G01N033-542; C12M001-34
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 31 OF 69 USPATFULL on STN
AN 2003:77027 USPATFULL
TI Acoustic ejection of fluids from a plurality of reservoirs
IN Ellson, Richard N., Palo Alto, CA, UNITED STATES
Foote, James K., Cupertino, CA, UNITED STATES
Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
PI US 2003052943 A1 20030320
US 6802593 B2 20041012
AI US 2002-269413 A1 20021011 (10)
RLI Continuation of Ser. No. US 2001-964212, filed on 25 Sep 2001, PENDING Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000, ABANDONED Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000, ABANDONED
DT Utility
FS APPLICATION
LN.CNT 2569
INCL INCLM: 347/046.000
NCL NCLM: 347/046.000
NCL NCLM: 347/046.000
IC [7]
ICM: B41J002-135

L10 ANSWER 32 OF 69 USPATFULL on STN
AN 2003:53802 USPATFULL
TI Manganese or iron complexes of nitrogen-containing macrocyclic ligands effective as catalysts for dismutating superoxide
IN Neumann, William L., Kirkwood, MO, United States
Riley, Dennis P., Ballwin, MO, United States
Weiss, Randy H., St. Louis, MO, United States
Henke, Susan L., Webster Groves, MO, United States
Lennon, Patrick J., Clayton, MO, United States
Aston, Karl W., Pacific, MO, United States
PA Pharmacia Corporation, St. Louis, MO, United States (U.S. corporation)
PI US 6525041 B1 20030225
AI US 1996-596887 19960314 (8)
RLI Continuation-in-part of Ser. No. US 1995-468854, filed on 6 Jun 1995, now abandoned
DT Utility
FS GRANTED
LN.CNT 1406
INCL INCLM: 514/184.000
INCLS: 514/185.000; 540/465.000
NCL NCLM: 514/184.000
NCLS: 514/185.000; 540/465.000
IC [7]
ICM: A61K031-555
ICS: C07D259-00
EXF 514/184; 514/185; 540/465
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 33 OF 69 USPATFULL on STN
AN 2003:11125 USPATFULL
TI Peptidyl heterocyclic ketones useful as tryptase inhibitors
IN Costanzo, Michael J., Ivyland, PA, UNITED STATES
Maryanoef, Bruce E., Forest Grove, PA, UNITED STATES
Yabut, Stephen C., North Wales, PA, UNITED STATES
PI US 2003008829 A1 20030109
AI US 2002-205355 A1 20020725 (10)
RLI Division of Ser. No. US 2000-482802, filed on 13 Jan 2000, GRANTED, Pat. No. US 6469036
PRAI US 1999-117602P 19990127 (60)
DT Utility
FS APPLICATION
LN.CNT 2066
INCL INCLM: 514/019.000
INCLS: 548/339.100; 564/152.000
NCL NCLM: 514/019.000
NCLS: 548/339.100; 564/152.000
IC [7]
ICM: A61K038-05
ICS: C07K005-04
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 34 OF 69 USPATFULL on STN
AN 2002:335702 USPATFULL
TI High-throughput biomolecular crystallization and biomolecular crystal screening
IN Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
Ellson, Richard N., Palo Alto, CA, UNITED STATES
Stearns, Richard G., Felton, CA, UNITED STATES
PI US 2002191048 A1 20021219
US 6808934 B2 20041026
AI US 2002-55245 A1 20020122 (10)
RLI Continuation-in-part of Ser. No. US 2001-765947, filed on 19 Jan 2001, PENDING Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000, PENDING Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000, PENDING
DT Utility
FS APPLICATION
LN.CNT 3490
INCL INCLM: 347/046.000
NCL NCLM: 436/180.000
NCL NCLM: 347/046.000
NCLS: 436/073.000; 436/086.000; 436/166.000; 436/174.000; 436/183.000
IC [7]
ICM: B41J002-135
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 35 OF 69 USPATFULL on STN
AN 2002:305941 USPATFULL
TI Method and system using acoustic ejection for preparing and analyzing a cellular sample surface
IN Ellson, Richard N., Palo Alto, CA, UNITED STATES
Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
Caprioli, Richard Michael, Brentwood, TN, UNITED STATES
PI US 2002171037 A1 20021121
US 6809315 B2 20041026
AI US 2002-87372 A1 20020301 (10)
RLI Continuation-in-part of Ser. No. US 2002-66546, filed on 30 Jan 2002, PENDING Continuation-in-part of Ser. No. US 2001-784705, filed on 14 Feb 2001, PENDING
DT Utility
FS APPLICATION
LN.CNT 1416
INCL INCLM: 250/288.000
NCL NCLM: 250/288.000
NCL NCLM: 250/288.000
NCLS: 073/864.000; 073/864.810; 422/063.000; 422/100.000; 435/030.000; 436/180.000
IC [7]
ICM: H01J049-04
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 36 OF 69 USPATFULL on STN
AN 2002:243567 USPATFULL
TI Method for identifying compounds to treat medical pathologies associated with molecular crystallization
IN Shell, John W., Hillsborough, CA, UNITED STATES
PI US 2002132758 A1 20020919
AI US 2002-52712 A1 20020117 (10)
PRAI US 2001-262987P 20010118 (60)
DT Utility
FS APPLICATION
LN.CNT 1620
INCL INCLM: 514/002.000
INCLS: 435/007.100
NCL NCLM: 514/002.000
NCLS: 435/007.100
IC [7]
ICM: G01N033-53
ICS: A61K038-17
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 37 OF 69 USPATFULL on STN
AN 2002:233260 USPATFULL
TI Acoustic sample introduction for analysis and/or processing
IN Ellson, Richard N., Palo Alto, CA, UNITED STATES
Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
PI US 2002125424 A1 20020912
US 6710335 B2 20040323
AI US 2002-66546 A1 20020130 (10)
RLI Continuation-in-part of Ser. No. US 2001-784705, filed on 14 Feb 2001, PENDING
DT Utility
FS APPLICATION
LN.CNT 2280
INCL INCLM: 250/288.000
NCL NCLM: 250/288.000
NCL NCLM: 250/288.000
NCLS: 073/864.000; 073/864.810; 422/063.000; 422/100.000; 435/030.000; 436/180.000
IC [7]
ICM: H01J049-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 38 OF 69 USPATFULL on STN
AN 2002:209549 USPATFULL
TI Process for preparing prodrugs of benzenesulfonamide-containing cox-2 inhibitors
IN Talley, John J, St. Louis, MO, United States
Malecha, James W, Libertyville, IL, United States
Bertenshaw, Stephen, Cheshire, CT, United States
Graneto, Matthew J, Chesterfield, MO, United States
Carter, Jeffery, Chesterfield, MO, United States
Li, Jinglin, Hopewell, NJ, United States
Nagarajan, Srinivasan, Chesterfield, MO, United States
Brown, David L, Chesterfield, MO, United States
Rogier, Jr., Donald J, Chesterfield, MO, United States
Penning, Thomas D, Elmhurst, IL, United States
Khanna, Ish K, Vernon Hills, IL, United States
Xu, Xiangdong, Gurnee, IL, United States
Weier, Richard M, Lake Bluff, IL, United States
PA Pharmacia Corporation, St. Louis, MO, United States (U.S. corporation)
PI US 6436967 B1 20020820
AI US 2000-661859 20000914 (9)
RLI Continuation of Ser. No. US 142993, now abandoned Continuation-in-part of Ser. No. US 1996-631514, filed on 12 Apr 1996, now abandoned
DT Utility
FS GRANTED
LN.CNT 3052
INCL INCLM: 514/341.000
INCLS: 514/377.000; 514/399.000; 514/400.000; 514/403.000; 514/406.000; 514/602.000; 546/274.100; 546/290.000; 548/225.000; 548/228.000; 548/229.000; 548/235.000; 548/314.700; 548/315.100; 548/315.400; 548/335.500; 548/338.500; 548/359.500; 548/375.100; 548/376.100; 548/377.100; 548/549.000; 548/556.000; 564/084.000; 564/091.000
NCL NCLM: 514/341.000
NCLS: 514/377.000; 514/399.000; 514/400.000; 514/403.000; 514/406.000; 514/602.000; 546/274.100; 546/290.000; 548/225.000; 548/228.000; 548/229.000; 548/235.000; 548/314.700; 548/315.100; 548/315.400; 548/335.500; 548/338.500; 548/359.500; 548/375.100; 548/376.100; 548/377.100; 548/549.000; 548/556.000; 564/084.000; 564/091.000
IC [7]
ICM: A61K031-44
ICS: A61K031-415; C07D263-04; C07D403-02; C07C303-08
EXF 514/341; 514/374; 514/602; 546/274.1; 546/290; 548/225; 548/228; 548/229; 548/235; 548/347; 548/315.1; 548/315.4; 548/335.5; 548/338.5; 548/359.5; 548/375.1; 548/376.1; 548/556; 564/84; 564/91
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 39 OF 69 USPATFULL on STN
AN 2002:206667 USPATFULL
TI Hydroxyethyl ureas as inhibitors of alzheimer's beta-amyloid production
IN Wolfe, Michael S., Newton, MA, UNITED STATES
Selkoe, Dennis J., Brookline, MA, UNITED STATES
PI US 2002111365 A1 20020815
US 6696488 B2 20040224
AI US 2001-927913 A1 20010810 (9)
PRAI US 2000-225043P 20000811 (60)
DT Utility
FS APPLICATION
LN.CNT 2040
INCL INCLM: 514/314.000
INCLS: 514/478.000; 546/176.000; 560/158.000; 560/024.000
NCL NCLM: 514/485.000
NCL NCLM: 514/314.000
NCLS: 514/314.000; 514/478.000; 514/487.000; 514/595.000; 514/596.000; 546/176.000; 560/024.000; 560/158.000
IC [7]
ICM: A61K031-4709
ICS: C07D041-02; A61K031-325
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 40 OF 69 USPATFULL on STN
AN 2002:178749 USPATFULL
TI Device and method for tracking conditions in an assay
IN Ellson, Richard N., Palo Alto, CA, UNITED STATES
Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
Harris, David L., Mountain View, CA, UNITED STATES
PI US 2002094537 A1 20020718
AI US 2001-40925 A1 20011228 (10)
RLI Continuation-in-part of Ser. No. US 2000-751231, filed on 29 Dec 2000, PENDING
DT Utility
FS APPLICATION
LN.CNT 1642
INCL INCLM: 435/006.000
INCLS: 435/007.100; 435/287.200; 427/002.110
NCL NCLM: 435/006.000
NCLS: 427/002.110; 435/007.100; 435/287.200
IC [7]
ICM: C12Q001-68
ICS: B05D003-00; G01N033-53; C12M001-34
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 41 OF 69 USPATFULL on STN
AN 2002:164701 USPATFULL
TI Integrated device with surface-attached molecular moieties and related machine-readable information
IN Ellson, Richard N., Palo Alto, CA, UNITED STATES
Foote, James K., Cupertino, CA, UNITED STATES
Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
PI US 2002086319 A1 20020704
AI US 2001-993353 A1 20011113 (9)
RLI Continuation-in-part of Ser. No. US 2000-712818, filed on 13 Nov 2000, PENDING
DT Utility
FS APPLICATION
LN.CNT 1777
INCL INCLM: 435/006.000
INCLS: 702/019.000; 705/040.000
NCL NCLM: 435/006.000
NCLS: 702/019.000; 705/040.000
IC [7]
ICM: C12Q001-68
ICS: G06F019-00; G01N033-48; G01N033-50; G06F017-60
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 42 OF 69 USPATFULL on STN
AN 2002:163464 USPATFULL
TI Focused acoustic energy in the preparation and screening of combinatorial libraries
IN Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
Ellson, Richard N., Palo Alto, CA, UNITED STATES
PI US 2002085063 A1 20020704
AI US 2001-962732 A1 20010924 (9)
RLI Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000, PENDING Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000, PENDING
DT Utility
FS APPLICATION
LN.CNT 2790
INCL INCLM: 347/046.000
NCL NCLM: 347/046.000
IC [7]
ICM: B41J002-135

L10 ANSWER 43 OF 69 USPATFULL on STN
AN 2002:119615 USPATFULL
TI Focused acoustic energy in the preparation and screening of combinatorial libraries
IN Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
Ellson, Richard N., Palo Alto, CA, UNITED STATES
PI US 2002061598 A1 20020523
US 6612686 B2 20030902
AI US 2001-964193 A1 20010925 (9)
RLI Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000, PENDING Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000, PENDING
DT Utility
FS APPLICATION
LN.CNT 2804
INCL INCLM: 436/180.000
INCLS: 436/154.000; 422/063.000; 422/100.000
NCL NCLM: 347/046.000
NCL NCLM: 436/180.000
NCLS: 422/063.000; 422/100.000; 436/154.000
IC [7]
ICM: B01J019-00
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 44 OF 69 USPATFULL on STN
AN 2002:95786 USPATFULL
TI 2-amino benzoxazinones for the treatment of viral infections
IN Abood, Norman, Morton Grove, IL, United States
Flynn, Daniel L., Mundelein, IL, United States
Becker, Daniel P., Glenview, IL, United States
Bax, Brian M., St. Lcharles, IL, United States
Li, Hui, Skokie, IL, United States
Nosal, Roger A., Buffalo Grove, IL, United States
Schretzman, Lori A., Gurnee, IL, United States
Villamil, Clara I., Glenview, IL, United States
PA G.D. Searle & Co., Chicago, IL, United States (U.S. corporation)
PI US 6380189 B1 20020430
AI US 2000-502038 20000211 (9)
RLI Continuation of Ser. No. US 952624, now abandoned
DT Utility
FS GRANTED
LN.CNT 5040
INCL INCLM: 514/230.500
INCLS: 544/092.000
NCL NCLM: 514/230.500
NCLS: 544/092.000
IC [7]
ICM: A61K031-536
ICS: C07D265-22
EXF 544/92; 514/230.5
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 45 OF 69 USPATFULL on STN
AN 2002:66926 USPATFULL
TI Acoustic ejection of fluids from a plurality of reservoirs
IN Ellson, Richard N., Palo Alto, CA, UNITED STATES
Foote, James K., Cupertino, CA, UNITED STATES
Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
PI US 2002037579 A1 20020328
US 6666541 B2 20031223
AI US 2001-964212 A1 20010925 (9)
RLI Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000, PENDING Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000, PENDING
DT Utility
FS APPLICATION
LN.CNT 2602
INCL INCLM: 435/287.200
INCLS: 422/100.000; 347/046.000
NCL NCLM: 347/046.000
NCL NCLM: 435/287.200
NCLS: 422/100.000
IC [7]
ICM: C12M001-34

L10 ANSWER 46 OF 69 USPATFULL on STN
AN 2002:66874 USPATFULL
TI High density molecular arrays on porous surfaces
IN Ellson, Richard N., Palo Alto, CA, UNITED STATES
Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
Foote, James K., Cupertino, CA, UNITED STATES
PI US 2002037527 A1 20020328
US 6746104 B2 20040608
AI US 2001-964215 A1 20010925 (9)
RLI Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000, PENDING Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000, PENDING
DT Utility
FS APPLICATION
LN.CNT 2343
INCL INCLM: 435/006.000
INCLS: 436/518.000
NCL NCLM: 347/046.000
NCL NCLM: 435/006.000
NCLS: 435/006.000; 435/007.100; 436/180.000; 436/518.000
IC [7]
ICM: C12Q001-68
ICS: G01N033-543
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 47 OF 69 USPATFULL on STN
AN 2002:66707 USPATFULL
TI Focused acoustic energy in the preparation of peptide arrays
IN Mutz, Mitchell W., Palo Alto, CA, UNITED STATES
Ellson, Richard N., Palo Alto, CA, UNITED STATES
PI US 2002037359 A1 20020328
AI US 2001-963173 A1 20010925 (9)
RLI Continuation-in-part of Ser. No. US 2000-669997, filed on 25 Sep 2000, PENDING
DT Utility
FS APPLICATION
LN.CNT 1823
INCL INCLM: 427/002.110
INCLS: 530/351.000; 530/388.100; 530/399.000; 435/176.000
NCL NCLM: 427/002.110
NCLS: 435/176.000; 530/351.000; 530/388.100; 530/399.000
IC [7]
ICM: B05D003-00
ICS: C07K014-52; C07K016-00; A61K038-24
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 48 OF 69 USPATFULL on STN
AN 2000:84429 USPATFULL
TI Manganese complexes of nitrogen-containing macrocyclic ligands effective as catalysts for dismutating superoxide
IN Riley, Dennis P., Ballwin, MO, United States
Weiss, Randy H., St. Louis, MO, United States
Neuman, William L., Creve Coeur, MO, United States
Modak, Anil S., Maryland Heights, MO, United States
Lennon, Patrick J., Clayton, MO, United States
Aston, Karl W., Pacific, MO, United States
PA G. D. Searle & Co., Chicago, IL, United States (U.S. corporation)
PI US 6084093 20000704
AI US 1995-442147 19950516 (8)
RLI Division of Ser. No. US 1993-80732, filed on 22 Jun 1993, now abandoned which is a continuation of Ser. No. US 1992-902146, filed on 26 Jun 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-829865, filed on 3 Feb 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-732853, filed on 19 Jul 1991, now abandoned
DT Utility
FS Granted
LN.CNT 4421
INCL INCLM: 540/465.000
INCLS: 540/466.000; 540/468.000
NCL NCLM: 540/465.000
NCLS: 540/466.000; 540/468.000
IC [7]
ICM: C07D259-00
ICS: C07D257-00
EXF 540/465; 514/161
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 49 OF 69 USPATFULL on STN
AN 1999:146571 USPATFULL
TI 2-amino-benzoxazinones for the treatment of viral infections
IN Abood, Norman Anthony, Morton Grove, IL, United States
Flynn, Daniel L., Libertyville, IL, United States
Becker, Daniel P., Glenview, IL, United States
Bax, Brian M., St. Charles, IL, United States
Li, Hui, Skokie, IL, United States
Nosal, Roger A., Buffalo Grove, IL, United States
Schretzman, Lori A, Gurnee, IL, United States
Villamil, Clara I, Glenview, IL, United States
PA G.D. Searle & Co., Chicago, IL, United States (U.S. corporation)
PI US 5985872 19991116
AI US 1995-448795 19950524 (8)
DT Utility
FS Granted
LN.CNT 5734
INCL INCLM: 514/230.500
INCLS: 544/092.000
NCL NCLM: 514/230.500
NCLS: 544/092.000
IC [6]
ICM: A61K031-535
ICS: C07D265-22
EXF 544/92; 514/230.5
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 50 OF 69 USPATFULL on STN
AN 1999:146537 USPATFULL
TI Peptide analogs as irreversible interleukin-1β protease inhibitors
IN Dolle, Roland E., King of Prussia, PA, United States
Osifo, Irennegbe K., W. Norrtion, PA, United States
Schmidt, Stanley J., Chester Springs, PA, United States
Hoyer, Denton W., Exton, PA, United States
Ross, Tina Morgan, Anderson, PA, United States
Chaturvedula, Prasad V., Cheshiye, CT, United States
Prouty, Catherine P., Doylestown, PA, United States
Awad, Mohamed M. A., Westerly, RI, United States
Salvino, Joseph M., Schwenksville, PA, United States
Rinker, James M., Hamdon, CT, United States
Lodge, Eric P., Glendale, AZ, United States
Singh, Jasbir, Gilbertsville, PA, United States
Ator, Mark A., Paoli, PA, United States
PA Vertex Pharmaceuticals, Inc., Cambridge, MA, United States (U.S. corporation)
PI US 5985838 19991116
AI US 1996-679350 19960710 (8)
RLI Continuation of Ser. No. US 1995-371723, filed on 12 Jan 1995, now abandoned which is a continuation-in-part of Ser. No. US 1993-55051, filed on 29 Apr 1993, now abandoned
DT Utility
FS Granted
LN.CNT 1226
INCL INCLM: 514/019.000
INCLS: 514/017.000; 514/018.000; 530/330.000; 530/331.000; 562/571.000
NCL NCLM: 514/019.000
NCLS: 514/017.000; 514/018.000; 530/330.000; 530/331.000; 562/571.000
IC [6]
ICM: A61K038-05
EXF 514/17-19; 530/330; 530/331; 562/571
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 51 OF 69 USPATFULL on STN
AN 1999:136648 USPATFULL
TI Methods of diagnostic image analysis using metal complexes of nitrogen-containing macrocyclic ligands
IN Neumann, William L., 844 Reindeer Dr., Ballwin, MO, United States 63021
Riley, Dennis P., 800 Chancellor Hts. Dr., Ballwin, MO, United States 63011
Weiss, Randy H., 3074 Woodbridge Estates, St. Louis, MO, United States 63129
Henke, Susan L., 123 Parsons Ave., Webster Groves, MO, United States 63119
Lennon, Patrick J., 7540 Wydown Blvd., Clayton, MO, United States 63105
Aston, Karl W., 1940 Sunflower Ridge, Pacific, MO, United States 63069
PI US 5976498 19991102
AI US 1996-698612 19960816 (8)
PRAI US 1995-2422P 19950817 (60)
DT Utility
FS Granted
LN.CNT 1333
INCL INCLM: 424/009.100
INCLS: 424/009.362; 424/009.300; 424/009.400; 424/009.500; 424/001.650; 514/184.000; 514/186.000; 514/161.000
NCL NCLM: 424/009.100
NCLS: 424/001.650; 424/009.300; 424/009.362; 424/009.400; 424/009.500; 514/161.000; 514/184.000; 514/186.000
IC [6]
ICM: A61K049-00
ICS: G01N031-00
EXF 424/9.361; 424/9.362; 424/1.11; 424/9.1; 424/9.3; 424/9.36; 424/9.4; 424/9.42; 424/9.5; 424/9.6; 424/9.7; 424/9.8; 514/184; 514/186; 514/161; 548/100; 540/1; 540/474; 540/450; 540/465; 534/15; 534/16
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 52 OF 69 USPATFULL on STN
AN 1999:89174 USPATFULL
TI Prodrugs of benzenesulfonamide-containing COX-2 inhibitors
IN Talley, John J, Brentwood, MO, United States
Malecha, James W, Libertyville, IL, United States
Bertenshaw, Stephen, Brentwood, MO, United States
Graneto, Matthew J, St. Louis, MO, United States
Carter, Jeffery, Chesterfield, MO, United States
Li, Jinglin, Chesterfield, MO, United States
Nagarajan, Srinivasan, Chesterfield, MO, United States
Brown, David L, Chesterfield, MO, United States
Rogier, Jr., Donald J, Chesterfield, MO, United States
Penning, Thomas D, Elmhurst, IL, United States
Khanna, Ish K, Vernon Hills, IL, United States
Xu, Xiangdong, Evanston, IL, United States
Weier, Richard M, Lake Bluff, IL, United States
PA G. D. Searle & Co., Skokie, IL, United States (U.S. corporation)
PI US 5932598 19990803
AI US 1998-5610 19980112 (9)
RLI Continuation of Ser. No. US 1996-631514, filed on 12 Apr 1996, now abandoned
DT Utility
FS Granted
LN.CNT 4101
INCL INCLM: 514/341.000
INCLS: 514/374.000; 514/397.000; 514/399.000; 514/403.000; 514/406.000; 514/602.000; 546/274.100; 546/290.000; 548/225.000; 548/228.000; 548/229.000; 548/314.700; 548/315.100; 548/235.000; 548/328.500; 548/335.500; 548/375.100; 548/376.100; 548/377.100; 548/359.500; 548/541.000; 548/544.000; 548/556.000; 564/061.000; 564/084.000
NCL NCLM: 514/341.000
NCLS: 514/374.000; 514/397.000; 514/399.000; 514/403.000; 514/406.000; 514/602.000; 546/274.100; 546/290.000; 548/225.000; 548/228.000; 548/229.000; 548/235.000; 548/314.700; 548/315.100; 548/328.500; 548/335.500; 548/359.500; 548/375.100; 548/376.100; 548/377.100; 548/541.000; 548/544.000; 548/556.000; 564/061.000; 564/084.000
IC [6]
ICM: A61K031-42
ICS: A61K031-415; A61K031-16; C07D211-72; C07D211-84; C07D263-32; C07D403-02; C07D223-04; C07D231-10; C07D207-00; C07D207-12
EXF 546/274.1; 546/290; 548/225; 548/228; 548/229; 548/314.7; 548/315.1; 548/315.4; 548/235; 548/375.1; 548/376.1; 548/377.1; 548/359.5; 548/541; 548/544; 548/556; 548/335.5; 548/328.5; 514/341; 514/374; 514/397; 514/399; 514/403; 514/406; 514/602; 564/84; 564/61
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 53 OF 69 USPATFULL on STN
AN 1999:24640 USPATFULL
TI Manganese complexes of nitrogen-containing macrocyclic ligands effective as catalysts for dismutating superoxide
IN Riley, Dennis P., Ballwin, MO, United States
Weiss, Randy H., St. Louis, MO, United States
Neuman, William L., Creve Coeur, MO, United States
Modak, Anil S., Maryland Heights, MO, United States
Lennon, Patrick J., Clayton, MO, United States
Aston, Karl W., Pacific, MO, United States
PA G. D. Searle & Co., Chicago, IL, United States (U.S. corporation)
PI US 5874421 19990223
AI US 1995-469064 19950606 (8)
RLI Continuation of Ser. No. US 1993-80732, filed on 22 Jun 1993, now abandoned And Ser. No. US 1992-902146, filed on 26 Jun 1992, now abandoned And a continuation-in-part of Ser. No. US 1992-829865, filed on 3 Feb 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-732853, filed on 19 Jul 1991, now abandoned
DT Utility
FS Granted
LN.CNT 4628
INCL INCLM: 514/161.000
INCLS: 514/183.000; 514/185.000; 540/465.000; 540/472.000; 540/474.000
NCL NCLM: 514/161.000
NCLS: 514/183.000; 514/185.000; 540/465.000; 540/472.000; 540/474.000
IC [6]
ICM: A61K031-635
ICS: C07D273-00; C07D225-02
EXF 540/465; 540/470; 540/474; 514/161
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 54 OF 69 USPATFULL on STN
AN 1998:19825 USPATFULL
TI Process for preparing substituted polyazamacrocycles
IN Lennon, Patrick J., Clayton, MO, United States
Henke, Susan L., Webster Grove, MO, United States
Aston, Karl W., Pacific, MO, United States
PA The Monsanto Company, St. Louis, MO, United States (U.S. corporation)
PI US 5721361 19980224
AI US 1996-665070 19960611 (8)
RLI Continuation of Ser. No. US 1995-486434, filed on 7 Jun 1995, now abandoned
DT Utility
FS Granted
LN.CNT 2348
INCL INCLM: 540/450.000
INCLS: 540/451.000; 540/452.000
NCL NCLM: 540/450.000
NCLS: 540/451.000; 540/452.000
IC [6]
ICM: C07D225-02
EXF 540/450; 540/451; 540/452
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 55 OF 69 USPATFULL on STN
AN 97:49628 USPATFULL
TI Manganese complexes of nitrogen-containing macrocyclic ligands effective as catalysts for dismutating superoxide
IN Riley, Dennis P., 800 Chancellor Hgts. Dr., Ballwin, MO, United States 63011
Weiss, Randy H., 11062 "L" Oak Spur Ct., St. Louis, MO, United States 63146
Neuman, William L., 968 Coventry Ct., Creve Coeur, MO, United States 63141
Modak, Anil S., 1193 Schulte Hill, Maryland Heights, MO, United States 63043
Lennon, Patrick J., 7540 Wydown Blvd. #3 W., Clayton, MO, United States 63105
Aston, Karl W., 19040 Sunflower Ridge La., Pacific, MO, United States 63069
PI US 5637578 19970610
AI US 1995-442454 19950516 (8)
RLI Division of Ser. No. US 1993-80732, filed on 22 Jun 1993 which is a continuation of Ser. No. US 1992-902146, filed on 26 Jun 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-829865, filed on 3 Feb 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-732853, filed on 19 Jul 1991, now abandoned
DT Utility
FS Granted
LN.CNT 4501
INCL INCLM: 514/186.000
INCLS: 514/183.000; 514/184.000; 540/472.000; 540/474.000; 540/473.000
NCL NCLM: 514/186.000
NCLS: 514/183.000; 514/184.000; 540/472.000; 540/473.000; 540/474.000
IC [6]
ICM: C07D487-22
ICS: A61K031-675; A61K047-16
EXF 540/474; 540/472; 514/183; 514/186; 514/184
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 56 OF 69 USPATFULL on STN
AN 97:40822 USPATFULL
TI Urea opthalmic ointment and solution
IN Charlton, Judie P., Morgantown, WV, United States
Schwab, Ivan R., Sacramento, CA, United States
PA West Virginia University Research Corporation, Morgantown, WV, United States (U.S. corporation)
PI US 5629344 19970513
AI US 1995-453201 19950530 (8)
RLI Continuation of Ser. No. US 1993-118265, filed on 9 Sep 1993, now patented, Pat. No. US 5470881
DT Utility
FS Granted
LN.CNT 519
INCL INCLM: 514/588.000
INCLS: 514/912.000
NCL NCLM: 514/588.000
NCLS: 514/912.000
IC [6]
ICM: A61K031-17
EXF 514/588; 514/912
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 57 OF 69 USPATFULL on STN
AN 97:20660 USPATFULL
TI Methods of preparing manganese complexes of nitrogen-containing macrocyclic ligands
IN Riley, Dennis P., Ballwin, MO, United States
Weiss, Randy H., St. Louis, MO, United States
Neuman, William L., Creve Coeur, MO, United States
Modak, Anil S., Maryland Heights, MO, United States
Lennon, Patrick J., Clayton, MO, United States
Aston, Karl W., Pacific, MO, United States
PA Monsanto Company, St. Louis, MO, United States (U.S. corporation)
PI US 5610293 19970311
AI US 1995-442455 19950516 (8)
RLI Division of Ser. No. US 1993-80732, filed on 22 Jun 1993 And a continuation of Ser. No. US 1992-902146, filed on 26 Jun 1992, now abandoned which is a continuation-in-part of Ser. No. US 1992-829865, filed on 3 Feb 1992, now abandoned which is a continuation-in-part of Ser. No. US 1991-732853, filed on 19 Jul 1991, now abandoned
DT Utility
FS Granted
LN.CNT 4755
INCL INCLM: 540/474.000
INCLS: 540/465.000
NCL NCLM: 540/474.000
NCLS: 540/465.000
IC [6]
ICM: C07D259-00
ICS: C07D257-00
EXF 540/474; 514/161; 514/183
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 58 OF 69 USPATFULL on STN
AN 95:105871 USPATFULL
TI Urea ophthalmic ointment and solution
IN Charlton, Judie F., Morgantown, WV, United States
Schwab, Ivan R., Sacramento, CA, United States
Stuchell, Robert M., Morgantown, WV, United States
PA West Virginia University Research Corporation, United States (U.S. corporation)
PI US 5470881 19951128
AI US 1993-118265 19930909 (8)
DT Utility
FS Granted
LN.CNT 516
INCL INCLM: 514/588.000
INCLS: 514/912.000
NCL NCLM: 514/588.000
NCLS: 514/912.000
IC [6]
ICM: A61K031-17
EXF 514/588; 514/912
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 59 OF 69 USPATFULL on STN
AN 93:43781 USPATFULL
TI Surfactant treatment of implantable biological tissue to inhibit calcification
IN Nashef, Aws S., Costa Mesa, CA, United States
Ahmed, Ahmed I., Riverside, CA, United States
PA Baxter International Inc., Deerfield, IL, United States (U.S. corporation)
PI US 5215541 19930601
AI US 1985-713204 19850318 (6)
RLI Division of Ser. No. US 1982-441023, filed on 12 Nov 1982, now abandoned
DT Utility
FS Granted
LN.CNT 469
INCL INCLM: 008/094.110
INCLS: 623/001.000; 623/002.000; 623/003.000
NCL NCLM: 128/898.000
NCLS: 008/094.110; 623/922.000
IC [5]
ICM: A61L027-00
EXF 008/94.11; 008/1; 003/1.4; 003/1.5; 424/333; 424/334; 623/1; 623/2; 623/3
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 60 OF 69 USPATFULL on STN
AN 89:97065 USPATFULL
TI Surfactant treatment of implantable biological tissue to inhibit calcification
IN Nashef, Aws S., Costa Mesa, CA, United States
Ahmed, Ahmed I., Riverside, CA, United States
PA Baxter International Inc., Deerfield, IL, United States (U.S. corporation)
PI US 4885005 19891205
AI US 1987-20202 19870227 (7)
RLI Continuation of Ser. No. US 1985-711883, filed on 15 Mar 1985, now abandoned which is a division of Ser. No. US 1982-441023, filed on 12 Nov 1982, now abandoned
DT Utility
FS Granted
LN.CNT 467
INCL INCLM: 008/094.110
INCLS: 623/001.000; 623/002.000; 623/003.000
NCL NCLM: 008/094.110
NCLS: 623/922.000
IC [4]
ICM: A61F001-22
EXF 008/94.11; 623/1; 623/2; 623/3

L10 ANSWER 61 OF 69 USPAT2 on STN
AN 2003:173873 USPAT2
TI Electrophilic ketones for the treatment of herpesvirus infections
IN Flynn, Daniel L., Clarkson Valley, MO, United States
Zablocki, Jeffery, Lafayette, CO, United States
Williams, Kenneth, Evanston, IL, United States
Hockerman, Susan L., Chicago, IL, United States
PA G. D. Searle & Co., Chicago, IL, United States (U.S. corporation)
PI US 6673788 B2 20040106
AI US 2002-303596 20021125 (10)
RLI Division of Ser. No. US 2000-712002, filed on 14 Nov 2000 Continuation of Ser. No. US 1998-221016, filed on 23 Dec 1998, now abandoned Continuation of Ser. No. US 1996-620681, filed on 19 Mar 1996, now abandoned
DT Utility
FS GRANTED
LN.CNT 1971
INCL INCLM: 514/183.000
INCLS: 514/476.000; 514/535.000; 514/538.000; 514/646.000; 514/678.000; 514/688.000
NCL NCLM: 514/183.000
NCL NCLM: 514/002.000
NCLS: 514/476.000; 514/535.000; 514/538.000; 514/646.000; 514/678.000; 514/688.000; 514/237.800; 514/252.120; 514/256.000; 514/317.000; 514/357.000; 514/365.000; 514/374.000; 514/400.000; 514/415.000; 514/438.000; 514/471.000; 514/616.000; 530/324.000; 544/159.000; 544/330.000; 544/402.000; 546/229.000; 546/329.000; 548/204.000; 548/236.000; 548/335.500; 548/496.000; 564/152.000
IC [7]
ICM: A61K031-33
ICS: A61K031-27; A61K031-245; A61K031-13
EXF 514/183; 514/476; 514/535; 514/538; 514/646; 514/678; 514/688
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 62 OF 69 USPAT2 on STN
AN 2003:100176 USPAT2
TI Process for preparing prodrugs of benzenesulfonamide-containing cox-2 inhibitors
IN Talley, John J, Boston, MA, United States
Malecha, James W, Libertyville, IL, United States
Bertenshaw, Stephen, Cheshire, CT, United States
Granato, Matthew J, Chesterfield, MO, United States
Carter, Jeffery, Chesterfield, MO, United States
Li, Jinglin, Hopewell, NJ, United States
Nagarajan, Srinivasan, Chesterfield, MO, United States
Brown, David L, Chesterfield, MO, United States
Rogier, Jr., Donald J, Kalamazoo, MI, United States
Penning, Thomas D, Elmhurst, IL, United States
Khanna, Ish K, Libertyville, IL, United States
Xu, Xiangdong, Gurnee, IL, United States
Weier, Richard M, Lake Bluff, IL, United States
PA Pharmacia Corporation, St. Louis, MO, United States (U.S. corporation)
PI US 6815460 B2 20041109
AI US 2002-178697 20020624 (10)
RLI Division of Ser. No. US 2000-661859, filed on 14 Sep 2000, now patented, Pat. No. US 6436967 Continuation of Ser. No. US 142993, now abandoned Continuation-in-part of Ser. No. US 1996-631514, filed on 12 Apr 1996, now abandoned
DT Utility
FS GRANTED
LN.CNT 2760
INCL INCLM: 514/378.000
INCLS: 548/247.000
NCL NCLM: 514/378.000
NCL NCLM: 514/357.000
NCLS: 548/247.000; 514/408.000; 514/422.000; 514/602.000; 546/330.000; 548/517.000; 548/577.000; 564/084.000; 564/086.000
IC [7]
ICM: A61K031-42
ICS: C07D261-06
EXF 548/247; 514/378
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 63 OF 69 USPAT2 on STN
AN 2003:77027 USPAT2
TI Acoustic ejection of fluids from a plurality of reservoirs
IN Ellson, Richard N., Palo Alto, CA, United States
Foote, James K., Cupertino, CA, United States
Mutz, Mitchell W., Palo Alto, CA, United States
PA Picoliter Inc., Sunnyvale, CA, United States (U.S. corporation)
PI US 6802593 B2 20041012
AI US 2002-269413 20021011 (10)
RLI Continuation of Ser. No. US 2001-964212, filed on 25 Sep 2001, now patented, Pat. No. US 6666541, issued on 23 Dec 2003 Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000, now abandoned Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000, now abandoned
DT Utility
FS GRANTED
LN.CNT 2563
INCL INCLM: 347/046.000
NCL NCLM: 347/046.000
NCL NCLM: 347/046.000
IC [7]
ICM: B41J002-135
EXF 347/46; 347/44; 347/40; 347/39; 347/47; 264/9; 422/100

L10 ANSWER 64 OF 69 USPAT2 on STN
AN 2002:335702 USPAT2
TI High-throughput biomolecular crystallization and biomolecular crystal screening
IN Mutz, Mitchell W., Palo Alto, CA, United States
Ellson, Richard N., Palo Alto, CA, United States
Stearns, Richard G., Felton, CA, United States
PA Picoliter Inc., Mountain View, CA, United States (U.S. corporation)
PI US 6808934 B2 20041026
AI US 2002-55245 20020122 (10)
RLI Continuation-in-part of Ser. No. US 2001-765947, filed on 19 Jan 2001, now abandoned Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000, now abandoned Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000, now abandoned
DT Utility
FS GRANTED
LN.CNT 3318
INCL INCLM: 436/180.000
INCLS: 436/086.000; 436/174.000; 436/166.000; 436/073.000; 436/001.830
NCL NCLM: 436/180.000
NCL NCLM: 347/046.000
NCLS: 436/073.000; 436/086.000; 436/166.000; 436/174.000; 436/183.000
IC [7]
ICM: G01N001-10
EXF 436/86; 436/174; 436/180; 436/164; 436/166; 073/1.83

L10 ANSWER 65 OF 69 USPAT2 on STN
AN 2002:305941 USPAT2
TI Method and system using acoustic ejection for preparing and analyzing a cellular sample surface
IN Ellson, Richard N., Palo Alto, CA, United States
Mutz, Mitchell W., Palo Alto, CA, United States
Caprioli, Richard Michael, Brentwood, TN, United States
PA Picoliter Inc., Mountain View, CA, United States (U.S. corporation)
PI US 6809315 B2 20041026
AI US 2002-87372 20020301 (10)
RLI Continuation-in-part of Ser. No. US 2002-66546, filed on 30 Jan 2002
Continuation-in-part of Ser. No. US 2001-784705, filed on 14 Feb 2001, now patented, Pat. No. US 6603118
DT Utility
FS GRANTED
LN.CNT 1442
INCL INCLM: 250/288.000
INCLS: 436/180.000; 422/100.000; 422/063.000; 435/030.000; 073/864.000; 073/864.810
NCL NCLM: 250/288.000
NCL NCLM: 250/288.000
NCLS: 073/864.000; 073/864.810; 422/063.000; 422/100.000; 435/030.000; 436/180.000
IC [7]
ICM: H01J049-04
ICS: G01N001-10; G01N035-10
EXF 250/288; 436/180; 422/100; 422/63; 435/30; 073/864
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 66 OF 69 USPAT2 on STN
AN 2002:233260 USPAT2
TI Acoustic sample introduction for analysis and/or processing
IN Ellson, Richard N., Palo Alto, CA, United States
Mutz, Mitchell W., Palo Alto, CA, United States
PA Picoliter Inc., Sunnyvale, CA, United States (U.S. corporation)
PI US 6710335 B2 20040323
AI US 2002-66546 20020130 (10)
RLI Continuation-in-part of Ser. No. US 2001-784705, filed on 14 Feb 2001, now patented, Pat. No. US 6603118
DT Utility
FS GRANTED
LN.CNT 2110
INCL INCLM: 250/288.000
INCLS: 436/180.000; 422/100.000; 422/063.000; 435/030.000; 073/864.000; 073/864.810
NCL NCLM: 250/288.000
NCL NCLM: 250/288.000
NCLS: 073/864.000; 073/864.810; 422/063.000; 422/100.000; 435/030.000; 436/180.000
IC [7]
ICM: H01J049-04
ICS: G01N001-10; G01N035-10
EXF 250/288; 436/180; 422/63; 422/100; 435/30; 073/864; 073/864.81
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 67 OF 69 USPAT2 on STN
AN 2002:119615 USPAT2
TI Focused acoustic energy in the preparation and screening of combinatorial libraries
IN Mutz, Mitchell W., Palo Alto, CA, United States
Ellson, Richard N., Palo Alto, CA, United States
PA Picoliter Inc., Sunnyvale, CA, United States (U.S. corporation)
PI US 6612686 B2 20030902
AI US 2001-964193 20010925 (9)
RLI Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000, now abandoned Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000, now abandoned
DT Utility
FS GRANTED
LN.CNT 2753
INCL INCLM: 347/046.000
NCL NCLM: 347/046.000
NCL NCLM: 436/180.000
NCLS: 422/063.000; 422/100.000; 436/154.000
IC [7]
ICM: B41J002-135
EXF 347/46; 347/1; 347/9; 347/11-12; 347/52; 347/54; 347/20; 347/44; 347/55; 347/15; 347/10; 347/40; 347/121; 205/81; 502/104; 502/1; 502/2; 502/102; 502/103; 436/501; 204/298.11; 204/298.12
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 68 OF 69 USPAT2 on STN
AN 2002:66926 USPAT2
TI Acoustic ejection of fluids from a plurality of reservoirs
IN Ellson, Richard N., Palo Alto, CA, United States
Foote, James K., Cupertino, CA, United States
Mutz, Mitchell W., Palo Alto, CA, United States
PA Picoliter Inc., Sunnyvale, CA, United States (U.S. corporation)
PI US 6666541 B2 20031223
AI US 2001-964212 20010925 (9)
RLI Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000
Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000
DT Utility
FS GRANTED
LN.CNT 2560
INCL INCLM: 347/046.000
NCL NCLM: 347/046.000
NCL NCLM: 435/287.200
NCLS: 422/100.000
IC [7]
ICM: B41J002-135
EXF 347/46-48; 347/101; 347/107; 347/10; 347/6; 347/40; 347/43; 347/44; 347/55; 347/75; 436/180; 427/2.11; 427/600; 435/6

L10 ANSWER 69 OF 69 USPAT2 on STN
AN 2002:66874 USPAT2
TI Method for generating molecular arrays on porous surfaces
IN Ellson, Richard N., Palo Alto, CA, United States
Mutz, Mitchell W., Palo Alto, CA, United States
Foote, James K., Cupertino, CA, United States
PA Picoliter Inc., Sunnyvale, CA, United States (U.S. corporation)
PI US 6746104 B2 20040608
AI US 2001-964215 20010925 (9)
RLI Continuation-in-part of Ser. No. US 2000-727392, filed on 29 Nov 2000, now abandoned Continuation-in-part of Ser. No. US 2000-669996, filed on 25 Sep 2000, now abandoned
DT Utility
FS GRANTED
LN.CNT 2324
INCL INCLM: 347/046.000
INCLS: 435/006.000; 435/007.100; 436/180.000
NCL NCLM: 347/046.000
NCL NCLM: 435/006.000
NCLS: 435/006.000; 435/007.100; 436/180.000; 436/518.000
IC [7]
ICM: B41J002-135
EXF 435/6; 435/7.1; 435/287.2; 435/DIG.49; 436/180; 436/524-530; 347/46; 205/81
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

```
=> s aspartam?(5a)(sulfamid? or sulfonamid?)
L11           1 ASPARTAM?(5A)(SULFAMID? OR SULFONAMID?)

=> d
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L11 ANSWER 1 OF 1 USPATFULL on STN
AN 2003:146848 USPATFULL
TI Oral dosage form of a sulfonamide prodrug
IN Karim, Aziz, Skokie, IL, UNITED STATES
Nema, Sandeep, Grayslake, IL, UNITED STATES
Ewing, Gary D., Kalamazoo, MI, UNITED STATES
PI US 2003100595 A1 20030529
AI US 2002-292682 A1 20021112 (10)
PRAI US 2001-350596P 20011113 (60)
DT Utility
FS APPLICATION
LN.CNT 1270
INCL INCLM: 514/406.000
INCLS: 514/471.000
NCL NCLM: 514/406.000
NCLS: 514/471.000
IC [7]
ICM: A61K031-415
ICS: A61K031-365
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

=> log y

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FULL ESTIMATED COST	71.40	126.30

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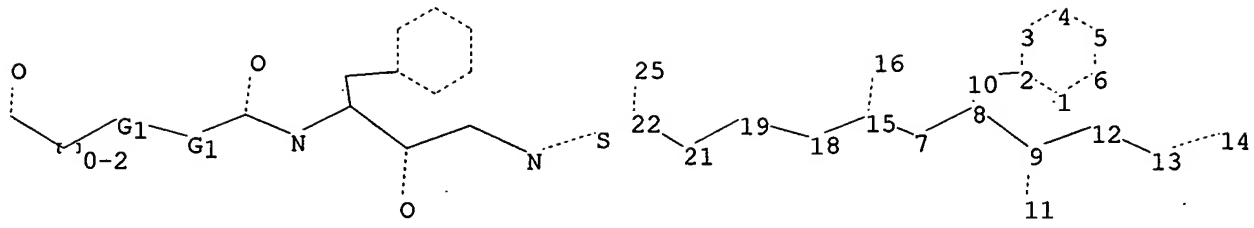
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10784916\10784916i.str



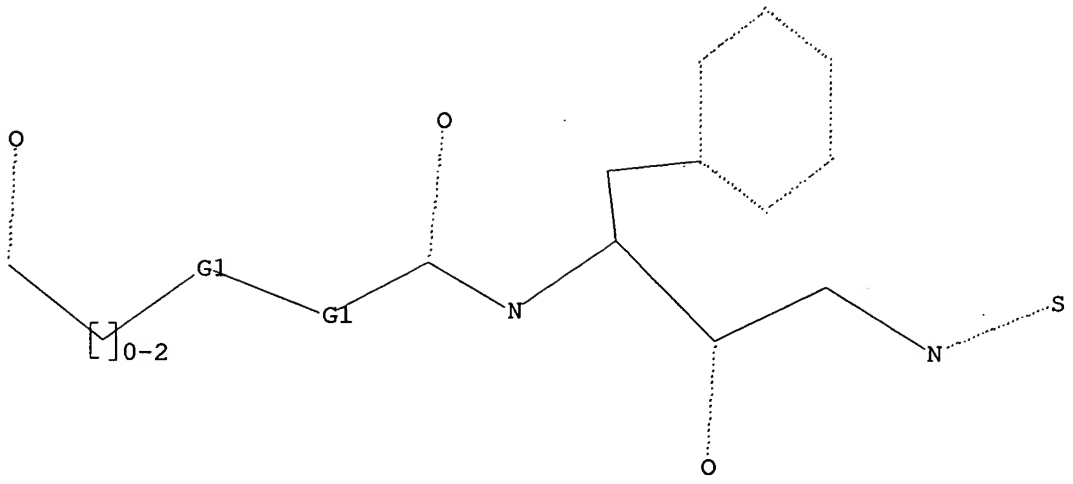
chain nodes :
7 8 9 10 11 12 13 14 15 16 18 19 21 22 25
ring nodes :
1 2 3 4 5 6
chain bonds :
2-10 7-8 7-15 8-9 8-10 9-11 9-12 12-13 13-14 15-16 15-18 18-19 19-21
21-22 22-25
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-15 9-11 12-13 13-14 15-16 15-18 18-19
19-21 22-25
exact bonds :
2-10 8-9 8-10 9-12 21-22

G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 18:CLASS 19:CLASS
21:CLASS 22:CLASS 25:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s L1
SAMPLE SEARCH INITIATED 15:10:30 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 110 TO ITERATE

100.0% PROCESSED 110 ITERATIONS 39 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1571 TO 2829
PROJECTED ANSWERS: 406 TO 1154

L2 39 SEA SSS SAM L1

=> s L1 full
FULL SEARCH INITIATED 15:10:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 2448 TO ITERATE

100.0% PROCESSED 2448 ITERATIONS 766 ANSWERS
SEARCH TIME: 00.00.01

L3 766 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 161.33 161.54

FILE 'CAPLUS' ENTERED AT 15:10:37 ON 12 AUG 2005

FILE COVERS 1907 - 12 Aug 2005 VOL 143 ISS 8
FILE LAST UPDATED: 11 Aug 2005 (20050811/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L3
L4 64 L3

=> d 55-64 ibib abs hitstr

L4 ANSWER 55 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:352211 CAPLUS
DOCUMENT NUMBER: 122:204547
TITLE: Inhibitors of HIV-1 Protease Containing the Novel and Potent (R)-(Hydroxyethyl)sulfonamide Isostere
AUTHOR(S): Vazquez, Michael L.; Bryant, Martin L.; Clare, Michael; DeCrescenzo, Gary A.; Doherty, Elizabeth M.; Freskos, John N.; Getman, Daniel P.; Houseman, Kathryn A.; Julien, Janet A.; et al.
CORPORATE SOURCE: Searle Discovery Research, Skokie, IL, 60077, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(4), 581-4
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:204547

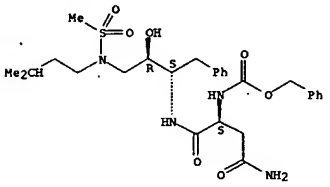
AB The authors have prepared and tested a series of novel and highly potent HIV-1 protease inhibitors based on the (R)-(hydroxyethyl)sulfonamide isostere. The isotere exhibits enhanced potency relative to the previously reported (hydroxyethyl)urea isotere. The preferred stereochem. for the critical hydroxyl group is R. X-ray crystallog. studies show that these inhibitors bind to the protease in an extended fashion with one of the sulfonamide oxygens forming a hydrogen bond to the key structural water mol. Some of the compds. showed excellent antiviral activity in vitro.

IT 159005-90-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(inhibitors of HIV-1 protease containing novel and potent (R)-(hydroxyethyl)sulfonamide isostere in relation to antiviral activity)

RN 159005-90-0 CAPLUS

CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(2-amino-2-oxoethyl)-5-hydroxy-3-(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

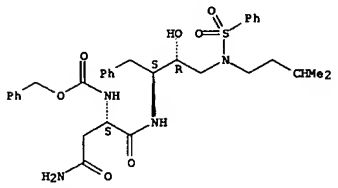
Absolute stereochemistry.



IT 159005-91-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(inhibitors of HIV-1 protease containing novel and potent (R)-(hydroxyethyl)sulfonamide isostere in relation to antiviral activity)

RN 159005-91-1 CAPLUS

L4 ANSWER 55 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

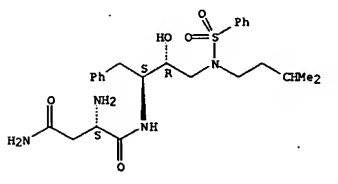


IT 159006-06-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(inhibitors of HIV-1 protease containing novel and potent (R)-(hydroxyethyl)sulfonamide isostere in relation to antiviral activity)

RN 159006-06-1 CAPLUS

CN Butanediamide, 2-amino-N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, (2S)- (9CI) (CA INDEX NAME)

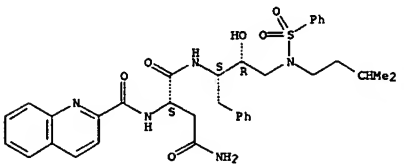
Absolute stereochemistry.



L4 ANSWER 55 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

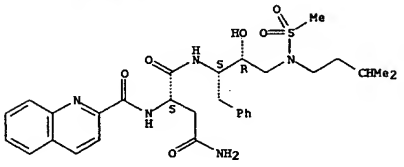


IT 159005-89-7P 159005-92-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors of HIV-1 protease containing novel and potent (R)-(hydroxyethyl)sulfonamide isostere in relation to antiviral activity)

RN 159005-89-7 CAPLUS

CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159005-92-2 CAPLUS

CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

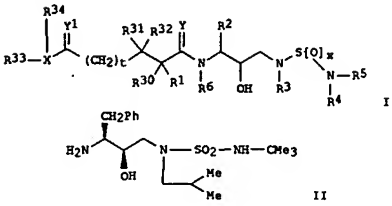
Absolute stereochemistry.

L4 ANSWER 56 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:340526 CAPLUS
DOCUMENT NUMBER: 122:133838
TITLE: preparation of succinoylamino hydroxyethylamino sulfamic acid derivatives as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; De Crescenzo, Gary A.; Sun, Eric T.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

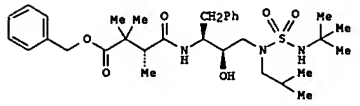
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410133	A1	19940511	WO 1993-US10460	19931029
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2141570	AA	19940511	CA 1993-2141570	19931029
AU 9455892	A1	19940524	AU 1994-55892	19931029
EP 666841	A1	19950816	EP 1994-901230	19931029
EP 666841	B1	19970122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 148105	E	19970215	AT 1994-901230	19931029
ES 2097023	T3	19970316	ES 1994-901230	19931029
US 5602119	A	19970211	US 1995-379573	19950131
PRIORITY APPLN. INFO.:			US 1992-969683 A	19921030
			WO 1993-US10460 W	19931029

OTHER SOURCE(S): MARPAT 122:133838
GI



I

II



III

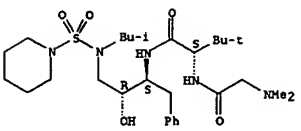
AB Title compds. [I; R1 = H, CH2-SO2-NH2, CH2-CO2Me, CO2Me, CONH2, CH2-CO-NHMe, CMe2-SH, etc.; R2 = alkyl, acyl, cycloalkyl, cycloalkylalkyl, NO2, cyano, CF3, OH, SH, alkoxy, etc.; R3 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, etc.; R4, R5 = H, any group in the definition of R3; R6 = H, alkyl; R30,R31,R32 = H, alkyl, alkenyl, alkynyl, etc.; R33, R34 = H, any group in the definition of R3, or R33 and R34 together with X = cycloalkyl, aryl, heterocyclyl, heteroaryl provided that when X = O, R34 = nil; X = N, O, CR17; R17 = H, alkyl; x = 1, 2; t = 0, 1, 2; Y, Y1 = O, S, NR15; R15 = H, any group in the definition of R3], effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, are prepared Thus, 4-benzyl 2(R),3,3-trimethylsuccinate was condensed with the [(tert-butylaminosulfonyl)amino]propylamine derivative II (preparation given) in DMF containing HOBt and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride to give the title compound III. III had an IC50 of 1.4 μM against retroviral protease in an in vitro study. The title compds. were also compared with AZT in a CEM cell assay.

IT **160677-29-2P 160765-62-8P 160765-64-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for retroviral protease inhibitors)

RN 160677-29-2 CAPLUS

CN L-Valinamide, N,N-dimethylglycyl-N-[2-hydroxy-3-[(2-methylpropyl)(1-piperidinylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, [R-(R*,S*)]-(9CI) (CA INDEX NAME)

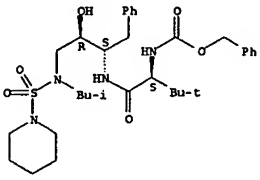
Absolute stereochemistry.



RN 160765-62-8 CAPLUS

CN Carbamic acid, [1-[[(2-hydroxy-3-[(2-methylpropyl)(1-piperidinylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2,2-dimethylpropyl]-, phenylmethyl ester, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

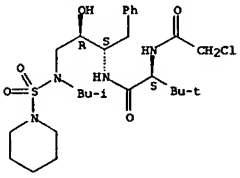
Absolute stereochemistry.



RN 160765-64-0 CAPLUS

CN Butanamide, 2-[(chloroacetyl)amino]-N-[2-hydroxy-3-[(2-methylpropyl)(1-piperidinylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

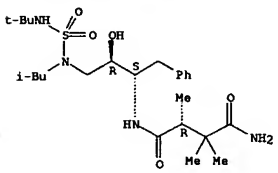


IT **160765-56-0P 160765-57-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as retroviral protease inhibitor)

RN 160765-56-0 CAPLUS

CN Butanediamide, N4-[(1S,2R)-3-[[[(1,1-dimethylethyl)amino]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

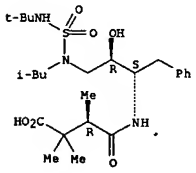
Absolute stereochemistry.



RN 160765-57-1 CAPLUS

CN 4-Thia-3,5,9-triazatridecan-13-oic acid, 7-hydroxy-2,2,11,12,12-pentamethyl-5-(2-methylpropyl)-10-oxo-8-(phenylmethyl)-, 4,4-dioxide, [7R-(7R*,8S*,11R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



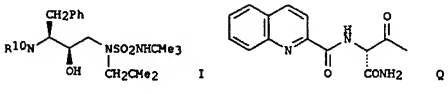
ACCESSION NUMBER: 1995:330514 CAPLUS
DOCUMENT NUMBER: 122:106521
TITLE: Preparation of N-sulfamidohydroxyalkyl amino acid amides as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Sun, Eric T.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410134	A1	19940511	WO 1993-US10552	19931029
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2142997	AA	19940511	CA 1993-2142997	19931029
AU 9455470	A1	19940524	AU 1994-55470	19931029
EP 666842	A1	19950816	EP 1994-900506	19931029
EP 666842	B1	19980624		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 810208	A2	19971203	EP 1997-113206	19931029
EP 810208	A3	19981202		
EP 810208	B1	20020102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 167669	E	19980715	AT 1994-900506	19931029
ES 2118364	T3	19980916	ES 1994-900506	19931029
AT 211462	E	20020115	AT 1997-113206	19931029
PT 810208	T	20020628	PT 1997-113206	19931029
ES 2170305	T3	20020801	ES 1997-113206	19931029
US 6156768	A	20001205	US 1995-379545	19950202
US 6444678	B1	20020903	US 2000-633063	20000804
US 2003158236	A1	20030821	US 2002-178956	20020625

PRIORITY APPLN. INFO.:

US 1992-968730	A	19921030
EP 1994-900506	A3	19931029
WO 1993-US10552	W	19931029
US 1995-379545	A3	19950202
US 2000-633063	A1	20000804

OTHER SOURCE(S): MARPAT 122:106521
GI



I Q

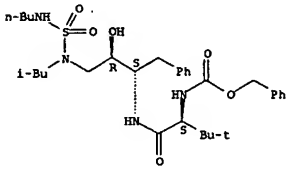
AB RR'N(CR7R8)tCHR1C(:Y)NR6CHR2CH(OH)CH2NR3SOxNR4R5 [R = H, (cyclo)alkyl, (hetero)aryl, alkyl(oxy)carbonyl, heterocyclyl(oxy)carbonyl, etc.; R' =

groups cited for R3, R''SO2; R'' = groups cited for R3; NRR' = heterocyclyl, heteroaryl; R1,R7,R8 = H, (halo)alkyl, amino acid side chain, CONH2, CO2Me, etc.; R1R7 = atoms to form a cycloalkyl group; R2 = (un)substituted (cyclo)alkyl, aryl(alkyl); R3 = (cyclo)alkyl, (hetero)aryl(alkyl), aminoalkyl, etc.; R4,R5 = H, groups cited for R3; NR4R5 = heterocyclyl, heteroaryl; R6 = H, alkyl; Y = O, S, NH, NR3; t = 0-2; x = 1 or 2] were prepd. Thus, N-benzyloxycarbonyl-3(S)-amino-1,2(S)-epoxy-4-phenylbutane (prepn. given) was condensed with Me2CHCH2NH2 and the product amidated by ClSO2NHCMe3 (prepn. given) to give, after deprotection, sulfamamide I (R10 = H) which was N-acylated by N-BOC-L-asparagine and the deprotected product N-acylated by quinoline-2-carboxylic acid to give I (R10 = quinolinoylasparaginyl group Q). The latter had IC50 of 2nM against HIV-1 infection of CEM cells in vitro.

IT **160677-07-6P 160677-10-1P 160677-11-2P**
160677-13-4P 160677-14-5P 160677-15-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of retroviral protease inhibitor)

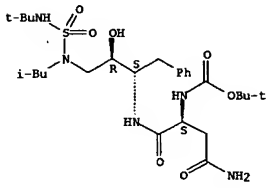
RN 160677-07-6 CAPLUS
CN 10-Thia-2,5,9,11-tetraazapentadecanoic acid, 3-(1,1-dimethylethyl)-7-hydroxy-9-(2-methylpropyl)-4-oxo-6-(phenylmethyl)-, phenylmethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



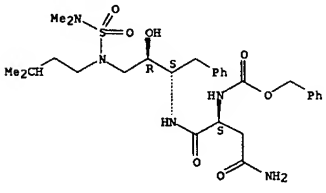
RN 160677-10-1 CAPLUS
CN Carbamic acid, [3-amino-1-[[[2-hydroxy-3-[[(4-methyl-1-piperazinyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



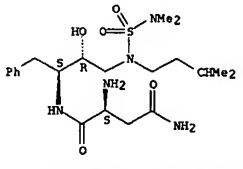
RN 160677-14-5 CAPLUS
CN 3-Thia-2,4,8,11-tetraazadodecan-12-oic acid, 10-(2-amino-2-oxoethyl)-6-hydroxy-2-methyl-4-(3-methylbutyl)-9-oxo-7-(phenylmethyl)-, phenylmethyl ester, 3,3-dioxide, [6R-(6R*,7S*,10S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

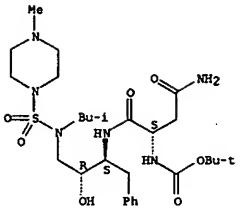


RN 160677-15-6 CAPLUS
CN Butanediamide, 2-amino-N1-[3-[[(dimethylamino)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

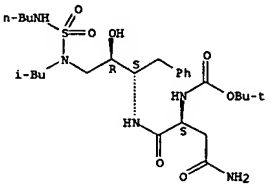


IT **160676-88-0P 160676-89-1P 160676-90-4P**
160676-91-5P 160676-92-6P 160676-93-7P



RN 160677-11-2 CAPLUS
CN 10-Thia-2,5,9,11-tetraazapentadecanoic acid, 3-(2-amino-2-oxoethyl)-7-hydroxy-9-(2-methylpropyl)-4-oxo-6-(phenylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



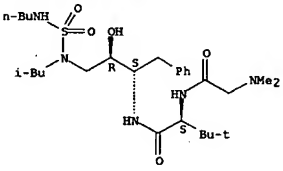
RN 160677-13-4 CAPLUS
CN 10-Thia-2,5,9,11-tetraazatridecanoic acid, 3-(2-amino-2-oxoethyl)-7-hydroxy-12,12-dimethyl-9-(2-methylpropyl)-4-oxo-6-(phenylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

160676-94-8P 160677-16-7P 160677-18-9P
160677-27-0P 160677-28-1P 160677-29-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as retroviral protease inhibitor)

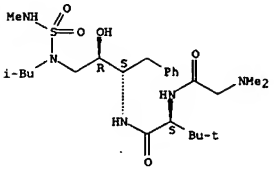
RN 160676-88-0 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[3-[[(butylamino)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



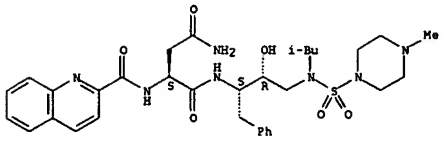
RN 160676-89-1 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[2-hydroxy-3-[[(methylamino)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



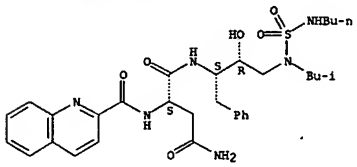
RN 160676-90-4 CAPLUS
CN Butanediamide, N1-[3-[[(4-methyl-1-piperazinyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



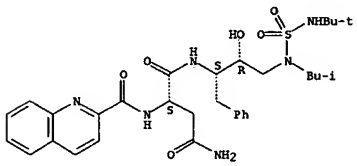
RN 160676-91-5 CAPLUS
CN Butanediamide, N1-[3-[[(butylamino)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



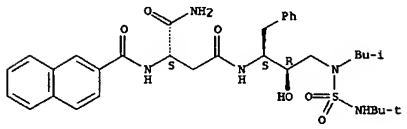
RN 160676-92-6 CAPLUS
CN Butanediamide, N1-[3-[[[(1,1-dimethylethyl)amino]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



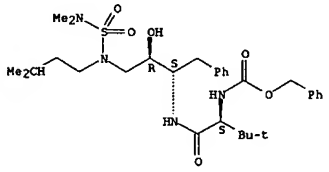
RN 160676-93-7 CAPLUS
CN Butanediamide, N1-[2-hydroxy-3-[(2-methylpropyl)[(phenylamino)sulfonyl]amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



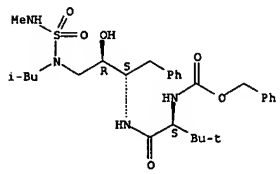
RN 160677-27-0 CAPLUS
CN 3-Thia-2,4,8,11-tetraazadodecan-12-oic acid, 10-(1,1-dimethylethyl)-6-hydroxy-2-methyl-4-(3-methylbutyl)-9-oxo-7-(phenylmethyl)-, phenylmethyl ester, 3,3-dioxide, [6R-(6R*,7S*,10S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



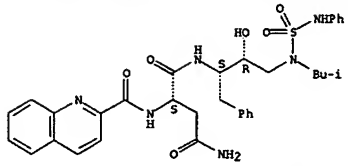
RN 160677-28-1 CAPLUS
CN 3-Thia-2,4,8,11-tetraazadodecan-12-oic acid, 10-(1,1-dimethylethyl)-6-hydroxy-4-(2-methylpropyl)-9-oxo-7-(phenylmethyl)-, phenylmethyl ester, 3,3-dioxide, [6R-(6R*,7S*,10S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



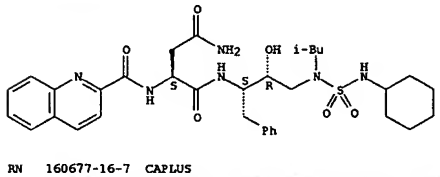
RN 160677-29-2 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[2-hydroxy-3-[(2-methylpropyl)(1-piperidinylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



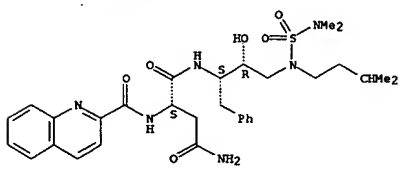
RN 160676-94-8 CAPLUS
CN Butanediamide, N1-[3-[[(cyclohexylamino)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



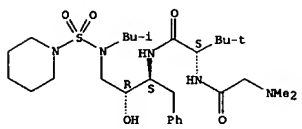
RN 160677-16-7 CAPLUS
CN Butanediamide, N1-[3-[[(dimethylamino)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160677-18-9 CAPLUS
CN Butanediamide, N4-[(1S,2R)-3-[[[(1,1-dimethylethyl)amino]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-naphthalenylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 58 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:293723 CAPLUS
DOCUMENT NUMBER: 122:81141
TITLE: Preparation of heterocyclylarylsulfonamide inhibitors of HIV-aspartyl protease
INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9405639	A1	19940317	WO 1993-US8458	19930907
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
LT 3302	B	19950626	LT 1993-917	19930901
IL 106927	A1	20010111	IL 1993-106927	19930906
EP 659181	A1	19950628	EP 1993-921428	19930907
EP 659181	B1	19990407		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08501299	T2	19960213	JP 1994-507525	19930907
HU 71892	A2	19960228	HU 1995-685	19930907
AU 691160	B2	19980514	AU 1993-48520	19930907
AU 9348520	A1	19940329		
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
EP 885887	B1	20030528		
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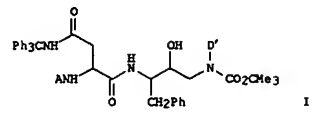
AT 178598	E	19990415	AT 1993-921428	19930907
ES 2131589	T3	19990801	ES 1993-921428	19930907
RU 2135496	C1	19990827	RU 1995-109928	19930907
SK 281360	B6	20010212	SK 1995-293	19930907
CZ 289475	B6	20020116	CZ 1995-587	19930907
CA 2143208	C	20030107	CA 1993-2143208	19930907
AT 241602	E	20030615	AT 1998-113921	19930907
PL 185635	B1	20030630	PL 1993-307858	19930907
RO 118747	B1	20031030	RO 1995-479	19930907
PT 885887	T	20031031	PT 1998-113921	19930907
ES 2200243	T3	20040301	ES 1998-113921	19930907
CN 1087347	A	19940601	CN 1993-117370	19930908
CN 1061339	B	20010131		
ZA 9308470	A	19940620	ZA 1993-8470	19931112
US 5585397	A	19961217	US 1993-142327	19931124
FI 9501059	A	19950418	FI 1995-1059	19950307
NO 9500876	A	19950508	NO 1995-876	19950307
NO 303444	B1	19980713		
HK 1012631	A1	20000623	HK 1998-113971	19981217
HK 1023561	A1	20040716	HK 2000-100689	19981217

PRIORITY APPLN. INFO.:			US 1992-941982	A2 19920908
			EP 1993-921428	A3 19930907
			WO 1993-US8458	W 19930907

OTHER SOURCE(S): MARPAT 122:81141
GI

L4 ANSWER 58 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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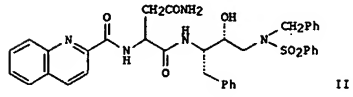

II

AB Title compds. A(B)xNHCH(D)CH(OH)CH2N(D')SO2E (A = H, Het, R1-Het, (substituted)R1-C1-6 alkyl, (substituted) R1-C2-6 alkenyl wherein R1 = CO, SO2, COCO, O2C, etc., Het = C5-7 cycloalkyl, C5-7 cycloalkenyl, C6-10 aryl, (substituted) 5-7-membered heterocyclyl; R2 = H, (Ar)-C1-3 alkyl; B = NR2CR3CO, null wherein R3 = H, (substituted)Het or C1-6 alkyl or C2-6 alkenyl or C3-6 cycloalkyl or C5-6 cycloalkenyl; x = 0,1; D, D' = Ar, (substituted) C1-4 alkyl wherein Ar = Ph, (substituted) 3-6-membered carbocyclyl or 5-6-membered heterocyclyl; E = Het-O, Het-Het, (substituted) C1-6 alkyl or C2-6 alkenyl, C3-6 carbocyclyl) useful also against viral infection of HIV-2, HIV-2, or HTLV, are prepared 4,3-(AcNH)FC6H3SO2Cl and syn-I (A = quinolin-2-ylcarbonyl, D' = Me2CHCH2) (preparation given) in CH2Cl2 was treated with F3CCO2H followed by NaHCO3 and 4-FC6H4SO2Cl to give the title compound II which inhibited HIV-1 protease with IC50 of <0.1 nM.

IT **160230-05-7P 160230-06-8P 160230-07-9P**
160230-08-0P 160230-09-1P 160230-10-4P
160230-11-5P 160230-12-6P 160230-13-7P
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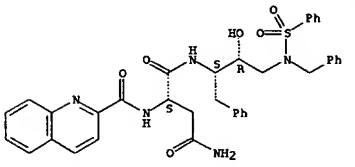
160231-93-6P 160231-96-9P 160333-42-6P
160333-43-7P 160333-44-8P 160333-45-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of as HIV-1 protease inhibitor)

RN 160230-05-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)(phenylsulfonyl)amino]propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

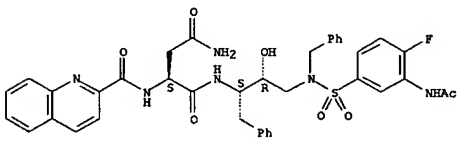
Absolute stereochemistry.

L4 ANSWER 58 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



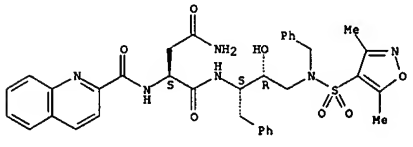
RN 160230-06-8 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-07-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[(3,5-dimethyl-4-isoxazolyl)sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

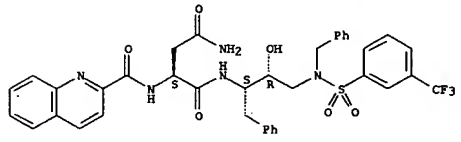
Absolute stereochemistry.



RN 160230-08-0 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)[[3-(trifluoromethyl)phenyl]sulfonyl]amino]propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

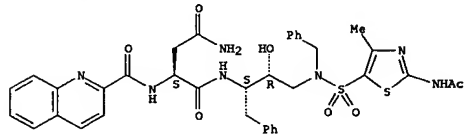
Absolute stereochemistry.

L4 ANSWER 58 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



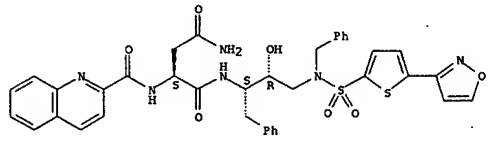
RN 160230-09-1 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[2-(acetylamino)-4-methyl-5-thiazolyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



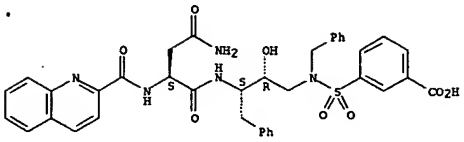
RN 160230-10-4 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[[[5-(3-isoxazolyl)-2-thienyl]sulfonyl](phenylmethyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



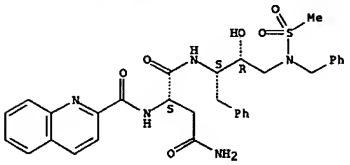
RN 160230-11-5 CAPLUS
CN Benzoic acid, 3-[[[(2R,3S)-3-[[(2S)-4-amino-1,4-dioxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-2-hydroxy-4-phenylbutyl](phenylmethyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



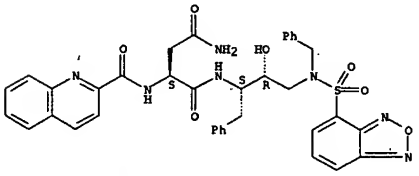
RN 160230-12-6 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(methylsulfonyl)(phenylmethyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



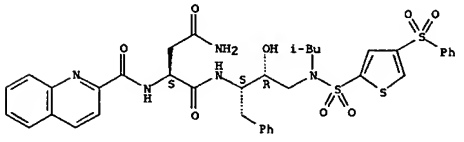
RN 160230-13-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[(2,1,3-benzoxadiazol-4-ylsulfonyl)(phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



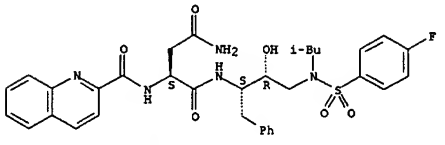
RN 160230-14-8 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[3-(aminosulfonyl)phenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



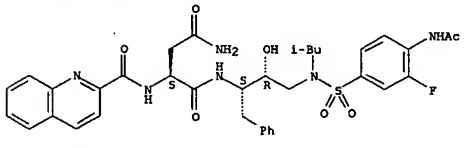
RN 160230-18-2 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[(4-fluorophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



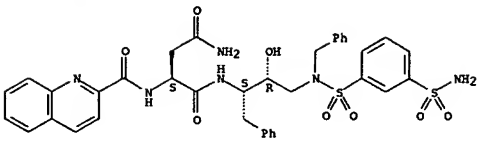
RN 160230-19-3 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



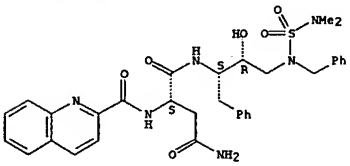
RN 160230-20-6 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



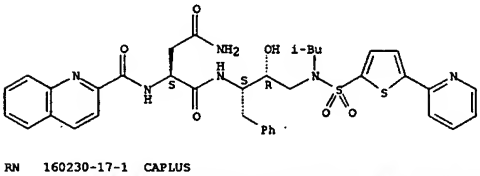
RN 160230-15-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[(dimethylamino)sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



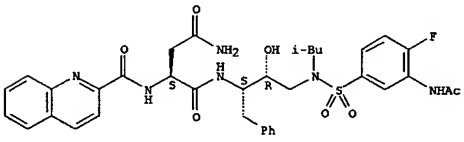
RN 160230-16-0 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[5-(2-pyridinyl)-2-thienyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



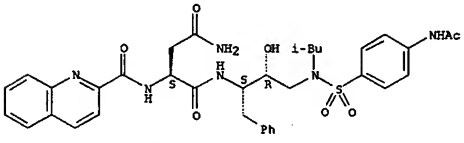
RN 160230-17-1 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-(phenylsulfonyl)-2-thienyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



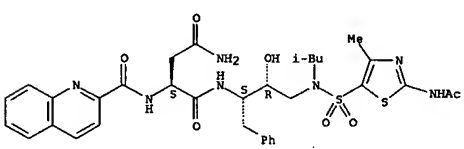
RN 160230-21-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



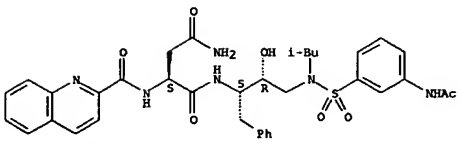
RN 160230-22-8 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[2-(acetylamino)-4-methyl-5-thiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



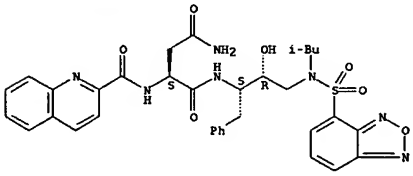
RN 160230-23-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[3-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



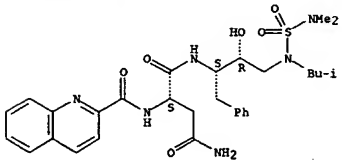
RN 160230-24-0 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[(2,1,3-benzoxadiazol-4-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-25-1 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[(dimethylamino)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



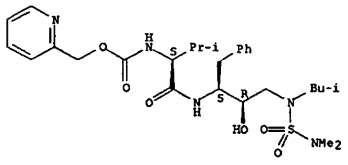
RN 160230-27-3 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 2-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

RN 160230-31-9 CAPLUS
CN 3-Thia-2,4,8,11-tetraazadodecan-12-oic acid, 6-hydroxy-2-methyl-10-(1-methylethyl)-4-(2-methylpropyl)-9-oxo-7-(phenylmethyl)-, 2-pyridinylmethyl ester, 3,3-dioxide, (6R,7S,10S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 160230-30-8
CMF C28 H43 N5 O6 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 160230-33-1 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[(2,1,3-benzoxadiazol-4-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 3-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

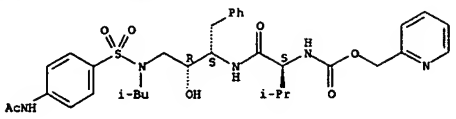
CRN 160230-32-0
CMF C32 H40 N6 O7 S

Absolute stereochemistry.

CM 1

CRN 160230-26-2
CMF C34 H45 N5 O7 S

Absolute stereochemistry.



CM 2

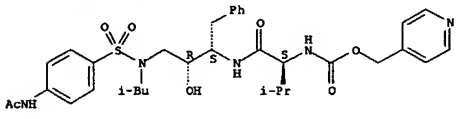
CRN 76-05-1
CMF C2 H F3 O2

RN 160230-29-5 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 4-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

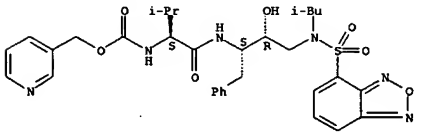
CRN 160230-28-4
CMF C34 H45 N5 O7 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



CM 2

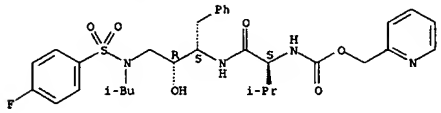
CRN 76-05-1
CMF C2 H F3 O2

RN 160230-35-3 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[(4-fluorophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 2-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 160230-34-2
CMF C32 H41 F N4 O6 S

Absolute stereochemistry.

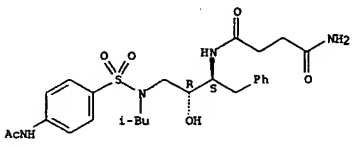


CM 2

CRN 76-05-1
CMF C2 H F3 O2

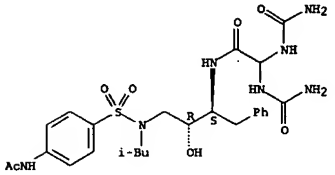
RN 160230-50-2 CAPLUS
CN Butanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



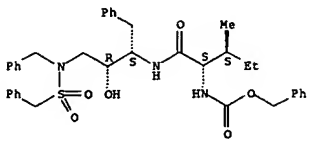
RN 160230-72-8 CAPLUS
CN Acetamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2-bis[(aminocarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



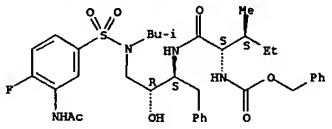
RN 160231-88-9 CAPLUS
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 5-hydroxy-9-[(1S)-1-methylpropyl]-8-oxo-1-phenyl-3,6-bis(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



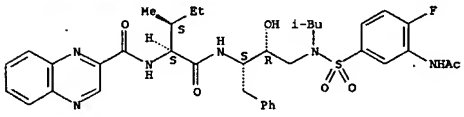
RN 160231-89-0 CAPLUS
CN Carbamic acid, [(1S,2S)-1-[[[(1S,2R)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



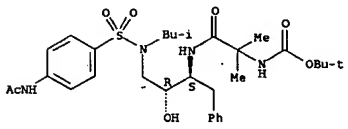
RN 160231-90-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



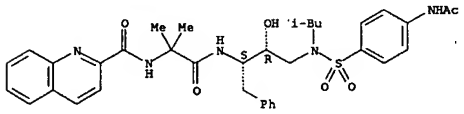
RN 160231-91-4 CAPLUS
CN Carbamic acid, [2-[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



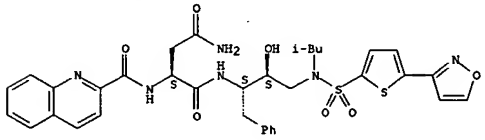
RN 160231-92-5 CAPLUS
CN 2-Quinolinecarboxamide, N-[2-[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



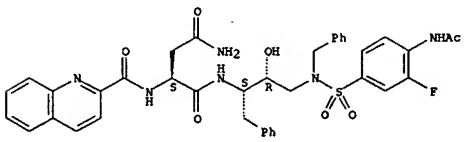
RN 160231-93-6 CAPLUS
CN Butanediamide, N1-[(1S,2S)-2-hydroxy-3-[[[5-(3-isoxazolyl)-2-thienyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



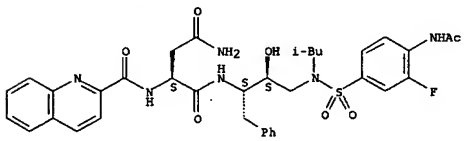
RN 160231-96-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



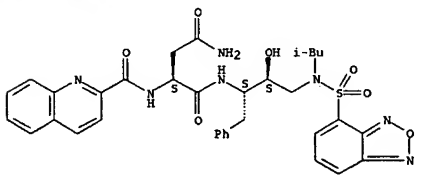
RN 160333-42-6 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160333-43-7 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[(2,1,3-benzoxadiazol-4-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

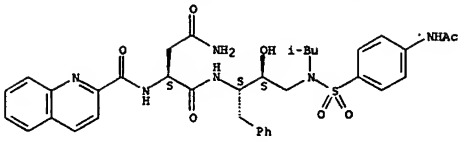
Absolute stereochemistry.



RN 160333-44-8 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

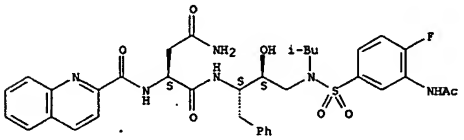
Absolute stereochemistry.

L4 ANSWER 58 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 160333-45-9 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 59 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:701324 CAPLUS
DOCUMENT NUMBER: 121:301324
TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 198 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 656887	A1	19950614	EP 1993-923714	19930824
EP 656887	B1	19981028		
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JP 08501288	T2	19960213	JP 1994-506530	19930824
JP 3657002	B2	20050608		
AU 680635	B2	19970807	AU 1994-53474	19930824
AU 9453474	A1	19940315		
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AT 172717	E	19981115	AT 1993-923714	19930824
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PT 810209	T	20020930	PT 1997-113434	19930824
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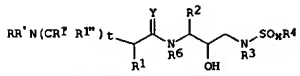
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 2004044047	A1	20040304	US 2002-199481	20020722
US 6846954	B2	20050125		
US 6924286	B1	20050802	US 2003-633376	20030804
US 2004229922	A1	20041118	US 2004-812343	20040330

L4 ANSWER 59 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PRIORITY APPLN. INFO.:

US 1992-934984	A2	19920825
EP 1993-923714	A3	19930824
US 1993-110911	A2	19930824
WO 1993-US7814	W	19930824
US 1994-204827	A2	19940302
US 1994-204872	B2	19940302
US 1994-294468	A1	19940823
WO 1994-US9139	W	19940823
US 1995-451090	A3	19950525
US 1999-288080	A1	19990408
US 2001-798255	A1	20010305
US 2002-157019	A1	20020530
US 2002-199481	A3	20020722

OTHER SOURCE(S): MARPAT 121:301324
GI



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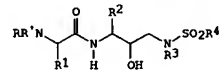

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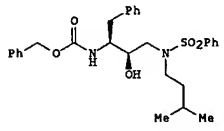


III

AB Title compds. [I and II; R = H, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, heterocyclylcarbonyl, heteroaryloxyalkyl, hydroxyalkyl, aryl, alkyl, alkenyl, alkynyl, substituted aminocarbonyl, etc.; R' = H, R3, R''SO2; RR'N = heterocyclyl, heteroaryl; R1 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, CMe2SH, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R1', R1'' = H, R1; 1 of R1', R1'' together with R1 form a cycloalkyl radical; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl, heteroaralkyl, (substituted) aminoalkyl, etc.; R4 = R3, except H; R6 = H, alkyl; x = 0-2; t = 0, 1; Y = O, S, imino], were prepared Thus, title compound (III, solution phase preparation given) inhibited HIV protease with IC50 = 16 nM.

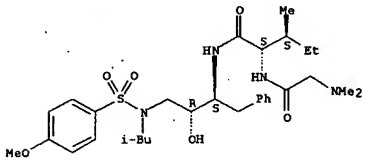
IT **159005-68-2P 159005-69-3P 159005-70-6P 159005-89-7P 159005-90-0P 159005-91-1P 159005-92-2P 159005-93-3P 159005-94-4P 159005-95-5P 159006-07-2P 159006-21-0P**

L4 ANSWER 59 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as HIV protease inhibitor)

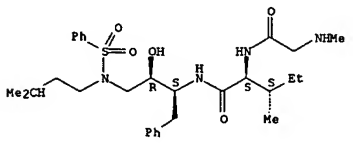
RN 159005-68-2 CAPLUS
CN L-Isoleucinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



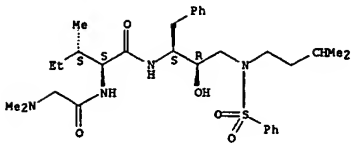
RN 159005-69-3 CAPLUS
CN L-Isoleucinamide, N-methylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



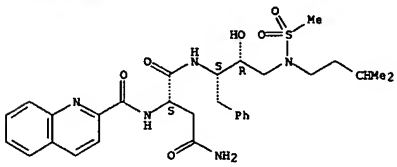
RN 159005-70-6 CAPLUS
CN L-Isoleucinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



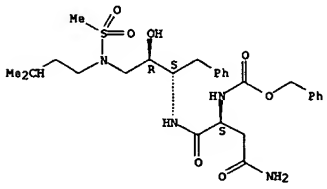
RN 159005-89-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159005-90-0 CAPLUS
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(2-amino-2-oxoethyl)-5-hydroxy-3-(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

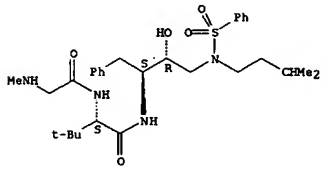
Absolute stereochemistry.



RN 159005-91-1 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

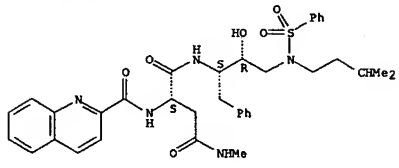
methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



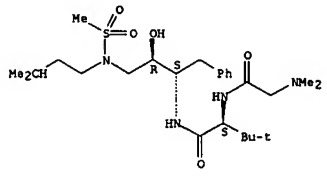
RN 159005-95-5 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-N4-methyl-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

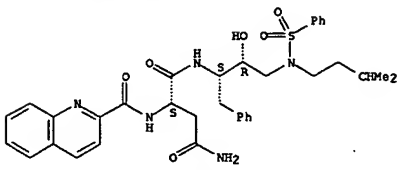


RN 159006-07-2 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

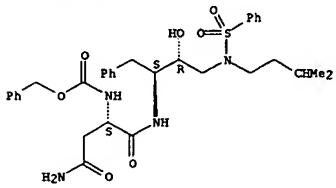


Absolute stereochemistry.



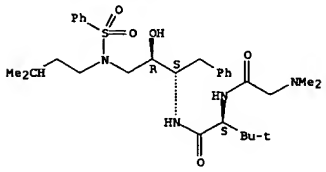
RN 159005-92-2 CAPLUS
CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159005-93-3 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

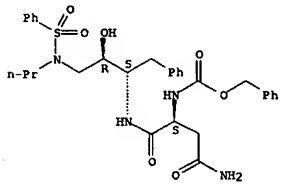
Absolute stereochemistry.



RN 159005-94-4 CAPLUS
CN L-Valinamide, N-methylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-

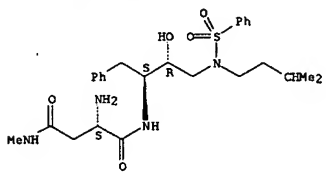
RN 159006-21-0 CAPLUS
CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylsulfonyl)propylamino]propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **159006-49-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as HIV protease inhibitor intermediate)
RN 159006-49-2 CAPLUS
CN Butanediamide, 2-amino-N1-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-N4-methyl-, monohydrochloride, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

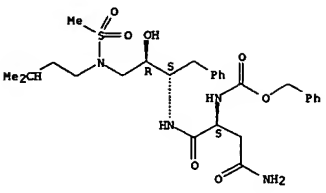
Absolute stereochemistry.



● HCl

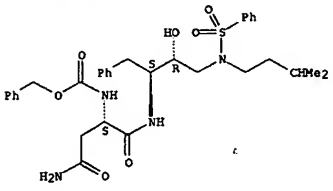
IT **159005-90-0P 159005-92-2P 159006-05-0P**
159006-06-1P 159006-08-3P 159006-10-7P
159006-12-9P 159006-13-0P 159006-15-2P
159006-16-3P 159006-18-5P 159006-22-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for HIV protease inhibitor)
RN 159005-90-0 CAPLUS
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(2-amino-2-oxoethyl)-5-hydroxy-3-(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



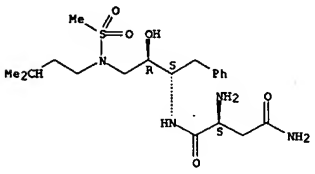
RN 159005-92-2 CAPLUS
CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

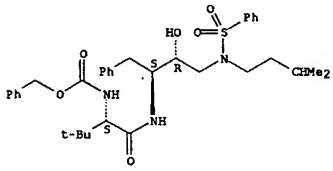
Absolute stereochemistry.



RN 159006-05-0 CAPLUS
CN Butanediamide, 2-amino-N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-, (2S)- (9CI) (CA INDEX NAME)

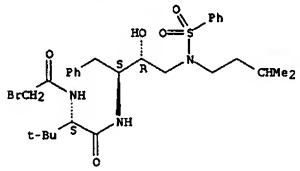
Absolute stereochemistry.





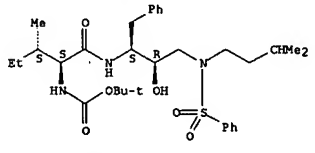
RN 159006-12-9 CAPLUS
CN Butanamide, 2-[(bromoacetyl)amino]-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-13-0 CAPLUS
CN Carbamic acid, [(1S,2S)-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

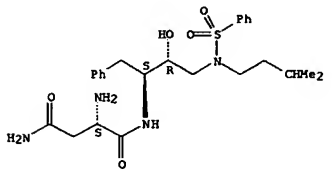
Absolute stereochemistry.



RN 159006-15-2 CAPLUS
CN Pentanamide, 2-[(chloroacetyl)amino]-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, (2S,3S)- (9CI) (CA INDEX NAME)

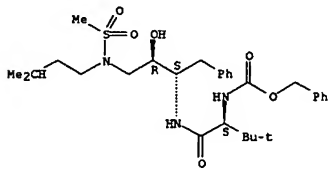
RN 159006-06-1 CAPLUS
CN Butanediamide, 2-amino-N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-08-3 CAPLUS
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(1,1-dimethylethyl)-5-hydroxy-3-(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

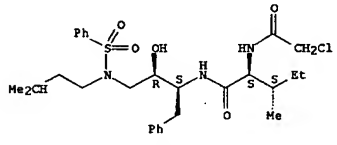
Absolute stereochemistry.



RN 159006-10-7 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2,2-dimethylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

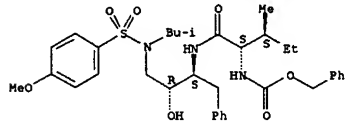
Absolute stereochemistry.

Absolute stereochemistry.



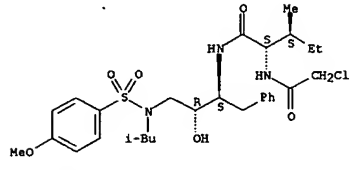
RN 159006-16-3 CAPLUS
CN Carbamic acid, [(1S,2S)-1-[[[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-18-5 CAPLUS
CN Pentanamide, 2-[(chloroacetyl)amino]-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, (2S,3S)- (9CI) (CA INDEX NAME)

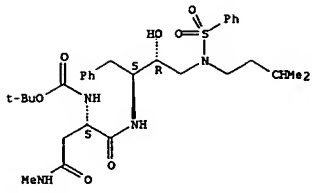
Absolute stereochemistry.



RN 159006-22-1 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-(methylamino)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 59 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

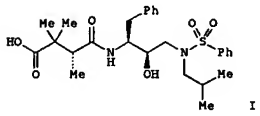


L4 ANSWER 60 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:579258 CAPLUS
DOCUMENT NUMBER: 121:179258
TITLE: N-(alkanoylamino-2-hydroxypropyl)sulfonamides useful as HIV protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404491	A1	19940303	WO 1993-US7815	19930825
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 656886	A1	19950614	EP 1993-920213	19930824
EP 656886	B1	19970625		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08500824	T2	19960130	JP 1993-506531	19930824
AT 154800	E	19970715	AT 1993-920213	19930824
ES 2103488	T3	19970916	ES 1993-920213	19930824
AU 674702	B2	19970109	AU 1993-50819	19930825
AU 9350819	A1	19940315		
RU 2130016	C1	19990510	RU 1995-106823	19930825
NO 9500670	A	19950222	NO 1995-670	19950222
FI 9500841	A	19950223	FI 1995-841	19950223
PRIORITY APPLN. INFO.:			US 1992-935490	A2 19920825
			WO 1993-US7815	W 19930825

OTHER SOURCE(S): MARPAT 121:179258
GI



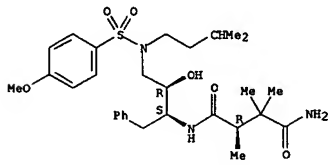
I

AB The title compds. R33(R34)X1C(:Y1)(CH2)tC(R31)(R32)C(R30)(R1)C(:Y)N(R6)C(R2)HC(OH)HCH2N(R3)S(O)xR4 [R1 = H, CH2SO2NH2, CO2Me, CONHMe, CONMe2, etc.; R2 = alkyl, aryl, cycloalkyl, (un)substituted cycloalkylalkyl and arylalkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, etc.; R4 = alkyl, haloalkyl alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl etc.; R6 = H, alkyl; R30-R32 = R1; R1R30R31 = cycloalkyl; R1R30R32C = cycloalkyl; R33, R34 = H, R3; R33R34X1 = cycloalkyl, aryl, heterocyclyl, etc.; X1 = O, N, CR17; R17 = H, alkyl; Y, Y1 = O, S, NR15; R15 = H, R3; t = 0, 1; x = 0-2], useful as HIV protease inhibitors for the treatment of AIDS, are prepd. Thus, sulfonamide I was prepd. and demonstrated IC50 against HIV protease of 1 nmol.

IT **157446-05-4 157446-06-5 157446-07-6**
157446-08-7 157446-09-8 157474-44-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(HIV protease inhibitor)

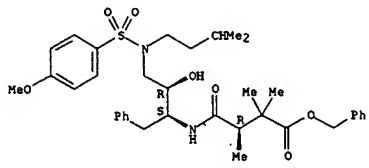
RN 157446-05-4 CAPLUS
CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157446-06-5 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

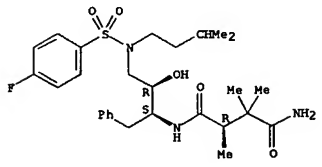
Absolute stereochemistry.



RN 157446-07-6 CAPLUS
CN Butanediamide, N4-[(1S,2R)-3-[[(4-fluorophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

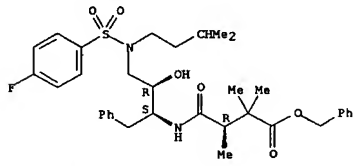
Absolute stereochemistry.

L4 ANSWER 60 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



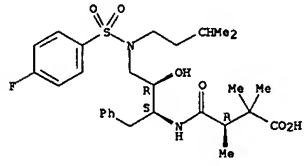
RN 157446-08-7 CAPLUS
CN Butanoic acid, 4-[[3-[[(4-fluorophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



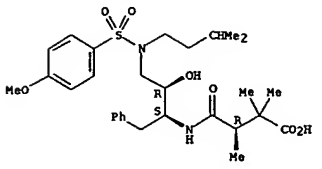
RN 157446-09-8 CAPLUS
CN Butanoic acid, 4-[[3-[[(4-fluorophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157474-44-7 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

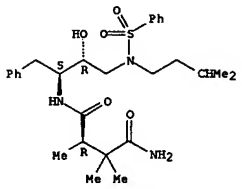
Absolute stereochemistry.



IT 157445-96-0P 157445-97-1P 157445-98-2P
157445-99-3P 157446-00-9P 157446-02-1P
157446-03-2P 157446-04-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as HIV protease inhibitor)

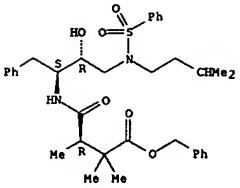
RN 157445-96-0 CAPLUS
CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



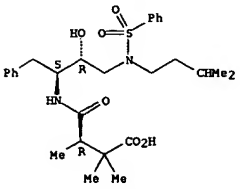
RN 157445-97-1 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



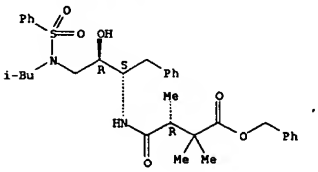
RN 157445-98-2 CAPLUS
CN Butanoic acid, 4-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157445-99-3 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

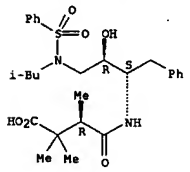
Absolute stereochemistry.



RN 157446-00-9 CAPLUS

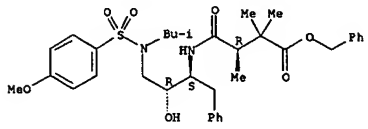
CN Butanoic acid, 4-[[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



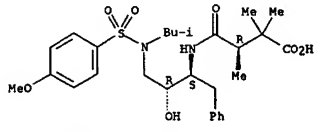
RN 157446-02-1 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



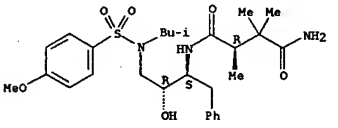
RN 157446-03-2 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157446-04-3 CAPLUS
CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 61 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:449265 CAPLUS
DOCUMENT NUMBER: 117:49265
TITLE: Preparation of dipeptide renin inhibitors
INVENTOR(S): Toyoda, Tatsuo; Fujioka, Toshihiro; Hayashi, Kunio; Nakamura, Masuhisa; Hashimoto, Naofumi
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 117 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 468641	A2	19920129	EP 1991-305763	19910626
EP 468641	A3	19930113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2045008	AA	19911229	CA 1991-2045008	19910619
US 5194608	A	19930316	US 1991-719492	19910624
AU 9179304	A1	19920102	AU 1991-79304	19910626
AU 643036	B2	19931104		
HU 58346	A2	19920228	HU 1991-2166	19910627
JP 05009162	A2	19930119	JP 1991-156764	19910627
JP 2997095	B2	20000111		
US 5223615	A	19930629	US 1992-974212	19921110
US 5272268	A	19931221	US 1992-974211	19921110
AU 9344890	A1	19931125	AU 1993-44890	19930826
AU 653682	B2	19941006		
PRIORITY APPLN. INFO.:			JP 1990-172050	A 19900628
			US 1991-719492	A3 19910624
OTHER SOURCE(S):	MARPAT 117:49265			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

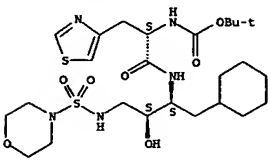
AB Title compds. [I; R1 = (substituted) (cyclo)alkyl, alkenyl, alkynyl, heterocyclyl; R2 = (substituted) carbamoyl, aryl, heterocyclyl, alkyl, alkylthiomethyl, alkylthio; R3 = (substituted) aryl, 5- to 6-membered heterocyclyl; R4 = R5SO2, R5CO; R5 = (substituted) aryl, (cyclo)alkyl, alkenyl, alkynyl, heterocyclyl; X = CH2, NH, O, S; Y = CO, NHSO2], were prepared Thus, N-(tert-butoxycarbonyl)cyclohexylalaninal was condensed with 4-acetylpyridine using NaN(SiMe3)2 and 15-crown-5 in THF to give a mixture of aldol condensation epimers, which was treated with H2C:C(Me)OMe and p-MeC6H4SO3H to give oxazolidine II (BOC = Me3CO2C). This was successively reduced with NaBH4, deketalized with HCl or CF3CO2H, coupled with BOC-His(Tos)-OH (Tos = tosyl), and oxidized with MnO2 to give intermediate III. III was N-deprotected with CF3CO2H, acylated with 3-tert-butylsulfonyl-2S-phenylpropionic acid, and N'-deprotected with pyridinium hydrochloride to give title compound IV. I at 15 mg/kg orally in monkeys pretreated with furosemide gave 33-99% inhibition of renin. Several I at 1-100 mg/kg orally or i.v. effectively reduced blood pressure in monkeys.

IT **141597-65-1P 141597-66-2P 141597-67-3P**
141597-68-4P 141597-69-5P 141597-70-8P
141597-71-9P 141597-72-0P 141597-73-1P
141597-74-2P 141597-75-3P 141597-76-4P

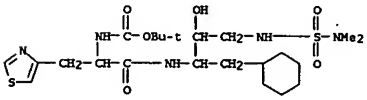
L4 ANSWER 61 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for peptide renin inhibitor)

RN 141597-65-1 CAPLUS
CN Carbamic acid, [2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(4-morpholinylsulfonyl)amino]propyl]amino]-2-oxo-1-(4-thiazolylmethyl)ethyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

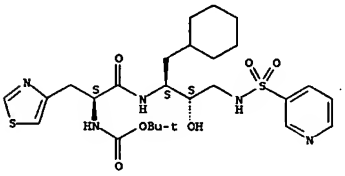


RN 141597-66-2 CAPLUS
CN 3-Thia-2,4,8,11-tetraazadodecan-12-oic acid, 7-(cyclohexylmethyl)-6-hydroxy-2-methyl-9-oxo-10-(4-thiazolylmethyl)-, 1,1-dimethylethyl ester, 3,3-dioxide, [6S-(6R*,7R*,10R*)]- (9CI) (CA INDEX NAME)



RN 141597-67-3 CAPLUS
CN Carbamic acid, [2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(3-pyridinylsulfonyl)amino]propyl]amino]-2-oxo-1-(4-thiazolylmethyl)ethyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

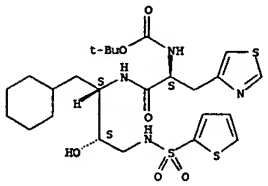
Absolute stereochemistry.



RN 141597-68-4 CAPLUS
CN Carbamic acid, [2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(2-

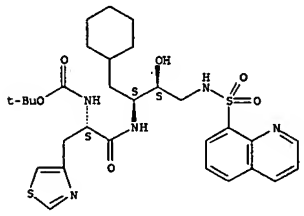
L4 ANSWER 61 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
thienylsulfonyl)amino]propyl]amino]-2-oxo-1-(4-thiazolylmethyl)ethyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141597-69-5 CAPLUS
CN Carbamic acid, [2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(8-quinolinylsulfonyl)amino]propyl]amino]-2-oxo-1-(4-thiazolylmethyl)ethyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

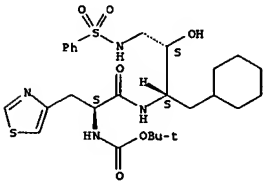
Absolute stereochemistry.



RN 141597-70-8 CAPLUS
CN Carbamic acid, [2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(phenylsulfonyl)amino]propyl]amino]-2-oxo-1-(4-thiazolylmethyl)ethyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

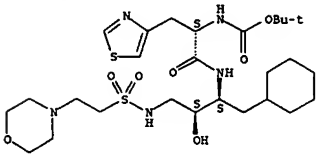
Absolute stereochemistry.

L4 ANSWER 61 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



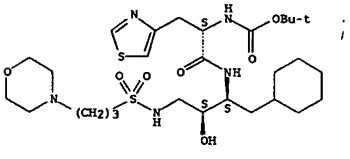
RN 141597-71-9 CAPLUS
CN 10-Thia-2,5,9-triazadodecanoic acid, 6-(cyclohexylmethyl)-7-hydroxy-12-(4-morpholinyl)-4-oxo-3-(4-thiazolylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



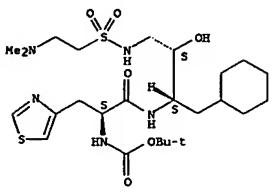
RN 141597-72-0 CAPLUS
CN 10-Thia-2,5,9-triazatridecanoic acid, 6-(cyclohexylmethyl)-7-hydroxy-13-(4-morpholinyl)-4-oxo-3-(4-thiazolylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



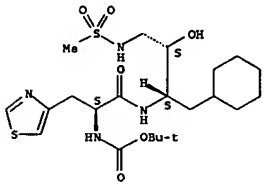
RN 141597-73-1 CAPLUS
CN 10-Thia-2,5,9,13-tetraazatetradecanoic acid, 6-(cyclohexylmethyl)-7-hydroxy-13-methyl-4-oxo-3-(4-thiazolylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



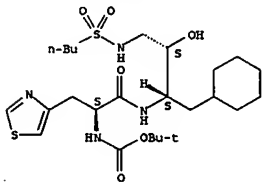
RN 141597-74-2 CAPLUS
CN 10-Thia-2,5,9-triazaundecanoic acid, 6-(cyclohexylmethyl)-7-hydroxy-4-oxo-3-(4-thiazolylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



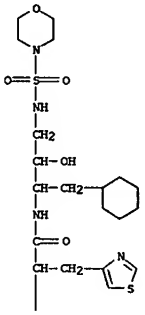
RN 141597-75-3 CAPLUS
CN 10-Thia-2,5,9-triazatetradecanoic acid, 6-(cyclohexylmethyl)-7-hydroxy-4-oxo-3-(4-thiazolylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

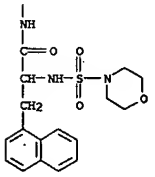


thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

PAGE 1-A



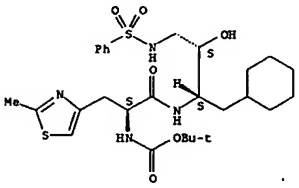
PAGE 2-A



RN 141596-70-5 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxypropyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

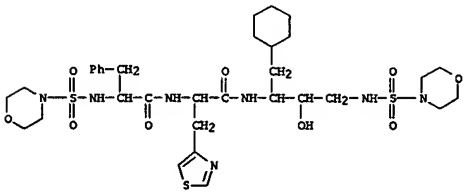
RN 141597-76-4 CAPLUS
CN Carbamic acid, [2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(phenylsulfonyl)amino]propyl]amino]-1-[(2-methyl-4-thiazolyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

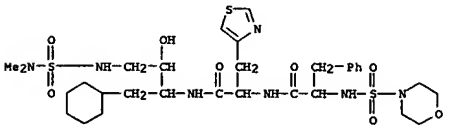


IT 141596-68-1P 141596-69-2P 141596-70-5P
141596-71-6P 141596-72-7P 141596-73-8P
141596-74-9P 141596-75-0P 141596-76-1P
141596-77-2P 141596-78-3P 141596-79-4P
141596-80-7P 141596-81-8P 141596-82-9P
141596-83-0P 141596-84-1P 141625-04-9P
142003-00-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)

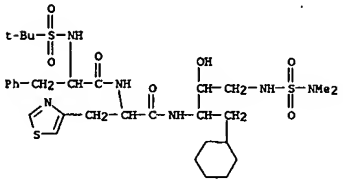
RN 141596-68-1 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(4-morpholinylsulfonyl)amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



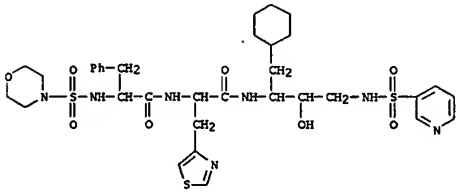
RN 141596-69-2 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-3-(1-naphthalenyl)-L-alanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(4-morpholinylsulfonyl)amino]propyl]-3-(4-



RN 141596-71-6 CAPLUS
CN L-Alaninamide, N-[(1,1-dimethylethyl)sulfonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxypropyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



RN 141596-72-7 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(3-pyridinylsulfonyl)amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



RN 141596-73-8 CAPLUS
CN L-Alaninamide, N-(4-morpholinylacetyl)-3-(1-naphthalenyl)-L-alanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(3-pyridinylsulfonyl)amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

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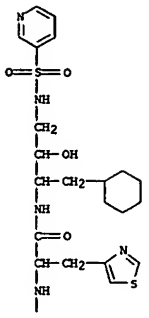

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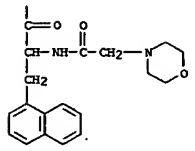
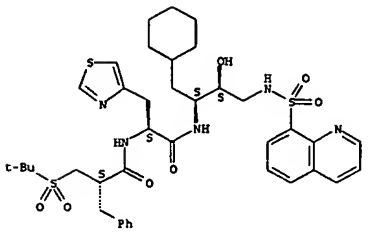


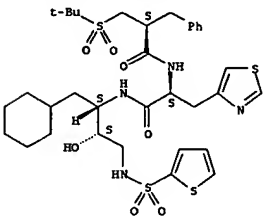
RN 141596-74-9 CAPLUS
CN 4-Thiazolepropanamide, N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(8-quinolinylsulfonyl)amino]propyl]-α-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



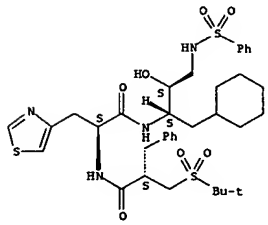
RN 141596-75-0 CAPLUS
CN 4-Thiazolepropanamide, N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(2-thienylsulfonyl)amino]propyl]-α-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



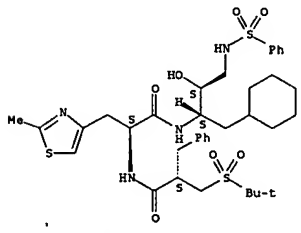
RN 141596-76-1 CAPLUS
CN 4-Thiazolepropanamide, N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(phenylsulfonyl)amino]propyl]-α-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141596-77-2 CAPLUS
CN 4-Thiazolepropanamide, N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(phenylsulfonyl)amino]propyl]-α-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-2-methyl-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141596-78-3 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[2-(4-morpholinyl)ethyl]sulfonyl]amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

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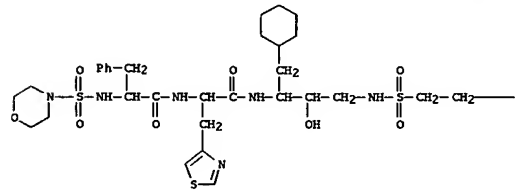

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RN 141596-79-4 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[3-(4-morpholinyl)propyl]sulfonyl]amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

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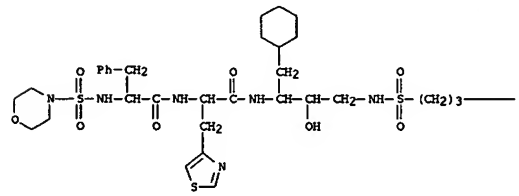

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RN 141596-80-7 CAPLUS
CN L-Alaninamide, N-(4-morpholinylacetyl)-3-(1-naphthalenyl)-L-alanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[3-(4-morpholinyl)propyl]sulfonyl]amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

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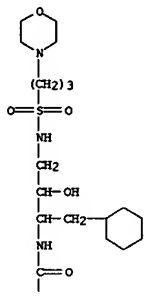

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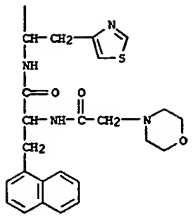

RN 141596-81-8 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3-[[[2-(dimethylamino)ethyl]sulfonyl]amino]-2-hydroxypropyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

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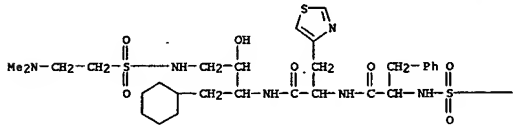

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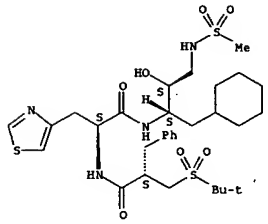
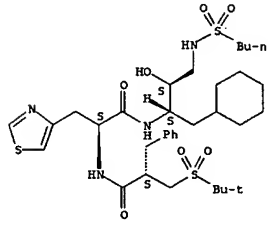
RN 141596-82-9 CAPLUS
CN 4-Thiazolepropanamide, N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(methylsulfonyl)amino]propyl]-α-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



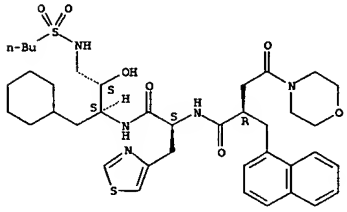
RN 141596-83-0 CAPLUS
CN 4-Thiazolepropanamide, N-[3-[(butylsulfonyl)amino]-1-(cyclohexylmethyl)-2-hydroxypropyl]-α-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141596-84-1 CAPLUS
CN 4-Morpholinebutanamide, N-[2-[[3-[(butylsulfonyl)amino]-1-(cyclohexylmethyl)-2-hydroxypropyl]amino]-2-oxo-1-(4-thiazolylmethyl)ethyl]-α-(1-naphthalenylmethyl)-γ-oxo-, [1S-[1R*[R*(S*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141625-04-9 CAPLUS
CN L-Alaninamide, N-(4-morpholinylacetyl)-3-(1-naphthalenyl)-L-alanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[2-(4-morpholinyl)ethyl]sulfonyl]amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

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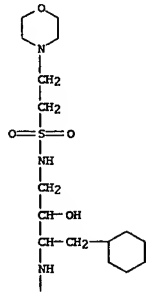

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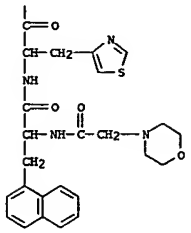

RN 142003-00-7 CAPLUS
CN L-Alaninamide, N-(4-morpholinylacetyl)-3-(1-naphthalenyl)-L-alanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(4-morpholinylsulfonyl)amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

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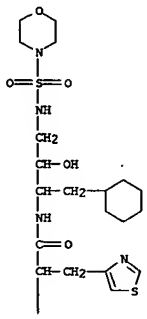

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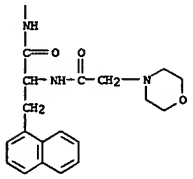


L4 ANSWER 62 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:193405 CAPLUS
DOCUMENT NUMBER: 110:193405
TITLE: Preparation of amino acid amidohydroxyalkylamides and pharmaceuticals containing them for the treatment of hypertension and hyperaldosteronism
INVENTOR(S): Raddatz, Peter; Schmitges, Claus J.; Minck, Klaus Otto
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

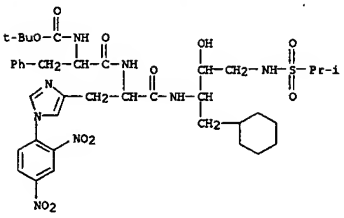
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3635907	A1	19880428	DE 1986-3635907	19861022
EP 264795	A2	19880427	EP 1987-114975	19871013
EP 264795	A3	19900328		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AU 8779823	A1	19880428	AU 1987-79823	19871015
HU 47596	A2	19890328	HU 1987-4728	19871021
HU 199875	B	19900328		
JP 63112548	A2	19880517	JP 1987-265548	19871022
ZA 8707950	A	19880629	ZA 1987-7950	19871022

PRIORITY APPLN. INFO.: DE 1986-3635907 A 19861022
OTHER SOURCE(S): CASREACT 110:193405; MARPAT 110:193405

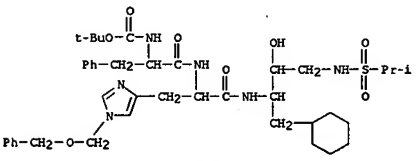
AB Pharmaceuticals contain hydroxy amino acid derivs. XZNR2CHR3CHOH(CH2)nNR4EY [I; X = H, R1OCmH2mCO, R1CmH2mO2C, R1CmH2mCO, R1SO2, etc.; Z = 1-4 amino acid residues; E = CONH, CSNH, CO2, SO2, SO2NH, etc.; Y = R5, CO2R6, CONR7R8, etc.; EY = pyrrolidinocarbonyl, piperidinocarbonyl, morpholinocarbonyl, pyrrolidinosulfonyl, etc.; R1, R3, R6, R7, R8 = H, alkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, cycloalkyl, bicycloalkyl, etc.; R2, R4 = H, alkyl; R5 = H, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl; m = 0-5; n = 1, 2. I are used for the treatment of renin-dependent hypertension and hyperaldosteronism (no data). 1-Bromo-3S-BOC-amino-4-cyclohexylbutan-2-one was treated with NaN3 in DMF at 0° to give 1-azido-3S-BOC-amino-4-cyclohexylbutan-2-one; the latter was reduced with NaBH4 and the resulting epimers were resolved by chromatog. to give 1-azido-3S-BOC-amino-4-cyclohexylbutan-2S-ol and this was hydrogenated to give 1-amino-3S-BOC-amino-4-cyclohexylbutan-2S-ol. The latter was treated with isopentyl isocyanate, the BOC group was removed with 4N HCl in dioxane, the product was treated with BOC-(imi-DNP-His)OH to give N-isopentyl-N'-[2S-hydroxy-3S-[BOC-(imi-DNP-His)amino]-4-cyclohexylbutyl]urea. This was deprotected and solvolyzed to give N-isopentyl-N'-[2S-hydroxy-3S-(BOC-Phe-His)amino-4-cyclohexylbutyl]urea (I). A solution containing 100 g I and 5 g Na2HPO4 in 3 L H2O at pH 6.5 was filled into ampules containing 500 mg I each.

IT **120195-54-2P 120195-83-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and partial deprotection of)

RN 120195-54-2 CAPLUS
CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[(1-methylethyl)sulfonyl]amino]propyl]-1-(2,4-dinitrophenyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



RN 120195-83-7 CAPLUS
CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[(1-methylethyl)sulfonyl]amino]propyl]-1-[(phenylmethoxy)methyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

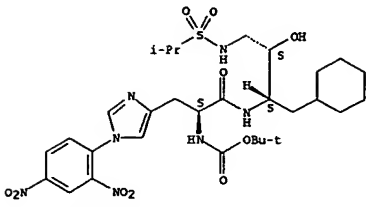


IT **120195-53-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for amino acid (amidohydroxyalkyl)amide antihypertensives)

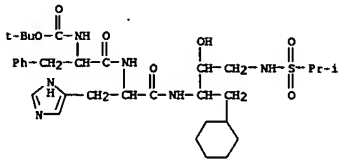
RN 120195-53-1 CAPLUS
CN 10-Thia-2,5,9-triazadodecanoic acid, 6-(cyclohexylmethyl)-3-[[1-(2,4-dinitrophenyl)-1H-imidazol-4-yl]methyl]-7-hydroxy-11-methyl-4-oxo-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 62 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 120195-52-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of hypertension and hyperaldosteronism)
RN 120195-52-0 CAPLUS
CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[1-methylethyl)sulfonyl]amino]propyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



L4 ANSWER 63 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:173760 CAPLUS
DOCUMENT NUMBER: 110:173760
TITLE: Preparation of renin-inhibiting peptides
INVENTOR(S): Hagenbach, Alexander; Metternich, Rainer; Pfenniger, Emil; Weidmann, Beat
PATENT ASSIGNEE(S): Sandoz A.-G., Switz.
SOURCE: Brit. UK Pat. Appl., 88 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2200115	A1	19880727	GB 1988-1040	19880118
GB 2200115	B2	19901114		
NL 8800100	A	19880816	NL 1988-100	19880118
CH 676988	A	19910328	CH 1988-157	19880118
DK 8800225	A	19880722	DK 1988-225	19880119
FR 2609716	A1	19880722	FR 1988-636	19880119
AU 8810375	A1	19880901	AU 1988-10375	19880119
BE 1002212	A5	19901016	BE 1988-67	19880119
SE 8800169	A	19880722	SE 1988-169	19880120
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PRIORITY APPLN. INFO.:			DE 1987-3701526 A	19870121
			DE 1987-3707339 A	19870307

OTHER SOURCE(S): MARPAT 110:173760
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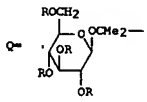
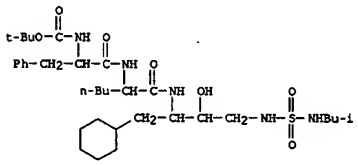
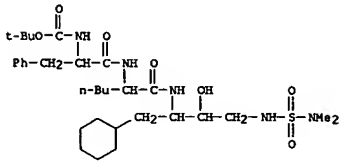


AB The title peptides A-B-C-NR1CHR2CHR3CH2-D-Y-NR4R5 [I; A = R6CO, R7CONHC(:CR8R9)CO; R6 = (un)branched, (un)substituted C1-10 alkyl, C3-7 cycloalkyl, C3-10 cycloalkyl(C1-5 alkyl), C6-10 aryl, 5- or 6-membered heteroaryl(C1-5 alkyl) containing 1 or 2 N, O, or S, or 1 N and 1 O and/or S in the heteroaryl moiety, (un)branched C1-5 alkoxy, C6-10 aryl-C1-5 alkoxy, Q, R10O(CH2CH2O)n(CH2)m; R = H, Ac; R10 = (un)branched C1-5 alkyl; n = 1-20; m = 1-5; R7 = (un)branched C1-5 alkyl, C6-10 aryl; R8, R9 = H, R7; R1 = H, (un)branched C1-5 alkyl; B, C = bond, NR1CHR11CO, excluding B = C = bond; R11 = hydrophilic or lipophilic amino acid side chain; D = O, NR1, CHR1; R2 = (un)branched C1-10 alkyl, (un)substituted C3-10 cycloalkyl(C1-5 alkyl), heteroaryl(C1-5 alkyl) defined as above, R15S(O)s(CH2)p; R15 = H, C1-4 alkyl, CH2Ph; s = 0, 1; p = 1, 2; R3 = H, OH, NH2, O2CR2; R4, R5 = H, (un)branched C1-5 alkyl, C6-10 aryl(C1-5 alkyl), heteroaryl(C1-5 alkyl) defined as above, CHR12COR13; R12 = (un)branched C1-5 (hydroxy)alkyl; R13 = OH, NH2 (un)branched C1-5 alkoxy, (un)branched C1-5 alkylamino, CH2Ph, NR4R5, 1-pyrrolidinyl, 1-piperidinyl, morpholino, (N-substituted)-1-piperazinyl, etc.; Y = SO2, CO, PNR4R5], useful as renin inhibitors (no data), were prepared A solution of 4 g

L4 ANSWER 63 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
MeSO2NMe2 in 50 mL THF was mixed at 0-5° with 20 mL 1.6M BuLi in hexane. After 0.5 h, 3.7 g N-tert-butoxycarbonylcyclohexylalaninal was added at once and was allowed to react 0.5 h to give (2R,3S)-3-N-(tert-butoxycarbonylamino)-4-cyclohexyl-2-hydroxy-N,N-dimethyl-1-butanesulfonamide as the main product and the (2R,3R)-isomer as a byproduct.
IT 118546-36-4P 118551-01-2P 118551-04-5P
118627-62-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)
RN 118546-36-4 CAPLUS
CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[(2-methylpropyl)amino]sulfonyl]amino]propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)



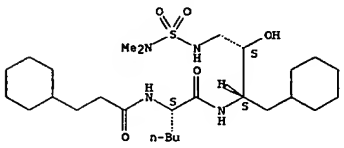
RN 118551-01-2 CAPLUS
CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxypropyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



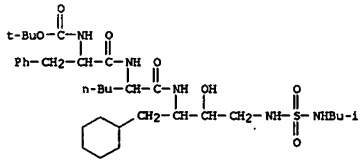
RN 118551-04-5 CAPLUS
CN Cyclohexanepropanamide, N-[1-[[[1-(cyclohexylmethyl)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxypropyl]amino]carbonyl]pentyl]-, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 63 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 118627-62-6 CAPLUS
CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[(2-methylpropyl)amino]sulfonyl]amino]propyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



L4 ANSWER 64 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:135732 CAPLUS
DOCUMENT NUMBER: 110:135732
TITLE: Preparation and testing of peptide amides as renin inhibitors
INVENTOR(S): Hagenbach, Alexander; Metternich, Rainer; Pfenninger, Emil; Weidmann, Beat
PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 26 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3800591	A1	19880804	DE 1988-3800591	19880112
NL 8800100	A	19880816	NL 1988-100	19880118
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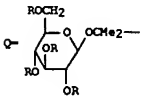
DK 8800225	A	19880722	DK 1988-225	19880119
FR 2609716	A1	19880722	FR 1988-636	19880119
AU 8810375	A1	19880901	AU 1988-10375	19880119
BE 1002212	A5	19901016	BE 1988-67	19880119
SE 8800169	A	19880722	SE 1988-169	19880120
JP 01019053	A2	19890123	JP 1988-10571	19880120
ZA 8800415	A	19890927	ZA 1988-415	19880121
PRIORITY APPLN. INFO.:			DE 1987-3701526	A1 19870121
			DE 1987-3707339	A1 19870307

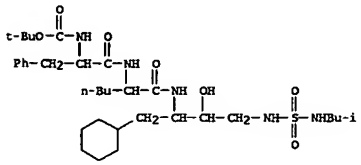
OTHER SOURCE(S): CASREACT 110:135732; MARPAT 110:135732
GI



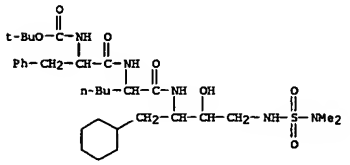
AB A-B-C-NR1CHR2CHR3CH2DYNR4R5 [I; A = R6CO, R7CONHC(:CR8R9)CO, sugar moiety Q; B, C = bond, NR1CHR10CO; D = bond, O, NR1, CHR1; Y = SO2, CO, P(:O)NR4R5; R = H, Ac; R1 = H, C1-5 alkyl; R2 = C1-10 alkyl, (substituted) cycloalkylalkyl, aralkyl, heteroarylalkyl, etc.; R3 = H, OH, amino, alkoxycarbonyl, etc.; R4, R5 = H, C1-5 alkyl, aralkyl, heteroarylalkyl, etc.; R4R5N = morpholino, piperazino, piperidino, pyrrolidino; R6 = (substituted) C1-10 alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, etc.; R7 = C1-5 alkyl, C6-10 aryl, R8, R9 = H, R7; R10 = hydrophilic or lipophilic amino acid side chain], useful as cardiovascular agents, were prepared MeSO2NMe2 in THF at 0-5° was treated with BuLi and after 0.5 h BOC-cyclohexylalaninal (BOC = Me3CO2C) was added. The mixture was stirred 0.5 h to give (2R,3S)-3-(BOC-amino)-N,N-dimethyl-4-cyclohexyl-2-hydroxy-1-butanesulfonamide. I inhibit human plasma renin with IC50 of 10-5 to 10-11 M.

IT **118546-36-4P 118551-01-2P 118551-04-5P 118627-62-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as renin inhibitor)

RN 118546-36-4 CAPLUS
CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[(2-methylpropyl)amino]sulfonyl]amino]propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

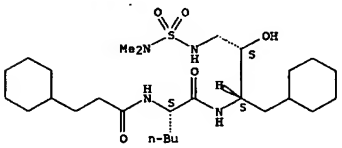


RN 118551-01-2 CAPLUS
CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxypropyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



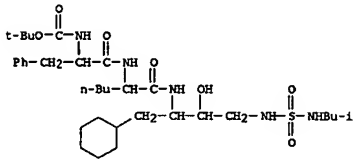
RN 118551-04-5 CAPLUS
CN Cyclohexanepropanamide, N-[1-[[[1-(cyclohexylmethyl)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxypropyl]amino]carbonyl]pentyl]-, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 118627-62-6 CAPLUS

L4 ANSWER 64 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[(2-methylpropyl)amino]sulfonyl]amino]propyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	51.20	212.74

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-7.30	-7.30

STN INTERNATIONAL LOGOFF AT 15:12:48 ON 12 AUG 2005

8/12/05 10/784,916

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NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 14:09:29 ON 12 AUG 2005

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:09:38 ON 12 AUG 2005
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 AUG 2005 HIGHEST RN 859751-76-1
DICTIONARY FILE UPDATES: 11 AUG 2005 HIGHEST RN 859751-76-1

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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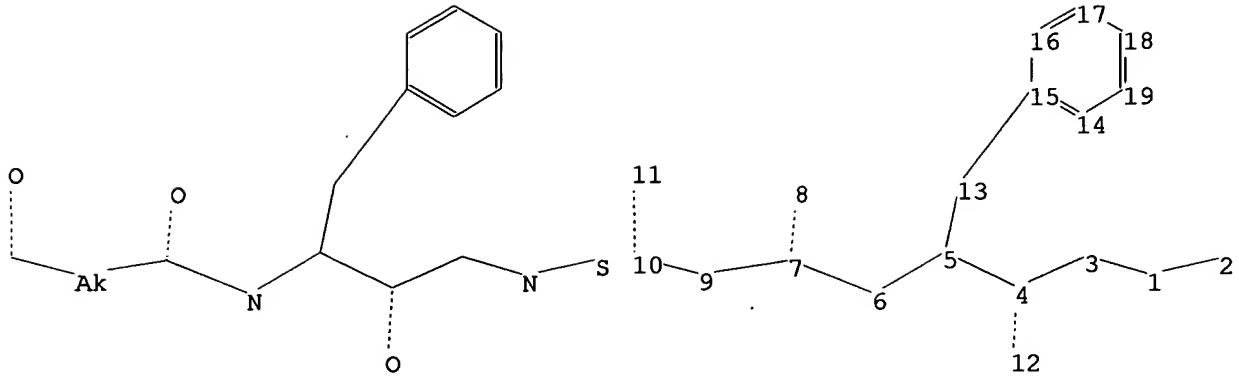

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ring nodes :
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ring bonds :
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exact/norm bonds :
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exact bonds :
3-4 4-5 5-13 13-15
normalized bonds :
14-15 14-19 15-16 16-17 17-18 18-19

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom
19:Atom

L1 STRUCTURE UPLOADED

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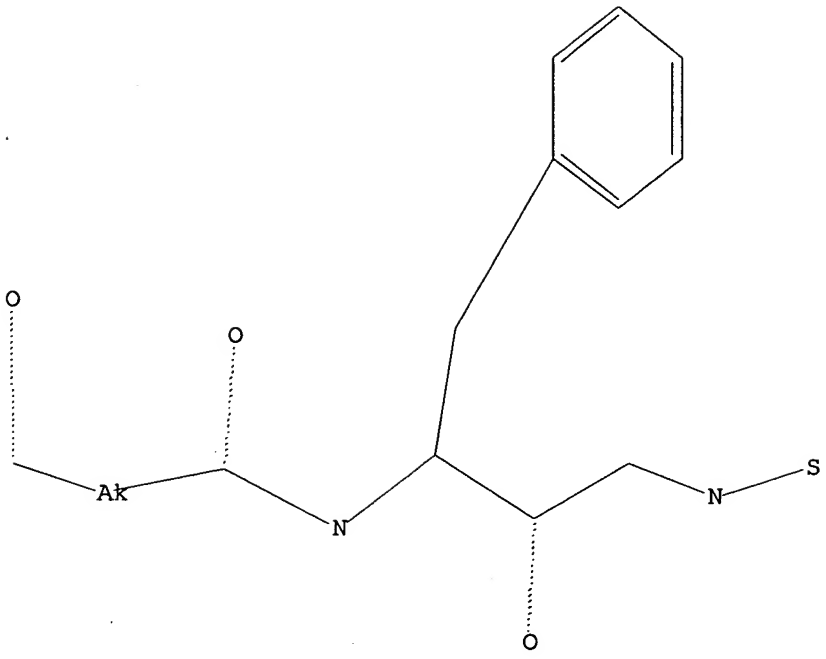

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -    230 TO ITERATE

100.0% PROCESSED      230 ITERATIONS                                5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          3691 TO     5509
PROJECTED ANSWERS:                5 TO      234

L2             5 SEA SSS SAM L1

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FULL SCREEN SEARCH COMPLETED -   4985 TO ITERATE

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SEARCH TIME: 00.00.01

L3           105 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.33     161.54

FILE 'CAPLUS' ENTERED AT 14:10:01 ON 12 AUG 2005
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FILE COVERS 1907 - 12 Aug 2005 VOL 143 ISS 8
FILE LAST UPDATED: 11 Aug 2005 (20050811/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 24 L3

=> d ibib abs 1-24

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:527407 CAPLUS
DOCUMENT NUMBER: 143:59982
TITLE: Preparation of HIV protease inhibitors, in particular imidazolidine derivatives
INVENTOR(S): Flentge, Charles A.; Chen, Hui-Ju; Degoey, David A.; Flosi, William J.; Grampovnik, David J.; Huang, Peggy P.; Kempf, Dale J.; Klein, Larry L.; Krueger, Allan C.; Madigan, Darold L.; Pandolph, John T.; Sun, Minghua; Yeung, Ming C.; Zhao, Chen
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 287 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005131042	A1	20050616	US 2003-733915	20031211
WO 2005061450	A2	20050707	WO 2004-US37745	20041110
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-733915	A 20031211

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. of formula ANH(CHR)(CHR1)(CHR2)NR3S(O2)R4 (I) [wherein A = alkylcarbonyl, arylsulfonyl, 1,3-substituted 2-oxoimidazolidinyl, 2,4-dioxoimidazolidinyl, etc.; X, Y = independently O, S, NH; R = (un)substituted alk(en)yl, cycloalk(en)yl, hetero/arylalkyl, etc.; R1 = OH and derivs., OPO3H and derivs., OSO2H and derivs., etc.; R2 = H; R3 = halo/alkyl, halo/alkenyl, (un)substituted cycloalk(en)yl, aryl; R4 = (un)substituted cycloalk(en)yl, heterocyclyl, hetero/aryl] were prepared as HIV protease inhibitors. For example, II was prepared, in 62% yield, by coupling acid III (preparation given) with amine IV (preparation given). I showed antiviral activity against Wild-Type HIV with EC50 in the range of 1 nM to 100 nM.

L4 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:322087 CAPLUS
DOCUMENT NUMBER: 140:399222
TITLE: BREED: Generating Novel Inhibitors through Hybridization of Known Ligands. Application to CDK2, P38, and HIV Protease
AUTHOR(S): Pierce, Albert C.; Rao, Govinda; Bemis, Guy W.
CORPORATE SOURCE: Vertex Pharmaceuticals, Cambridge, MA, 02139, USA
SOURCE: Journal of Medicinal Chemistry (2004), 47(11), 2768-2775
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In this work we describe BREED, a method for the generation of novel inhibitors from structures of known ligands bound to a common target. The method is essentially an automation of the common medicinal chemical practice of joining fragments of two known ligands to generate a new inhibitor. The ligand-bound target structures are overlaid, all overlapping bonds in all pairs of ligands are found, and the fragments on each side of each matching bond are swapped to generate the new mols. Since the method is automated, it can be applied recursively to generate all possible combinations of known ligands. In an application of this method to HIV protease inhibitors and protein kinase inhibitors, hundreds of new mol. structures were generated. These included known inhibitor scaffolds not included in the initial set, entirely novel scaffolds, and novel substituents on known scaffolds. The method is fast, and since all of the ligand functional groups are known to bind the target in the precise position and orientation present in the novel ligand, the success rate of this method should be superior to more traditional de novo design techniques. In an era of increasingly high-throughput structural biol., such methods for high-throughput utilization of structural information will become increasingly valuable.
REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:888736 CAPLUS
DOCUMENT NUMBER: 137:384835
TITLE: Preparation of 2-amino-benzoxazole sulfonamide as broad-spectrum HIV protease inhibitors
INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Vendeville, Sandrine Marie Helene; Verschueren, Wim Gaston; De Bethune, Marie-Pierre T. M. M. G.; De Kock, Herman Augustinus; Tahri, Abdellah
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092595	A1	20021121	WO 2002-EP5212	20020510
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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EP 1387842	A1	20040211	EP 2002-735354	20020510
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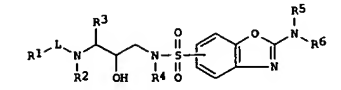
CN 1507446	A	20040623	CN 2002-809741	20020510
JP 2004534757	T2	20041118	JP 2002-589479	20020510
NZ 529250	A	20050527	NZ 2002-529250	20020510
ZA 2003007799	A	20050106	ZA 2003-7799	20031006
US 2004106661	A1	20040603	US 2003-474485	20031009
BG 108309	A	20041230	BG 2003-108309	20031103
PRIORITY APPLN. INFO.:			EP 2001-201732	A 20010511
			WO 2002-EP5212	W 20020510

OTHER SOURCE(S): MARPAT 137:384835
GI

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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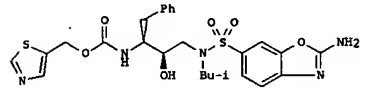


II

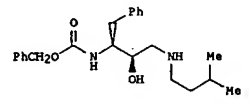
AB Title compds. I [R1, R8 = H, alkyl, alkenyl, arylalkyl, cycloalkyl, aryl, heterocyclyl, etc.; R2 = H, alkyl; L = CO, OCO, NR8CO, etc.; R3 = alkyl, cycloalkyl, aryl, etc.; R4 = H, alkoxycarbonyl, carboxy, aminocarbonyl, cycloalkyl, etc.; R5-6 = H, alkyl], N-oxides, stereoisomers, metabolites and prodrugs thereof were prepared For instance, II was prepared from the corresponding diamine (preparation described), N,N'-disuccinimidylcarbonate and 5-hydroxymethylthiazole (CH2Cl2, 6 h). Compds. of the invention are effective in inhibiting a broad range of mutant HIV strains; II had pEC50 = 8.18 against HIV-1 (Lai strain).
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

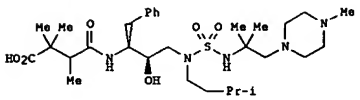
ACCESSION NUMBER: 2002:23862 CAPLUS
DOCUMENT NUMBER: 136:85665
TITLE: Succinoylamino hydroxyethylamino sulfonyl urea derivatives useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Sun, Eric T.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 32 pp., Cont. of U.S. Ser. No. 219,048, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6337398	B1	20020108	US 1995-542861	19951013
US 2002198378	A1	20021226	US 2001-11778	20011211
US 6515024	B2	20030204		
US 2004002542	A1	20040101	US 2002-315254	20021210
PRIORITY APPLN. INFO.:			US 1992-969682	B1 19921030
			US 1994-219048	B1 19940328
			US 1995-542861	A3 19951013
			US 2001-11778	A1 20011211

OTHER SOURCE(S): MARPAT 136:85665
GI



II



III

AB Intermediates used for the synthesis of title compds. R33R34X'-C:Y'-(CH2)pCR31R32-CR30R1-C:Y-NR6CHR2CHOHCH2NR3S(O)xNR4CR7R7'(CH2)nR8 [R1 = H, CH2SO2NH2, ester, amide, etc.; R2 = alkyl, aryl, cycloalkyl, etc.; R3 = (halo)alkyl, alken(yn)yl, hydroxyalkyl, etc.; R4 = H, R3; R6 = H, alkyl; R7-7' = H, R3, amino acid sidechains, etc.; R8 = CN, OH, alkyl, alkoxy, cycloalkyl, etc.; R30-32 = R1 or one of which combines with R1 to form a cycloalkyl radical; R33-34 = H, R1 or together with X' form a cycloalkyl radical; x = 1 - 2; X' = N, O, CR17, where R17 = H, alkyl; n = 0 - 6; p = 0 - 2; Y, Y' = O, S, NR15, where R15 = H, R3; I] were prepared For example,

L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

N-Cbz-L-phenylalanine chloromethyl ketone was reduced (MeOH/THF, -2°C, NaBH4), treated with base (EtOH, KOH) and the resulting epoxide intermediate reacted with isoamylamine (i-PrOH, reflux, 1.5 h) to give homochiral amine II in 31% yield for the 3 steps. II was elaborated by reaction with sulfamoyl chlorides/sulfamates, deprotected and functionalized with succinates to provide compds. I, e.g. claimed compd. III. I are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease.

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

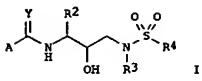
ACCESSION NUMBER: 2000:304314 CAPLUS
DOCUMENT NUMBER: 132:322147
TITLE: Preparation of α- and β-amino acid hydroxyethylamino sulfonamides as retro viral protease inhibitors.
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Heintz, Robert M.; Bertenshaw, Deborah E.
PATENT ASSIGNEE(S): G.D.Searle and Co., USA
SOURCE: U.S., 93 pp., Cont.-in-part of Appl. PCT/US93/07814.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6060476	A	20000509	US 1994-204827	19940302
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9476697	A1	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 174587	E	19990115	AT 1994-927162	19940823
ES 2127938	T3	19990501	ES 1994-927162	19940823
US 5968942	A	19991019	US 1994-294468	19940823
US 6455581	B1	20020924	US 1995-451090	19950525
US 6248775	B1	20010619	US 1999-288080	19990408
US 6500832	B1	20021231	US 2000-525161	20000314
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 2004044047	A1	20040304	US 2002-199481	20020722
US 6846954	B2	20050125		
US 6924286	B1	20050802	US 2003-633376	20030804
US 2004229922	A1	20041118	US 2004-812343	20040330
PRIORITY APPLN. INFO.:			US 1992-934984	B2 19920825
			WO 1993-US7814	A2 19930824
			EP 1993-923714	A3 19930824
			US 1993-110911	A 19930824
			US 1994-204827	A 19940302
			US 1994-294468	A1 19940823

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

	WO 1994-US9139	W 19940823
	US 1995-451090	A3 19950525
	US 1999-288080	A1 19990408
	US 2001-798255	A1 20010305
	US 2002-157019	A1 20020530
	US 2002-199481	A3 20020722

OTHER SOURCE(S): MARPAT 132:322147
GI



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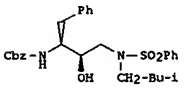


II

AB Amino acid hydroxyethylamino sulfonamide compds. I [R2 = (un)substituted aryl, (cyclo)alkyl, aralkyl, cycloalkylalkyl; R3 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxy-, alkoxy-, alkylthio-, or alkylsulfonylalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, or heteroaralkyl; R4 = heterocycloalkyl, heteroaryl or aryl; Y = O or S; A = heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaralkyl, heteroarylalkoxy, heteroaryloxy or heteroaryl] were prepared as retroviral protease inhibitors, particular as inhibitors of HIV protease. Thus, compound II (Cbz = benzyloxycarbonyl) was prepared and assayed for HIV inhibitory activity (IC50 = 16 nM). Compds. of formula I were tested for cytotoxicity and efficacy (IC50, EC50 and TD50 values at the nanomolar level are tabulated).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2000:220728 CAPLUS
DOCUMENT NUMBER: 132:265504
TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors.
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 119 pp., Cont.-in-part of U.S. 204,872, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6046190	A	20000404	US 1996-586866	19960124
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
US 1992-934984 B2 19920825
WO 1993-US7814 A2 19930824
US 1994-204872 B2 19940302
WO 1994-US9139 W 19940823
EP 1993-923714 A3 19930824
US 1993-110911 A 19930824
US 1994-204827 A 19940302

OTHER SOURCE(S): MARPAT 132:265504

AB Hydroxyethylamino sulfonamide compds. R9R10N(CR7R8)pCHR1C(:Y)NR6CHR2CH(OH)CH2NR3S(:O)xR4 [I: R1 = H, CH2SO2NH2, CH2CO2CH3, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R2 = (un)substituted alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, aryl, heteroaryl, mono- and disubstituted aminoalkyl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl, aryl, (un)saturated heterocycle, (un)substituted aromatic heterocycloalkyl, etc.; R6 = H, alkyl; Y = O, S, NR3; R7,R8 = independently H, R1, or together with R1 and the carbon atoms to which they are attached represent a cycloalkyl radical; R9 = H, R3, or R3SO2; R10 = H, alkoxycarbonyl, alkylcarbonyl, aroyl, aryloxycarbonyl, heterocyclylalkoxycarbonyl, mono- and disubstituted aminocarbonyl, or aminoalkanoyl, etc.; or R9R10N = heterocycloalkyl or heteroaryl; x = 0-2; p = 0-1] or their pharmaceutically acceptable salts, prodrugs, or esters were prepared as inhibitors of retroviral proteases such as human immunodeficiency virus

L4 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(HIV). Many inhibitors were prepd. by (1) prepg. an N-protected amino epoxide and (2) reacting this with an amine and (3) prepg. a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. Thus, N1-[2R-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl)amino]butanediamide was prepd. and assayed for HIV protease inhibitory activity (IC50 = 1.5 nM). Compds. of formula I were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:811207 CAPLUS
DOCUMENT NUMBER: 132:49801
TITLE: Preparation of 1-acylamino-3-(N-arylsulfonyl-N-alkoxyamino)-2-hydroxypropanes and related compounds as inhibitors of HIV aspartyl protease.
INVENTOR(S): Sherrill, Ronald George; Hale, Michael R.; Spaltenstein, Andrew; Furfine, Eric Steven; Andrews, Clarence Webster, III; Lowen, Gregory Thomas
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 344 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965870	A2	19991223	WO 1999-US13744	19990617
WO 9965870	A3	20010315		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335477	AA	19991223	CA 1999-2335477	19990617
AU 9945760	A1	20000105	AU 1999-45760	19990617
AU 767728	B2	20031120		
EP 1086076	A1	20010328	EP 1999-928769	19990617
EP 1086076	B1	20041222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9912169	A	20010410	BR 1999-12169	19990617
NZ 508855	A	20031031	NZ 1999-508855	19990617
AT 285396	E	20050115	AT 1999-928769	19990617
ES 2235492	T3	20050701	ES 1999-928769	19990617
US 2002049201	A1	20020425	US 2000-731129	20001206
US 6613743	B2	20030902		
NO 2000006405	A	20010219	NO 2000-6405	20001215
US 2004097594	A1	20040520	US 2003-600937	20030620
NZ 528074	A	20041126	NZ 2003-528074	20030908

PRIORITY APPLN. INFO.:
US 1998-90094P P 19980619
WO 1999-US13744 W 19990617
US 2000-731129 A3 20001206

OTHER SOURCE(S): MARPAT 132:49801

AB ABxN(Gx)CHDCHOR7CH2ND'SO2E [A = H, (substituted) Ht, R1Ht, R1Ak; Ak = alkyl; Ht = cycloalkyl, cycloalkenyl, (substituted) aryl, heterocyclyl; R1 = CO, SO2, COCO, O2C, NR2CO, NR2SO2, etc.; B = null, NR2C(R3)2CO; x = 0, 1; R2 = H, (substituted) Ht, alkyl; R3 = H, (substituted) Ht, alkyl, alkenyl, cycloalkyl, cycloalkenyl; G = null, H, R7, alkyl; G may be bound to R7; D = (substituted) Q, alkyl, alkenyl; Q = (substituted) carbocyclyl, heterocyclyl; D' = OR10, N:R10, N(R10)R1R3; E = Ht, OHt, OR3, NR2R3, (substituted) alkyl, alkenyl, etc.; R7 = H, (CH2O)xY(ZM)(:X)Z(M)x, etc.; M = null, H, Li, Na, K, Mg, Ca, Ba, alkyl, alkenyl, etc.; X = O, S; Y = P, S; Z = O, S, N(R2)2, H], were prepared as inhibitors of HIV aspartyl protease (no data). Thus, 3-H2NC6H4SO2NHOCHMe2 (preparation given), tert-Bu

L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

N-(1S)-1-[(2S)-oxiran-2-yl]-2-phenylethylcarbamate, and phosphazene base P4 tert-Bu were stirred in 8 h in THF to give 95% tert-Bu N-(1S,2R)-3-[[(3-aminophenyl)sulfonyl](isopropoxy)amino]-1-benzyl-2-hydroxypropylcarbamate.

L4 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:670116 CAPLUS
DOCUMENT NUMBER: 131:295568
TITLE: α- and β-Amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazques, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: U.S., 130 pp., Cont.-in-part of U. S. 204,827.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5968942	A	19991019	US 1994-294468	19940823
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 6060476	A	20000509	US 1994-204827	19940302
US 6248775	B1	20010619	US 1999-288080	19990408
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 6924286	B1	20050802	US 2003-633376	20030804
PRIORITY APPLN. INFO.:			US 1992-934984	B2 19920825
			WO 1993-US7814	A2 19930824
			US 1994-204827	A2 19940302
			EP 1993-923714	A3 19930824
			US 1993-110911	A2 19930824
			US 1994-294468	A1 19940823
			US 1999-288080	A1 19990408
			US 2001-798255	A1 20010305
			US 2002-157019	A1 20020530

OTHER SOURCE(S): MARPAT 131:295568
AB α- And β-Amino acid hydroxyethylamino sulfonamide compds. are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, as well as effective in preventing the growth of retroviruses in a solution General and specific schemes for chemical synthesis of the sulfonamide-containing hydroxyethylamine inhibitor compds. are described. Seventy-eight such compds. were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:799692 CAPLUS
DOCUMENT NUMBER: 130:38712
TITLE: Preparation of α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 934,984, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5843946	A	19981201	US 1993-110911	19930824
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 172717	E	19981115	AT 1993-923714	19930824
ES 2123065	T3	19990101	ES 1993-923714	19930824
AT 218541	E	20020615	AT 1997-113434	19930824
PT 810209	T	20020930	PT 1997-113434	19930824
ES 2177868	T3	20021216	ES 1997-113434	19930824
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9476697	A1	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 174587	E	19990115	AT 1994-927162	19940823
ES 2127938	T3	19990501	ES 1994-927162	19940823
FI 9500650	A	19950214	FI 1995-650	19950214
FI 112471	B1	20031215		
US 5786483	A	19980728	US 1995-487662	19950607
US 5830897	A	19981103	US 1995-473698	19950607
US 6172082	B1	20010109	US 1995-476788	19950607
US 5744481	A	19980428	US 1997-845392	19970425
US 6248775	B1	20010619	US 1999-288080	19990408
US 6335460	B1	20020101	US 2000-510189	20000222
US 6472407	B1	20021029	US 2000-511005	20000222
US 6534493	B1	20030318	US 2000-694785	20001024
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 6924286	B1	20050802	US 2003-633376	20030804
PRIORITY APPLN. INFO.:			US 1992-934984	B2 19920825
			EP 1993-923714	A3 19930824
			US 1993-110911	A 19930824

L4 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

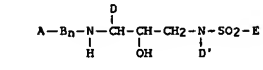
		WO 1993-US7814	A2 19930824
		US 1994-204827	A 19940302
		US 1994-294468	A1 19940823
		WO 1994-US9139	W 19940823
		US 1995-476788	A1 19950607
		US 1995-485524	B1 19950607
		US 1999-288080	A1 19990408
		US 2001-798255	A1 20010305
		US 2002-157019	A1 20020530

OTHER SOURCE(S): MARPAT 130:38712
AB Amino acid hydroxyethylamino sulfonamide compds. P1NHCHR2CH(OH)CH2NR3SO2R4 [P1 = alkoxycarbonyl, aralkoxycarbonyl, alkanoyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, aralkanoyl, aroyl, aryloxycarbonyl, heterocyclylcarbonyl, heterocyclyloxycarbonyl, heterocyclylalkoxycarbonyl, heteroaralkoxycarbonyl, heteroaryloxycarbonyl, heteroaroyl; R2 = alkyl, aryl, cycloalkyl, cycloalkylalkyl, (un)substituted aralkyl; R3 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heteroaryl, heterocyclylalkyl, aryl, aralkyl, heteroaralkyl; R4 = alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl] were preparation as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-{[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S-(phenylmethyl)propyl]-4-pyridinecarboxamide was prepared by amidation of isonicotinoyl chloride hydrochloride with 2R-hydroxy-3-[(2-methylpropyl)[(4-methoxyphenyl)sulfonyl]amino]-1S-(phenylmethyl)propylamine. Protease inhibitory data are tabulated.
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:502547 CAPLUS
DOCUMENT NUMBER: 129:136097
TITLE: Preparation of heterocyclic sulfonamide inhibitors of aspartyl protease
INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
SOURCE: U.S., 87 pp., Cont.-in-part of U.S. 5,585,397.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5783701	A	19980721	US 1995-393460	19950223
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
EP 885887	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5585397	A	19961217	US 1993-142327	19931124
US 5723490	A	19980303	US 1995-424819	19950419
US 5977137	A	19991102	US 1998-115394	19980714
US 6392046	B1	20020521	US 1999-409808	19990930
US 2003064977	A1	20030403	US 2002-94763	20020308
US 6720335	B2	20040413		
US 2004167116	A1	20040826	US 2004-786997	20040224
PRIORITY APPLN. INFO.:			US 1992-941982	B2 19920908
			US 1993-142327	A2 19931124
			EP 1993-921428	A3 19930907
			WO 1993-US8458	W 19930907
			US 1995-393460	B2 19950223
			US 1998-115394	A3 19980714
			US 1999-409808	A3 19990930
			US 2002-94763	A1 20020308

OTHER SOURCE(S): MARPAT 129:136097
GI



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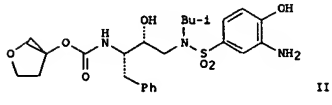

II

AB The title compds. I [A = H, -Ht, -R1Ht, (un)substituted -R1-alk(en)yl; R1 = CO, SO2, COCO, OCO, OSO2, NR2SO2, NR2CO, NR2COCO; Ht = (un)substituted

L4 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

cycloalk(en)yl, aryl, (benzo)heterocyclyl; R2 = H, alkyl, -alkyl-R7; B = NR2C(R3)2CO; n = 0, 1; R3 = (un)substituted alk(en)yl or cycloalk(en)yl; n = 1, 2; D, D' = R7, (un)substituted alk(en)yl or cycloalk(en)yl; R7 = (un)substituted Ph, carbocyclyl, or heterocyclyl; E = Ht, -O-Ht, -Ht-Ht, OR3, NR2R3, (un)substituted alk(en)yl or carbocyclyl; R4 = OR2, CONHR2, SO2NHR2, halo, NR2COR2, cyano] are prepd. as inhibitors of HIV aspartyl protease. The invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity. The invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the invention compds., and to methods for screening compds. for anti-HIV activity. Prepns. of almost 200 compds. are described, and some of these plus addnl. compds. are claimed. Some of the compds., e.g., II, inhibit HIV replication (IC90) in CCRM-CEM cells in vitro at concns. of ≤ 100 nM.

REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:501276 CAPLUS
DOCUMENT NUMBER: 129:170511
TITLE: Use of quinoxalines in three-way combinations with protease inhibitors and reverse transcriptase inhibitors as a drug for treating AIDS and/or HIV infections
INVENTOR(S): Paessens, Arnold; Blunck, Martin; Riess, Guenter; Klein, Joerg-Peter; Roesner, Manfred
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19703131	A1	19980730	DE 1997-19703131	19970129
CA 2278773	AA	19980730	CA 1998-2278773	19980115
WO 9832442	A1	19980730	WO 1998-EP197	19980115
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9860940	A1	19980818	AU 1998-60940	19980115
EP 977570	A1	20000209	EP 1998-905297	19980115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
BR 9807523	A	20000321	BR 1998-7523	19980115
JP 2001511124	T2	20010807	JP 1998-531540	19980115
ZA 9800679	A	19980805	ZA 1998-679	19980128
NO 9903670	A	19990910	NO 1999-3670	19990728
MX 9907077	A	20000531	MX 1999-7077	19990729

PRIORITY APPLN. INFO.: DE 1997-19703131 A 19970129
WO 1998-EP197 W 19980115

AB Quinoxaline derivs. in combination with protease inhibitors and reverse transcriptase inhibitors inhibited HIV replication in human lymphocytes. Such 3-way combinations are synergistic and may be used to treat persons with HIV infections or AIDS.

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:9928 CAPLUS
DOCUMENT NUMBER: 126:144117
TITLE: Preparation of sulfonamide inhibitors of aspartyl protease
INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda R.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
SOURCE: U.S., 87 pp., Cont.-in-part of U.S. Ser. No. 941,982, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5585397	A	19961217	US 1993-142327	19931124
WO 9405639	A1	19940317	WO 1993-US8458	19930907
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
EP 885887	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
US 5783701	A	19980721	US 1995-393460	19950223
US 5723490	A	19980303	US 1995-424819	19950419
US 5856353	A	19990105	US 1995-477937	19950607
US 6372778	B1	20020416	US 1995-484326	19950607
US 5977137	A	19991102	US 1998-115394	19980714
US 6004957	A	19991221	US 1998-121008	19980722
US 6392046	B1	20020521	US 1999-409808	19990930
US 2003064977	A1	20030403	US 2002-94763	20020308
US 6720335	B2	20040413		
US 2003069222	A1	20030410	US 2002-94790	20020308
US 2004167116	A1	20040826	US 2004-786997	20040224

PRIORITY APPLN. INFO.:
US 1992-941982 B2 19920908
WO 1993-US8458 W 19930907
EP 1993-921428 A3 19930907
US 1993-142327 A2 19931124
US 1995-393460 B2 19950223
US 1995-484326 A3 19950607
US 1998-115394 A3 19980714
US 1999-409808 A3 19990930
US 2002-94763 A1 20020308

OTHER SOURCE(S): MARPAT 126:144117

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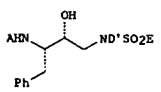

I

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB The title compds. I [A = 3-tetrahydrofuryloxycarbonyl; D' = (un)substituted alkyl; E = (un)substituted aryl] are prepared This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as antiviral agents against the HIV-1 and HIV-2 viruses. This invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the compds. of this invention and methods for screening compds. for anti-HIV activity. The title compds. inhibit HIV replication at concentration of ≤ 100 nM.

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:725344 CAPLUS
DOCUMENT NUMBER: 126:75247
TITLE: Preparation of α- and β-amino acid hydroxyethylamino sulfonyl urea derivatives as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Sun, Eric T.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 37 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5578606	A	19961126	US 1992-968712	19921030
US 6022872	A	20000208	US 1996-709069	19960906
US 6211176	B1	20010403	US 1999-345739	19990701
US 6403585	B1	20020611	US 2000-731911	20001208
US 2003144342	A1	20030731	US 2002-138534	20020506
US 6683648	B2	20040127		
US 2004171653	A1	20040902	US 2003-689513	20031021

PRIORITY APPLN. INFO.: US 1992-968712 A3 19921030
US 1996-709069 A1 19960906
US 1999-345739 A1 19990701
US 2000-731911 A1 20001208
US 2002-138534 A1 20020506
OTHER SOURCE(S): MARPAT 126:75247
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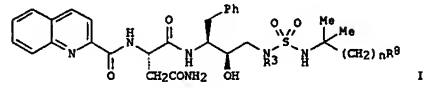

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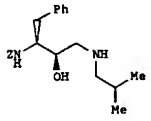

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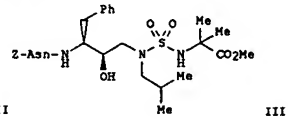

III

AB α- And β-amino acid hydroxyethylamino sulfonyl urea derivative compds., e.g. I [R3 = C1-8 alkyl, (un)substituted C1-8 alkylphenyl, C1-8 heteroaralkyl; R8 = (un)substituted Ph, heterocyclyl, CN, OH, CO2H, C1-8 alkylthio, (un)substituted phenylsulfonyl, C1-8 alkanoyl, C1-8 alkoxycarbonyl, C1-8 dialkylaminocarbonyl, N-C1-8- alkyl-N-phenylcarbamoyl, 2-heterocyclylethoxy, heterocyclyl; n = 0-2], are

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. Thus, coupling of protected amino(hydroxy)phenylbutylamine II (Z = PhCH2O2C) (prepd. in 3 steps from chloromethyl ketone Z-L-Phe-CH2Cl) with ClSO2NHCMe2CO2Me, followed by hydrogenolysis and coupling with Z-Asn-OH gave inhibitor III.

L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:601709 CAPLUS
DOCUMENT NUMBER: 125:238651
TITLE: Use of quinoxalines and protease inhibitors in a composition for the treatment of AIDS and/or HIV infections
INVENTOR(S): Paessens, Arnold; Blunck, Martin; Riess, Guenther; Kleim, Joerg-Peter; Roesner, Manfred
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 728481	A2	19960828	EP 1996-102129	19960214
EP 728481	A3	19980708		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 19506742	A1	19960829	DE 1995-19506742	19950227
AU 9645615	A1	19960905	AU 1996-45615	19960220
AU 710158	B2	19990916		
CA 2170222	AA	19960828	CA 1996-2170222	19960223
FI 9600850	A	19960828	FI 1996-850	19960223
JP 08245392	A2	19960924	JP 1996-60286	19960223
IL 117247	A1	20001031	IL 1996-117247	19960223
NO 9600775	A	19960828	NO 1996-775	19960226
ZA 9601516	A	19960903	ZA 1996-1516	19960226
BR 9600809	A	19971223	BR 1996-809	19960226
CN 1141196	A	19970129	CN 1996-102709	19960227

PRIORITY APPLN. INFO.: DE 1995-19506742 A 19950227
OTHER SOURCE(S): MARPAT 125:238651
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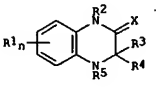

I

AB Combinations of a quinoxaline derivative [I; R1 = halo, OH, NO2, (substituted) amino, N3, CF3, CF3O, C1-8 alkyl, CN, (substituted) Ph, N-heterocyclyl, etc.; R2, R5 = H, OH, C1-6 alkoxy, aryloxy, C1-6 acyloxy, CN, (substituted) amino, (substituted) C1-8 alkyl, (substituted) C2-8 alkenyl, (substituted) C3-8 alkynyl, (substituted) C3-8 cycloalk(en)yl, etc.; R3, R4 = H, (substituted) C1-8 alkyl, (substituted) C2-8 alkenyl, (substituted) C3-8 cycloalk(en)yl, (substituted)aryl, etc.; or R3R4 or R3R5 complete a (substituted) ring; X = O, S, Se, NR2; n = 0-4] and a peptidomimetic protease inhibitor are useful for treatment of HIV infections and AIDS. Thus, I [R1 = 6-MeO, R2 = R3 = H, R4 = (S)-MeSCH2, R5 = i-PrO2C, X = S] (0.7-6 nM) and saquinavir (6-50 nM) synergistically inhibited syncytium formation in HIV-infected human lymphocytes in vitro.

L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:153437 CAPLUS
DOCUMENT NUMBER: 124:220480
TITLE: Retroviral protease inhibitor combinations
INVENTOR(S): Bryant, Martin L.; Potts, Karen E.; Smidt, Mary; Tucker, Simon P.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9533464	A2	19951214	WO 1995-US6673	19950602
WO 9533464	A3	19960104		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2191948	AA	19951214	CA 1995-2191948	19950602
AU 9526510	A1	19960104	AU 1995-26510	19950602
AU 696299	B2	19980903		
EP 762880	A1	19970319	EP 1995-921428	19950602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
BR 9507912	A	19970812	BR 1995-7912	19950602
CN 1166786	A	19971203	CN 1995-194464	19950602
HU 76979	A2	19980128	HU 1996-3328	19950602
JP 10505324	T2	19980526	JP 1995-501057	19950602
NZ 287702	A	20000623	NZ 1995-287702	19950602
US 6100277	A	20000808	US 1995-458154	19950602
PL 180070	B1	20001229	PL 1995-317425	19950602
RU 2166317	C2	20010510	RU 1997-100123	19950602
NO 9605136	A	19970120	NO 1996-5136	19961202
FI 9604835	A	19970129	FI 1996-4835	19961203
US 2003207813	A1	20031106	US 2002-253899	20020925

PRIORITY APPLN. INFO.: US 1994-253638 A2 19940603
WO 1995-US6673 W 19950602
US 1996-737960 B1 19961209

AB A method is disclosed for the treatment of mammalian retrovirus infections, e.g. HIV, using combinations of retroviral protease inhibitors which are effective in preventing the replication of the retroviruses in vitro or in vivo. In particular, the invention provides protease inhibitor compds. used in combination therapy with other protease inhibitor compds. Also disclosed is combination therapy with a combination of protease inhibitors and antiviral agents other than protease inhibitors. Preparation and activity of selected inhibitors is included.

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:47171 CAPLUS
DOCUMENT NUMBER: 124:193129
TITLE: Determination of protein binding by in vitro charcoal adsorption
AUTHOR(S): Yuan, Jinhua; Yang, Dai Chang; Birkmeier, Jill; Stolzenbach, James
CORPORATE SOURCE: Pharmacokinetics, Bioanalytical and Radiochemistry Function, G. D. Searle Research and Development, Skokie, IL, 60077, USA
SOURCE: Journal of Pharmacokinetics and Biopharmaceutics (1995), 23(1), 41-55
CODEN: JPBPBJ; ISSN: 0090-466X
PUBLISHER: Plenum
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Certain compds. such as SC-52151 have extensive nonspecific adsorption to the ultrafiltration devices or to dialysis membranes and therefore can not be measured by the conventional ultrafiltration or equilibrium dialysis methods. A new method based on charcoal adsorption was developed to overcome this difficulty. Unlike many conventional methods, which are based on the separation of free drug from bound drug under equilibrium conditions, the new method is operated under nonequil. conditions and involves measuring the time course of decline of the percentage of bound drug remaining in plasma while the free drug is being removed by charcoal adsorption. Theor. aspects of the method and the data processing procedure are presented. SC-98A, a compound with minimal nonspecific adsorption to the ultrafiltration membrane, was used to demonstrate the applicability of this method against the ultrafiltration method. Using this method, the protein binding of SC-52151 in human plasma at 1.0 μg/mL was determined to be in the range of 91.4-97.7% at room temperature

L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:964989 CAPLUS
DOCUMENT NUMBER: 124:176937
TITLE: N-[(Succinoylamino)hydroxypropyl]sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: U.S., 32 pp. Cont.-in-part of U.S. Ser. No. 935,490, abandoned
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5463104	A	19951031	US 1993-110912	19930824
AT 154800	E	19970715	AT 1993-920213	19930824
ES 2103488	T3	19970916	ES 1993-920213	19930824
US 5714605	A	19980203	US 1995-541350	19951010
US 5760076	A	19980602	US 1995-541747	19951010
US 6022994	A	20000208	US 1998-41016	19980312
US 6313345	B1	20011106	US 1999-419816	19991018
US 2002137942	A1	20020926	US 2001-884462	20010620
US 6469207	B2	20021022		
US 2003220508	A1	20031127	US 2002-237184	20020909
US 6727282	B2	20040427		
US 2005004043	A1	20050106	US 2004-784916	20040224
PRIORITY APPLN. INFO.:			US 1992-935490	B2 19920825
			US 1993-110912	A3 19930824
			US 1995-541350	A1 19951010
			US 1995-541747	A1 19951010
			US 1998-41016	A1 19980312
			US 1999-419816	A1 19991018
			US 2001-884462	A1 20010620
			US 2002-237184	A1 20020909

OTHER SOURCE(S): MARPAT 124:176937
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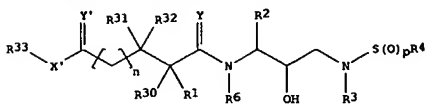
L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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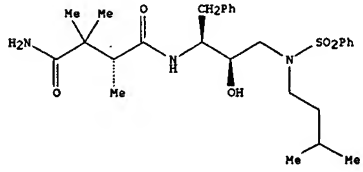

II

AB Succinoylamino hydroxyethylamino sulfonamide compds. I or a pharmaceutically acceptable salt or ester thereof, wherein p represents 0, 1 or 2; n represents either 0 or 1; X' represents N(R34) or O; or R33X' represents cycloalkyl or aryl radicals; Y and Y' each independently represent O or S; R1, R30, R31 and R32 each independently represent hydrogen, OH, (CH2)C(O)CH3, CH2SO2NH2, CO2CH3, CONHCH3, CON(CH3)2, CH2C(O)NHCH3, CH2C(O)N(CH3)2, CONH2, C(CH3)2(SH), C(CH3)2(SCH3), C(CH3)2{S(O)CH3}, C(CH3)2{S(O)2CH3}, alkyl, haloalkyl, alkenyl, alkynyl, aralkyl or cycloalkyl radicals, or the side chain of the amino acid asparagine, S-Me cysteine or the corresponding sulfoxide or sulfone derivs. thereof, leucine, isoleucine, allo-isoleucine, tert-leucine, phenylalanine, ornithine, alanine, norleucine, glutamine, valine, threonine, serine, o-alkyl serine, aspartic acid, β-cyanoalanine or allothreonine; or R30 and R32 together with the carbon atoms to which they are attached form a cycloalkyl radical; R2 = e.g., alkyl, aryl, cycloalkyl; R3, R33, R34 = e.g., H, alkyl, haloalkyl; R4 = e.g., alkyl, haloalkyl, alkenyl; R6 = H, alkyl; are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. Thus, e.g., butanediamide II was prepared by coupling of benzyl (R)-2,2,3-trimethylsuccinate (preparation given) with 2(R)-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1(S)-(phenylmethyl)propylamine (preparation given) followed by benzyl ester hydrogenolysis and amidation, and exhibited IC50 = 2 nM for inhibition of HIV protease.

L4 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:871984 CAPLUS
DOCUMENT NUMBER: 123:279761
TITLE: Hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 255 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5843946	A	19981201	US 1993-110911	19930824
US 6060476	A	20000509	US 1994-204827	19940302
AU 9476697	A1	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 6046190	A	20000404	US 1996-586866	19960124
PRIORITY APPLN. INFO.:			US 1993-110911	A 19930824
			US 1994-204827	A 19940302
			US 1992-934984	B2 19920825
			WO 1993-US7814	A2 19930824
			US 1994-204872	B2 19940302
			WO 1994-US9139	W 19940823

OTHER SOURCE(S): MARPAT 123:279761

AB Hyroxethylamino sulfonamide compds. AC(:Y)NR6CHR2CHOHCH2NR3S(:O)xR4 [I; R2=(substituted)alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3=H; R3,R4=R2, alkenyl, alkynyl, heterocycloalkyl, -aryl, -aralkyl, -cycloalkyalkyl; R6=H, alkyl; x=1,2; Y=O, S; A=RO, R; R=alkyl, alkenyl; (hetero)aryl, cycloalkyl, cycloalkylalkyl, aralkyl, NH2, mono- or disubstituted amino, etc.] are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. Many inhibitors were prepared by (1) preparing an N-protected amino epoxide and (2) reacting this with an amine and (3) preparing a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. In vitro HIV protease assays with these compds. revealed inhibitors with IC50's as low as 1.4 nM, e.g. [1S-[1R*(S*),2S*]]-I (A=p-MeOC6H4CH2OCONHCH2CHMe; Y=O; R6=H; R2=benzyl; R3=3-methylbutyl; x=2; R4=phenyl).

L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:352211 CAPLUS
DOCUMENT NUMBER: 122:204547
TITLE: Inhibitors of HIV-1 Protease Containing the Novel and Potent (R)-(Hydroxyethyl)sulfonamide Isostere
AUTHOR(S): Vazquez, Michael L.; Bryant, Martin L.; Clare, Michael; DeCrescenzo, Gary A.; Doherty, Elizabeth M.; Freskos, John N.; Getman, Daniel P.; Houseman, Kathryn A.; Julien, Janet A.; et al.
CORPORATE SOURCE: Searle Discovery Research, Skokie, IL, 60077, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(4), 581-4
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:204547

AB The authors have prepared and tested a series of novel and highly potent HIV-1 protease inhibitors based on the (R)-(hydroxyethyl)sulfonamide isostere. The isostere exhibits enhanced potency relative to the previously reported (hydroxyethyl)urea isostere. The preferred stereochem. for the critical hydroxyl group is R. X-ray crystallog. studies show that these inhibitors bind to the protease in an extended fashion with one of the sulfonamide oxygens forming a hydrogen bond to the key structural water mol. Some of the compds. showed excellent antiviral activity in vitro.

L4 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:340526 CAPLUS
DOCUMENT NUMBER: 122:133838
TITLE: preparation of succinoylamino hydroxyethylamino sulfamic acid derivatives as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; De Crescenzo, Gary A.; Sun, Eric T.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410133	A1	19940511	WO 1993-US10460	19931029
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2141570	AA	19940511	CA 1993-2141570	19931029
AU 9455892	A1	19940524	AU 1994-55892	19931029
EP 666841	A1	19950816	EP 1994-901230	19931029
EP 666841	B1	19970122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 148105	E	19970215	AT 1994-901230	19931029
ES 2097023	T3	19970316	ES 1994-901230	19931029
US 5602119	A	19970211	US 1995-379573	19950131
PRIORITY APPLN. INFO.:			US 1992-969683 A	19921030
			WO 1993-US10460 W	19931029

OTHER SOURCE(S): MARPAT 122:133838
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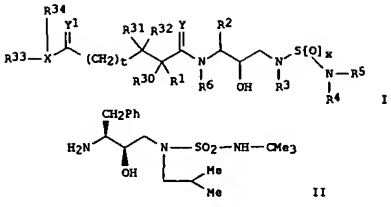
L4 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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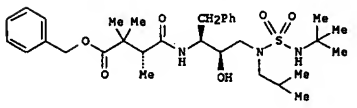

III

AB Title compds. [I; R1 = H, CH2-SO2-NH2, CH2-CO2Me, CO2Me, CONH2, CH2-CO-NHMe, CMe2-SH, etc.; R2 = alkyl, acyl, cycloalkyl, cycloalkylalkyl, NO2, cyano, CF3, OH, SH, alkoxy, etc.; R3 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, etc.; R4, R5 = H, any group in the definition of R3; R6 = H, alkyl; R30,R31,R32 = H, alkyl, alkenyl, alkynyl, etc.; R33, R34 = H, any group in the definition of R3, or R33 and R34 together with X = cycloalkyl, aryl, heterocyclyl, heteroaryl provided that when X = O, R34 = nil; X = N, O, CR17; R17 = H, alkyl; x = 1, 2; t = 0, 1, 2; Y, Y1 = O, S, NR15; R15 = H, any group in the definition of R3], effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, are prepared Thus, 4-benzyl 2(R),3,3-trimethylsuccinate was condensed with the [(tert-butylaminosulfonyl)amino]propylamine derivative II (preparation given) in DMF containing HOBt and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride to give the title compound III. III had an IC50 of 1.4 μM against retroviral protease in an in vitro study. The title compds. were also compared with AZT in a CEM cell assay.

L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:330514 CAPLUS
DOCUMENT NUMBER: 122:106521
TITLE: Preparation of N-sulfamidohydroxyalkyl amino acid amides as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Sun, Eric T.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410134	A1	19940511	WO 1993-US10552	19931029
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2142997	AA	19940511	CA 1993-2142997	19931029
AU 9455470	A1	19940524	AU 1994-55470	19931029
EP 666842	A1	19950816	EP 1994-900506	19931029
EP 666842	B1	19980624		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 810208	A2	19971203	EP 1997-113206	19931029
EP 810208	A3	19981202		
EP 810208	B1	20020102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 167669	E	19980715	AT 1994-900506	19931029
ES 2118364	T3	19980916	ES 1994-900506	19931029
AT 211462	E	20020115	AT 1997-113206	19931029
PT 810208	T	20020628	PT 1997-113206	19931029
ES 2170305	T3	20020801	ES 1997-113206	19931029
US 6156768	A	20001205	US 1995-379545	19950202
US 6444678	B1	20020903	US 2000-633063	20000804
US 2003158236	A1	20030821	US 2002-178956	20020625
PRIORITY APPLN. INFO.:			US 1992-968730 A	19921030
			EP 1994-900506 A3	19931029
			WO 1993-US10552 W	19931029
			US 1995-379545 A3	19950202
			US 2000-633063 A1	20000804

OTHER SOURCE(S): MARPAT 122:106521
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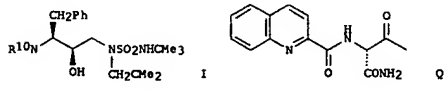


I Q

AB RR'N(CR7R8)tCHR1C(:Y)NR6CHR2CH(OH)CH2NR3SOxNR4R5 [R = H, (cyclo)alkyl, (hetero)aryl, alkyl(oxy)carbonyl, heterocyclyl(oxy)carbonyl, etc.; R' =

L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

groups cited for R3, R''SO2; R'' = groups cited for R3; NRR' = heterocyclyl, heteroaryl; R1,R7,R8 = H, (halo)alkyl, amino acid side chain, CONH2, CO2Me, etc.; R1R7 = atoms to form a cycloalkyl group; R2 = (un)substituted (cyclo)alkyl, aryl(alkyl); R3 = (cyclo)alkyl, (hetero)aryl(alkyl), aminoalkyl, etc.; R4,R5 = H, groups cited for R3; NR4R5 = heterocyclyl, heteroaryl; R6 = H, alkyl; Y = O, S, NH, NR3; t = 0-2; x = 1 or 2] were prepd. Thus, N-benzyloxycarbonyl-3(S)-amino-1,2(S)-epoxy-4-phenylbutane (prepn. given) was condensed with Me2CHCH2NH2 and the product amidated by ClSO2NHCMe3 (prepn. given) to give, after deprotection, sulfamamide I (R10 = H) which was N-acylated by N-BOC-L-asparagine and the deprotected product N-acylated by quinoline-2-carboxylic acid to give I (R10 = quinolinoylasparaginyl group Q). The latter had IC50 of 2nM against HIV-1 infection of CEM cells in vitro.

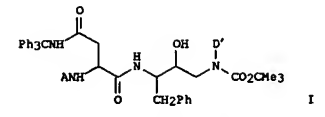
L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:293723 CAPLUS
DOCUMENT NUMBER: 122:81141
TITLE: Preparation of heterocyclylarylsulfonamide inhibitors of HIV-aspartyl protease
INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9405639	A1	19940317	WO 1993-US8458	19930907
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
LT 3302	B	19950626	LT 1993-917	19930901
IL 106927	A1	20010111	IL 1993-106927	19930906
EP 659181	A1	19950628	EP 1993-921428	19930907
EP 659181	B1	19990407		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08501299	T2	19960213	JP 1994-507525	19930907
HU 71892	A2	19960228	HU 1995-685	19930907
AU 6911160	B2	19980514	AU 1993-48520	19930907
AU 9348520	A1	19940329		
EP 885887	A2	19981223	EP 1998-113921	19930907
EP 885887	A3	19990203		
EP 885887	B1	20030528		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 178598	E	19990415	AT 1993-921428	19930907
ES 2131589	T3	19990801	ES 1993-921428	19930907
RU 2135496	C1	19990827	RU 1995-109928	19930907
SK 281360	B6	20010212	SK 1995-293	19930907
CZ 289475	B6	20020116	CZ 1995-587	19930907
CA 2143208	C	20030107	CA 1993-2143208	19930907
AT 241602	E	20030615	AT 1998-113921	19930907
PL 185635	B1	20030630	PL 1993-307858	19930907
RO 118747	B1	20031030	RO 1995-479	19930907
PT 885887	T	20031031	PT 1998-113921	19930907
ES 2200243	T3	20040301	ES 1998-113921	19930907
CN 1087347	A	19940601	CN 1993-117370	19930908
CN 1061339	B	20010131		
ZA 9308470	A	19940620	ZA 1993-8470	19931112
US 5585397	A	19961217	US 1993-142327	19931124
FI 9501059	A	19950418	FI 1995-1059	19950307
NO 9500876	A	19950508	NO 1995-876	19950307
NO 303444	B1	19980713		
HK 1012631	A1	20000623	HK 1998-113971	19981217
HK 1023561	A1	20040716	HK 2000-100689	19981217
PRIORITY APPLN. INFO.:			US 1992-941982	A2 19920908
			EP 1993-921428	A3 19930907
			WO 1993-US8458	W 19930907

OTHER SOURCE(S): MARPAT 122:81141
GI

L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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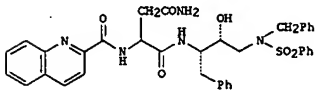

II

AB Title compds. A(B)xNHCH(D)CH(OH)CH2N(D')SO2E (A = H, Het, R1-Het, (substituted)R1-C1-6 alkyl, (substituted) R1-C2-6 alkenyl wherein R1 = CO, SO2, COCO, O2C, etc., Het = C5-7 cycloalkyl, C5-7 cycloalkenyl, C6-10 aryl, (substituted) 5-7-membered heterocyclyl; R2 = H, (Ar)-C1-3 alkyl; B = NR2CR3CO, null wherein R3 = H, (substituted)Het or C1-6 alkyl or C2-6 alkenyl or C3-6 cycloalkyl or C5-6 cycloalkenyl; x = 0,1; D, D' = Ar, (substituted) C1-4 alkyl wherein Ar = Ph, (substituted) 3-6-membered carbocyclyl or 5-6-membered heterocyclyl; E = Het-O, Het-Het, (substituted) C1-6 alkyl or C2-6 alkenyl, C3-6 carbocyclyl) useful also against viral infection of HIV-2, HIV-2, or HTLV, are prepared 4,3-(AcNH)FC6H3SO2Cl and syn-I (A = quinolin-2-ylcarbonyl, D' = Me2CHCH2) (preparation given) in CH2Cl2 was treated with F3CCO2H followed by NaHCO3 and 4-FC6H4SO2Cl to give the title compound II which inhibited HIV-1 protease with IC50 of <0.1 nM.

L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:701324 CAPLUS
DOCUMENT NUMBER: 121:301324
TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 198 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 656887	A1	19950614	EP 1993-923714	19930824
EP 656887	B1	19981028		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08501288	T2	19960213	JP 1994-506530	19930824
JP 3657002	B2	20050608		
AU 680635	B2	19970807	AU 1994-53474	19930824
AU 9453474	A1	19940315		
EP 810209	A2	19971203	EP 1997-113434	19930824
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EP 810209	B1	20020605		
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AT 172717	E	19981115	AT 1993-923714	19930824
ES 2123065	T3	19990101	ES 1993-923714	19930824
RU 2173680	C2	20010920	RU 1995-106624	19930824
AT 218541	E	20020615	AT 1997-113434	19930824
PT 810209	T	20020930	PT 1997-113434	19930824
ES 2177868	T3	20021216	ES 1997-113434	19930824
US 6060476	A	20000509	US 1994-204827	19940302
US 5968942	A	19991019	US 1994-294468	19940823
NO 9500533	A	19950213	NO 1995-533	19950213
FI 9500650	A	19950214	FI 1995-650	19950214
FI 112471	B1	20031215		
US 6455581	B1	20020924	US 1995-451090	19950525
US 6046190	A	20000404	US 1996-586866	19960124
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NO 307047	B1	20000131		
US 6248775	B1	20010619	US 1999-288080	19990408
US 6500832	B1	20021231	US 2000-525161	20000314
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
FI 2001002317	A	20011127	FI 2001-2317	20011127
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 2004044047	A1	20040304	US 2002-199481	20020722
US 6846954	B2	20050125		
US 6924286	B1	20050802	US 2003-633376	20030804
US 2004229922	A1	20041118	US 2004-812343	20040330

L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PRIORITY APPLN. INFO.:	US 1992-934984	A2 19920825
	EP 1993-923714	A3 19930824
	US 1993-110911	A2 19930824
	WO 1993-US7814	W 19930824
	US 1994-204827	A2 19940302
	US 1994-204872	B2 19940302
	US 1994-294468	A1 19940823
	WO 1994-US9139	W 19940823
	US 1995-451090	A3 19950525
	US 1999-288080	A1 19990408
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	US 2002-157019	A1 20020530
	US 2002-199481	A3 20020722

OTHER SOURCE(S): MARPAT 121:301324

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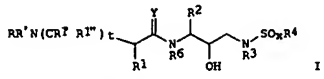

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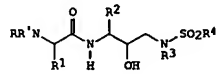

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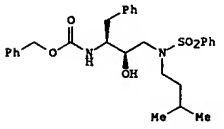

III

AB Title compds. [I and II; R = H, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, heterocyclylcarbonyl, heteroaryloxyalkyl, hydroxyalkyl, aryl, alkyl, alkenyl, alkynyl, substituted aminocarbonyl, etc.; R' = H, R3, R''SO2; RR'N = heterocyclyl, heteroaryl; R1 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, CMe2SH, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R1', R1'' = H, R1; 1 of R1', R1'' together with R1 form a cycloalkyl radical; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl, heteroaralkyl, (substituted) aminoalkyl, etc.; R4 = R3, except H; R6 = H, alkyl; x = 0-2; t = 0, 1; Y = O, S, imino], were prepared Thus, title compound (III, solution phase preparation given) inhibited HIV protease with IC50 = 16 nM.

L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

protease inhibitors for the treatment of AIDS, are prepd. Thus, sulfonamide I was prepd. and demonstrated IC50 against HIV protease of 1 nmol.

L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1994:579258 CAPLUS
DOCUMENT NUMBER:	121:179258
TITLE:	N-(alkanoylamino-2-hydroxypropyl)sulfonamides useful as HIV protease inhibitors
INVENTOR(S):	Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S):	G.D. Searle and Co., USA; Monsanto Co.
SOURCE:	PCT Int. Appl., 103 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404491	A1	19940303	WO 1993-US7815	19930825
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 656886	A1	19950614	EP 1993-920213	19930824
EP 656886	B1	19970625		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08500824	T2	19960130	JP 1993-506531	19930824
AT 154800	E	19970715	AT 1993-920213	19930824
ES 2103488	T3	19970916	ES 1993-920213	19930824
AU 674702	B2	19970109	AU 1993-50819	19930825
AU 9350819	A1	19940315		
RU 2130016	C1	19990510	RU 1995-106823	19930825
NO 9500670	A	19950222	NO 1995-670	19950222
FI 9500841	A	19950223	FI 1995-841	19950223
PRIORITY APPLN. INFO.:			US 1992-935490 A2	19920825
			WO 1993-US7815 W	19930825

OTHER SOURCE(S): MARPAT 121:179258

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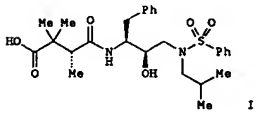

I

AB The title compds. R33(R34)X1C(:Y1)(CH2)tC(R31)(R32)C(R30)(R1)C(:Y)N(R6)C(R2)HC(OH)HCH2N(R3)S(O)xR4 [R1 = H, CH2SO2NH2, CO2Me, CONHMe, CONMe2, etc.; R2 = alkyl, aryl, cycloalkyl, (un)substituted cycloalkylalkyl and arylalkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, etc.; R4 = alkyl, haloalkyl alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl etc.; R6 = H, alkyl; R30-R32 = R1; R1R30R31 = cycloalkyl; R1R30R32C = cycloalkyl; R33, R34 = H, R3; R33R34X1 = cycloalkyl, aryl, heterocyclyl, etc.; X1 = O, N, CR17; R17 = H, alkyl; Y, Y1 = O, S, NR15; R15 = H, R3; t = 0, 1; x = 0-2], useful as HIV

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	65.40	226.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-17.52	-17.52

FILE 'REGISTRY' ENTERED AT 14:12:20 ON 12 AUG 2005

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 AUG 2005 HIGHEST RN 859751-76-1
DICTIONARY FILE UPDATES: 11 AUG 2005 HIGHEST RN 859751-76-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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* The CA roles and document type information have been removed from *

chain nodes :
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chain bonds :
1-2 1-3 3-4 4-5 4-12 5-6 6-7 7-8 7-9 9-10 10-11
exact/norm bonds :
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exact bonds :
3-4 4-5

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
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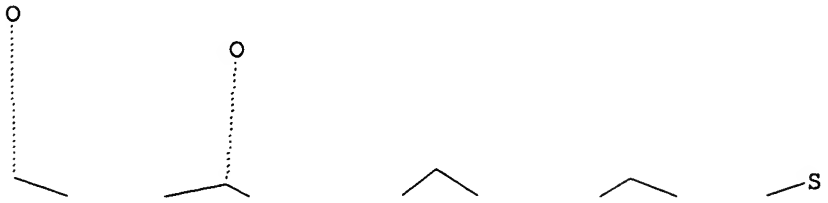

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.33	388.27

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CA SUBSCRIBER PRICE	0.00	-17.52

FILE 'CAPLUS' ENTERED AT 14:12:45 ON 12 AUG 2005

L8 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:293723 CAPLUS
DOCUMENT NUMBER: 122:81141
TITLE: Preparation of heterocyclylarylsulfonamide inhibitors of HIV-aspartyl protease
INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9405639	A1	19940317	WO 1993-US8458	19930907
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
LT 3302	B	19950626	LT 1993-917	19930901
IL 106927	A1	20010111	IL 1993-106927	19930906
EP 659181	A1	19950628	EP 1993-921428	19930907
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08501299	T2	19960213	JP 1994-507525	19930907
HU 71892	A2	19960228	HU 1995-685	19930907
AU 691160	B2	19980514	AU 1993-48520	19930907
AU 9348520	A1	19940329		
EP 885887	A2	19981223	EP 1998-113921	19930907
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EP 885887	B1	20030528		
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ES 2131589	T3	19990801	ES 1993-921428	19930907
RU 2135496	C1	19990827	RU 1995-109928	19930907
SK 281360	B6	20010212	SK 1995-293	19930907
CZ 289475	B6	20020116	CZ 1995-587	19930907
CA 2143208	C	20030107	CA 1993-2143208	19930907
AT 241602	E	20030615	AT 1998-113921	19930907
PL 185635	B1	20030630	PL 1993-307858	19930907
RO 118747	B1	20031030	RO 1995-479	19930907
PT 885887	T	20031031	PT 1998-113921	19930907
ES 2200243	T3	20040301	ES 1998-113921	19930907
CN 1087347	A	19940601	CN 1993-117370	19930908
CN 1061339	B	20010131		
ZA 9308470	A	19940620	ZA 1993-8470	19931112
US 5585397	A	19961217	US 1993-142327	19931124
FI 9501059	A	19950418	FI 1995-1059	19950307
NO 9500876	A	19950508	NO 1995-876	19950307
NO 303444	B1	19980713		
HK 1012631	A1	20000623	HK 1998-113971	19981217
HK 1023561	A1	20040716	HK 2000-100689	19981217

PRIORITY APPLN. INFO.:			
	US 1992-941982	A2	19920908
	EP 1993-921428	A3	19930907
	WO 1993-US8458	W	19930907

OTHER SOURCE(S): MARPAT 122:81141
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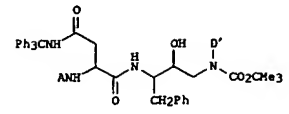

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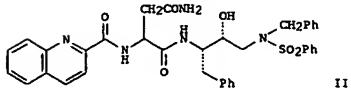

II

AB Title compds. A(B)xNHCH(D)CH(OH)CH2N(D')SO2E (A = H, Het, R1-Het, (substituted)R1-C1-6 alkyl, (substituted) R1-C2-6 alkenyl wherein R1 = CO, SO2, COCO, O2C, etc., Het = C5-7 cycloalkyl, C5-7 cycloalkenyl, C6-10 aryl, (substituted) 5-7-membered heterocyclyl; R2 = H, (Ar)-C1-3 alkyl; B = NR2CR3CO, null wherein R3 = H, (substituted)Het or C1-6 alkyl or C2-6 alkenyl or C3-6 cycloalkyl or C5-6 cycloalkenyl; x = 0,1; D, D' = Ar, (substituted) C1-4 alkyl wherein Ar = Ph, (substituted) 3-6-membered carbocyclyl or 5-6-membered heterocyclyl; E = Het-O, Het-Het, (substituted) C1-6 alkyl or C2-6 alkenyl, C3-6 carbocyclyl) useful also against viral infection of HIV-2, HIV-2, or HTLV, are prepared 4,3-(AcNH)FC6H3SO2Cl and syn-I (A = quinolin-2-ylcarbonyl, D' = Me2CHCH2) (preparation given) in CH2Cl2 was treated with F3CCO2H followed by NaHCO3 and 4-FC6H4SO2Cl to give the title compound II which inhibited HIV-1 protease with IC50 of <0.1 nM.

IT **160230-05-7P 160230-06-8P 160230-07-9P**
160230-08-0P 160230-09-1P 160230-10-4P
160230-11-5P 160230-12-6P 160230-13-7P
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160230-50-2P 160231-93-6P 160231-96-9P
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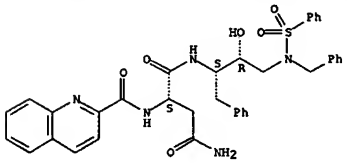
160333-45-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of as HIV-1 protease inhibitor)

RN 160230-05-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)(phenylsulfonyl)amino]propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

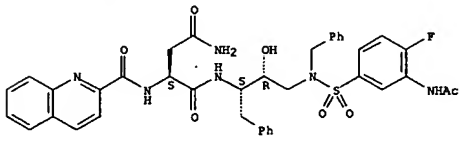
Absolute stereochemistry.

L8 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



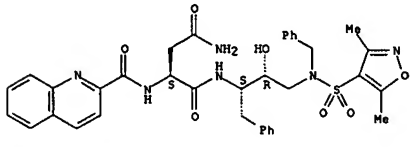
RN 160230-06-8 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-07-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[(3,5-dimethyl-4-isoxazolyl)sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

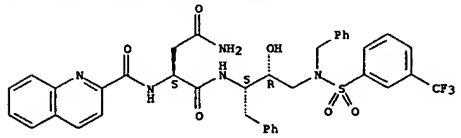
Absolute stereochemistry.



RN 160230-08-0 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)[[3-(trifluoromethyl)phenyl]sulfonyl]amino]propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

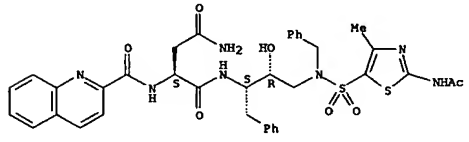
Absolute stereochemistry.

L8 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



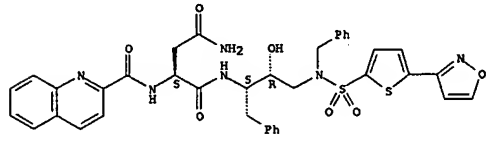
RN 160230-09-1 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[2-(acetylamino)-4-methyl-5-thiazolyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



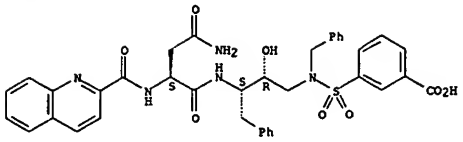
RN 160230-10-4 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[[[5-(3-isoxazolyl)-2-thienyl]sulfonyl](phenylmethyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



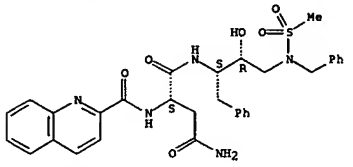
RN 160230-11-5 CAPLUS
CN Benzoic acid, 3-[[[(2R,3S)-3-[[(2S)-4-amino-1,4-dioxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-2-hydroxy-4-phenylbutyl](phenylmethyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



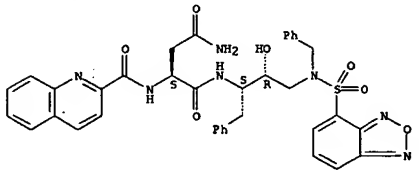
RN 160230-12-6 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(methylsulfonyl)(phenylmethyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



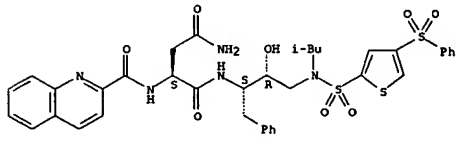
RN 160230-13-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[(2,1,3-benzoxadiazol-4-ylsulfonyl)(phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



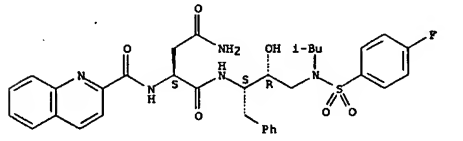
RN 160230-14-8 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[3-(aminosulfonyl)phenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



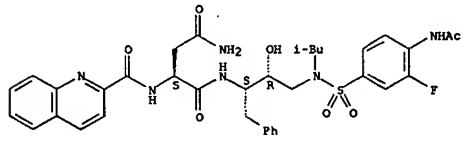
RN 160230-18-2 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[(4-fluorophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



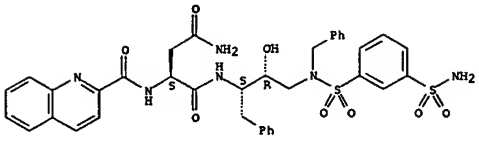
RN 160230-19-3 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



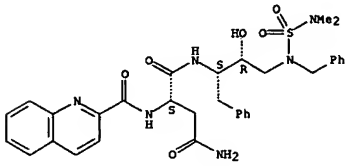
RN 160230-20-6 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



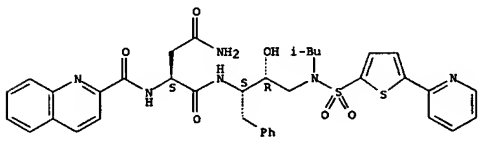
RN 160230-15-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[(dimethylamino)sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



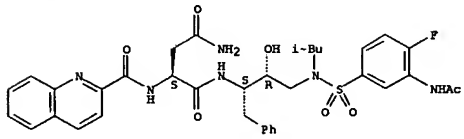
RN 160230-16-0 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[5-(2-pyridinyl)-2-thienyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



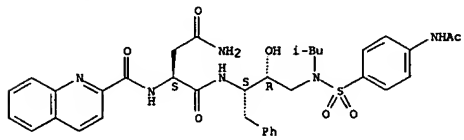
RN 160230-17-1 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-(phenylsulfonyl)-2-thienyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



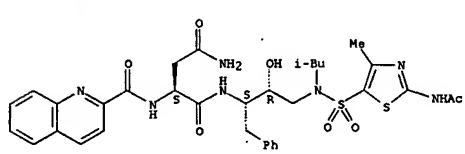
RN 160230-21-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



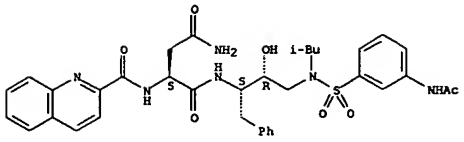
RN 160230-22-8 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[2-(acetylamino)-4-methyl-5-thiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



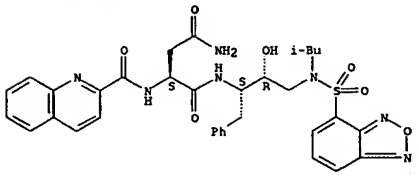
RN 160230-23-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[3-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



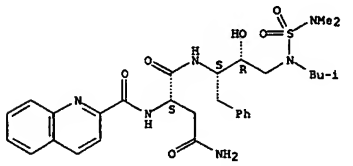
RN 160230-24-0 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[(2,1,3-benzoxadiazol-4-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



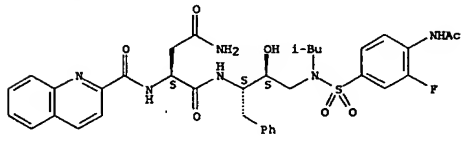
RN 160230-25-1 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[(dimethylamino)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



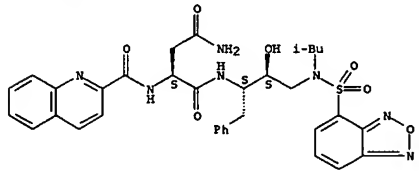
RN 160230-50-2 CAPLUS
CN Butanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



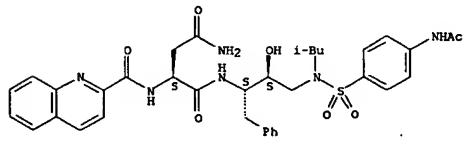
RN 160333-43-7 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[(2,1,3-benzoxadiazol-4-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



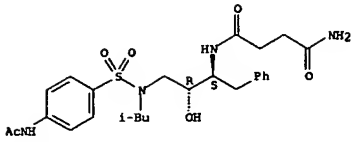
RN 160333-44-8 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



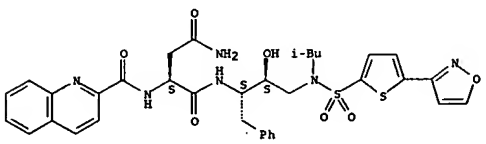
RN 160333-45-9 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



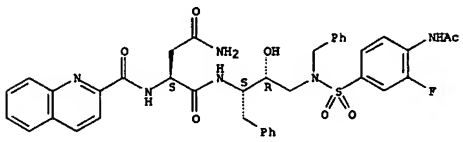
RN 160231-93-6 CAPLUS
CN Butanediamide, N1-[(1S,2S)-2-hydroxy-3-[[[5-(3-isoxazolyl)-2-thienyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



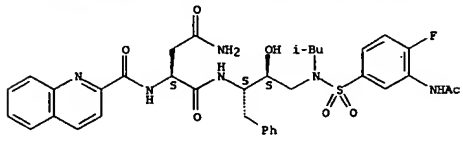
RN 160231-96-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160333-42-6 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:701324 CAPLUS
DOCUMENT NUMBER: 121:301324
TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 198 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 656887	A1	19950614	EP 1993-923714	19930824
EP 656887	B1	19981028		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08501288	T2	19960213	JP 1994-506530	19930824
JP 3657002	B2	20050608		
AU 680635	B2	19970807	AU 1994-53474	19930824
AU 9453474	A1	19940315		
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
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AT 172717	E	19981115	AT 1993-923714	19930824
ES 2123065	T3	19990101	ES 1993-923714	19930824
RU 2173680	C2	20010920	RU 1995-106624	19930824
AT 218541	E	20020615	AT 1997-113434	19930824
PT 810209	T	20020930	PT 1997-113434	19930824
ES 2177868	T3	20021216	ES 1997-113434	19930824
US 6060476	A	20000509	US 1994-204827	19940302
US 5968942	A	19991019	US 1994-294468	19940823
NO 9500533	A	19950213	NO 1995-533	19950213
FI 9500650	A	19950214	FI 1995-650	19950214
FI 112471	B1	20031215		
US 6455581	B1	20020924	US 1995-451090	19950525
US 6046190	A	20000404	US 1996-586866	19960124
NO 9803099	A	19950213	NO 1998-3099	19980703
NO 307047	B1	20000131		
US 6248775	B1	20010619	US 1999-288080	19990408
US 6500832	B1	20021231	US 2000-525161	20000314
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
FI 2001002317	A	20011127	FI 2001-2317	20011127
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 2004044047	A1	20040304	US 2002-199481	20020722
US 6846954	B2	20050125		
US 6926286	B1	20050802	US 2003-633376	20030804
US 2004229922	A1	20041118	US 2004-812343	20040330

L8 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PRIORITY APPLN. INFO.:

US 1992-934984	A2	19920825
EP 1993-923714	A3	19930824
US 1993-110911	A2	19930824
WO 1993-US7814	W	19930824
US 1994-204827	A2	19940302
US 1994-204872	B2	19940302
US 1994-294468	A1	19940823
WO 1994-US9139	W	19940823
US 1995-451090	A3	19950525
US 1999-288080	A1	19990408
US 2001-798255	A1	20010305
US 2002-157019	A1	20020530
US 2002-199481	A3	20020722

OTHER SOURCE(S): MARPAT 121:301324
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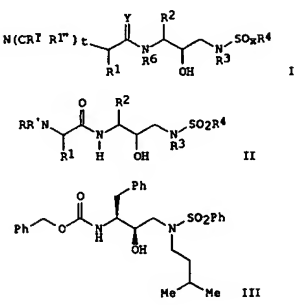
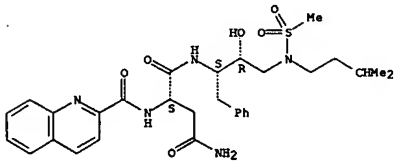


AB Title compds. [I and II; R = H, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, heterocyclylcarbonyl, heteroaryloxyalkyl, hydroxyalkyl, acyl, alkyl, alkenyl, alkynyl, substituted aminocarbonyl, etc.; R' = H, R3, R''SO2; RR'N = heterocyclyl, heteroaryl; R1 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, CMe2SH, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R1', R1'' = H, R1; 1 of R1', R1'' together with R1 form a cycloalkyl radical; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl, heteroaralkyl, (substituted) aminoalkyl, etc.; R4 = R3, except H; R6 = H, alkyl; x = 0-2; t = 0, 1; Y = O, S, imino], were prepared Thus, title compound (III, solution phase preparation given) inhibited HIV protease with IC50 = 16 nM.

IT **159005-89-7P 159005-90-0P 159005-91-1P**
159005-92-2P 159005-95-5P 159006-21-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as HIV protease inhibitor)

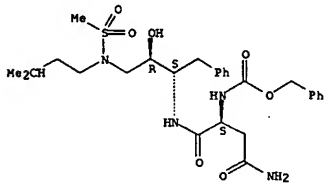
RN 159005-89-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



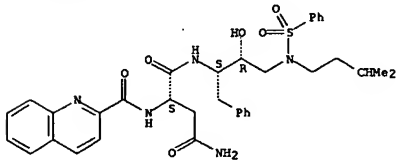
RN 159005-90-0 CAPLUS
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(2-amino-2-oxoethyl)-5-hydroxy-3-(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



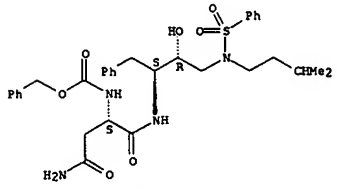
RN 159005-91-1 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



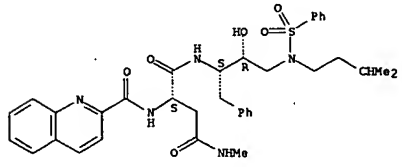
RN 159005-92-2 CAPLUS
CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



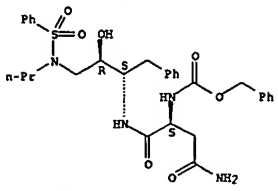
RN 159005-95-5 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-N4-methyl-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



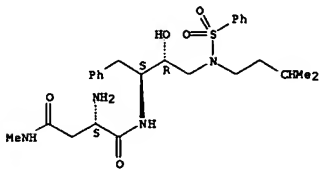
RN 159006-21-0 CAPLUS
CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylsulfonyl)propylamino]propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 159006-49-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as HIV protease inhibitor intermediate)
RN 159006-49-2 CAPLUS
CN Butanediamide, 2-amino-N1-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-N4-methyl-, monohydrochloride, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



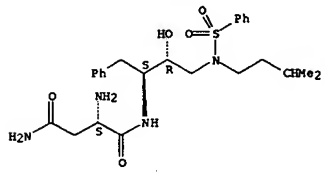
● HCl

IT 159005-90-0P 159005-92-2P 159006-05-0P
159006-06-1P 159006-22-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for HIV protease inhibitor)
RN 159005-90-0 CAPLUS
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(2-amino-2-oxoethyl)-5-hydroxy-3-(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

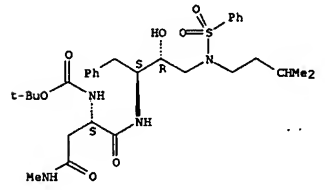
CN Butanediamide, 2-amino-N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, (2S)- (9CI) (CA INDEX NAME)

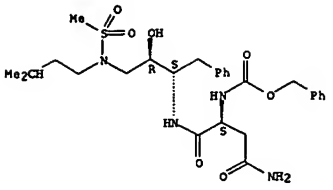
Absolute stereochemistry.



RN 159006-22-1 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-(methylamino)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

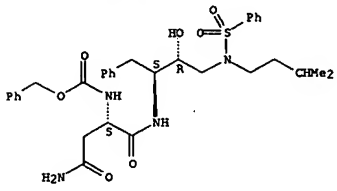
Absolute stereochemistry.





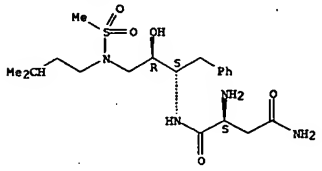
RN 159005-92-2 CAPLUS
CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-05-0 CAPLUS
CN Butanediamide, 2-amino-N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-06-1 CAPLUS

ACCESSION NUMBER: 1994:579258 CAPLUS
DOCUMENT NUMBER: 121:179258
TITLE: N-(alkanoylamino-2-hydroxypropyl)sulfonamides useful as HIV protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404491	A1	19940303	WO 1993-US7815	19930825
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 656886	A1	19950614	EP 1993-920213	19930824
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JP 08500824	T2	19960130	JP 1993-506531	19930824
AT 154800	E	19970715	AT 1993-920213	19930824
ES 2103488	T3	19970916	ES 1993-920213	19930824
AU 674702	B2	19970109	AU 1993-50819	19930825
AU 9350819	A1	19940315		
RU 2130016	C1	19990510	RU 1995-106823	19930825
NO 9500670	A	19950222	NO 1995-670	19950222
FI 9500841	A	19950223	FI 1995-841	19950223
PRIORITY APPLN. INFO.:			US 1992-935490 A2	19920825
			WO 1993-US7815 W	19930825

OTHER SOURCE(S): MARPAT 121:179258
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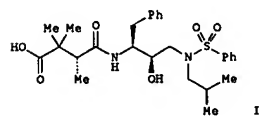


AB The title compds. R33(R34)X1C(:Y1)(CH2)tC(R31)(R32)C(R30)(R1)C(:Y)N(R6)C(R2)HC(OH)HCH2N(R3)S(O)xR4 [R1 = H, CH2SO2NH2, CO2Me, CONHMe, CONMe2, etc.; R2 = alkyl, aryl, cycloalkyl, (un)substituted cycloalkylalkyl and arylalkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, etc.; R4 = alkyl, haloalkyl alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl etc.; R6 = H, alkyl; R30-R32 = R1; R1R30R31 = cycloalkyl; R1R30R32C = cycloalkyl; R33, R34 = H, R3; R33R34X1

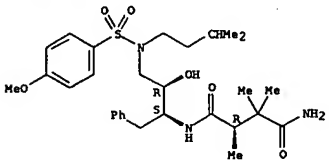
= cycloalkyl, aryl, heterocyclyl, etc.; X1 = O, N, CR17; R17 = H, alkyl; Y, Y1 = O, S, NR15; R15 = H, R3; t = 0, 1; x = 0-2], useful as HIV protease inhibitors for the treatment of AIDS, are prepd. Thus, sulfonamide I was prepd. and demonstrated IC50 against HIV protease of 1 nmol.

IT 157446-05-4 157446-06-5 157446-07-6
157446-08-7 157446-09-8 157474-44-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(HIV protease inhibitor)

RN 157446-05-4 CAPLUS

CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

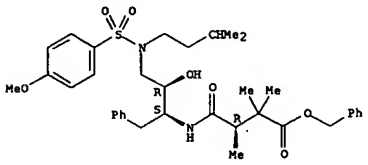
Absolute stereochemistry.



RN 157446-06-5 CAPLUS

CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

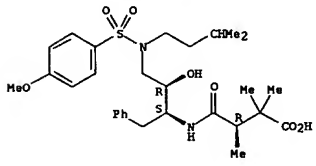
Absolute stereochemistry.



RN 157446-07-6 CAPLUS

CN Butanediamide, N4-[(1S,2R)-3-[[(4-fluorophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

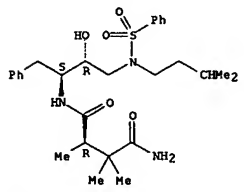


IT 157445-96-0P 157445-97-1P 157445-98-2P
157445-99-3P 157446-00-9P 157446-01-0P
157446-02-1P 157446-03-2P 157446-04-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as HIV protease inhibitor)

RN 157445-96-0 CAPLUS

CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

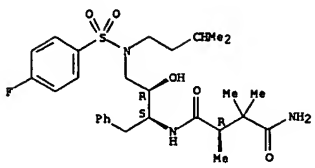
Absolute stereochemistry.



RN 157445-97-1 CAPLUS

CN Butanoic acid, 4-[[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

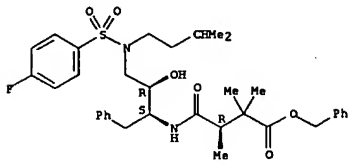
Absolute stereochemistry.



RN 157446-08-7 CAPLUS

CN Butanoic acid, 4-[[3-[[(4-fluorophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

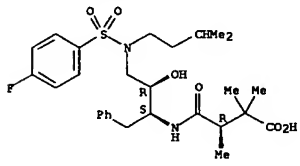
Absolute stereochemistry.



RN 157446-09-8 CAPLUS

CN Butanoic acid, 4-[[3-[[(4-fluorophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

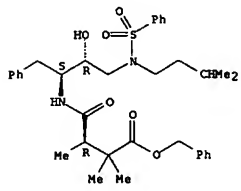
Absolute stereochemistry.



RN 157474-44-7 CAPLUS

CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

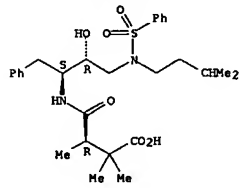
Absolute stereochemistry.



RN 157445-98-2 CAPLUS

CN Butanoic acid, 4-[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, (3R)- (9CI) (CA INDEX NAME)

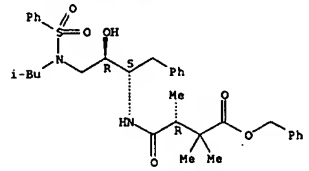
Absolute stereochemistry.



RN 157445-99-3 CAPLUS

CN Butanoic acid, 4-[[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

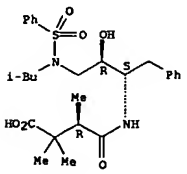
Absolute stereochemistry.



RN 157446-00-9 CAPLUS

CN Butanoic acid, 4-[[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

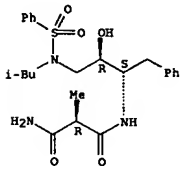
Absolute stereochemistry.



RN 157446-01-0 CAPLUS

CN Propanediamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

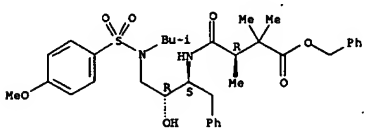
Absolute stereochemistry.



RN 157446-02-1 CAPLUS

CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

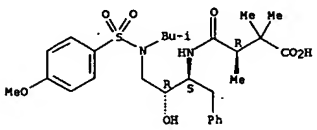
Absolute stereochemistry.



RN 157446-03-2 CAPLUS

CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

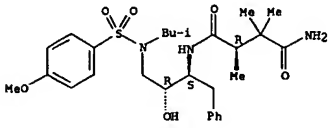
Absolute stereochemistry.



RN 157446-04-3 CAPLUS

CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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FULL ESTIMATED COST                                         17.07     405.34

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CA SUBSCRIBER PRICE                                         -2.19     -19.71

FILE 'REGISTRY' ENTERED AT 14:15:30 ON 12 AUG 2005
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provided by InfoChem.

STRUCTURE FILE UPDATES:   11 AUG 2005  HIGHEST RN 859751-76-1
DICTIONARY FILE UPDATES:  11 AUG 2005  HIGHEST RN 859751-76-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

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*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                    *
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Structure search iteration limits have been increased.  See HELP SLIMITS
for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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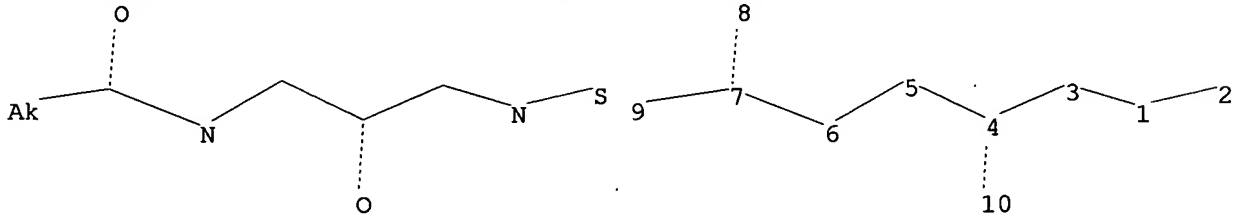

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exact bonds :
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Match level :
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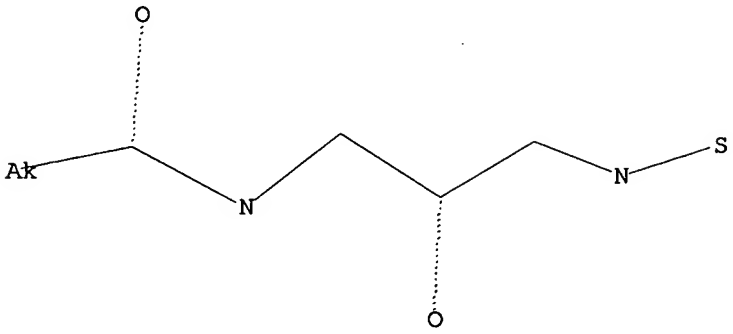


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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
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FILE 'CAPLUS' ENTERED AT 14:16:02 ON 12 AUG 2005
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FILE COVERS 1907 - 12 Aug 2005 VOL 143 ISS 8
FILE LAST UPDATED: 11 Aug 2005 (20050811/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L12 126 L11

=> d 100-126 ibib abs hitstr

L12 ANSWER 100 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:667025 CAPLUS
DOCUMENT NUMBER: 125:328302
TITLE: Preparation of N-[[(sulfonylalkanoyl)amino]hydroxyalkyl]sulfonamides as retroviral protease inhibitors
INVENTOR(S): Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Vazquez, Michael L.; Sikorski, James A.; Devadas, Balekudru; Nagarajan, Srinivasan; McDonald, Joseph J.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 171 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628418	A1	19960919	WO 1996-US2682	19960307
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
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AU 9666951	A1	19961002	AU 1996-66951	19960307
AU 711098	B2	19991007		
EP 813519	A1	19971229	EP 1996-911229	19960307
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
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AT 201014	E	20010515	AT 1996-911229	19960307
SK 282893	B6	20030109	SK 1997-1223	19960307
PL 186059	B1	20030930	PL 1996-322169	19960307
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NO 9704146	A	19971107	NO 1997-4146	19970909
NO 310353	B1	20010625		
US 5985870	A	19991116	US 1997-913069	19971219
US 6380188	B1	20020430	US 2000-672449	20000929
GR 3036254	T3	20011031	GR 2001-401103	20010724
US 2003191166	A1	20031009	US 2002-82123	20020226
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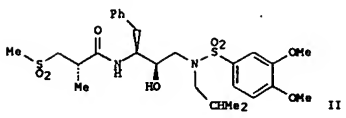
PRIORITY APPLN. INFO.: US 1995-401838 A2 19950310
US 1995-478625 A2 19950607
WO 1996-US2682 W 19960307
US 1997-913069 A1 19971219
US 1999-411374 A1 19991004
US 2000-672449 A1 20000929
US 2002-82123 A1 20020226

OTHER SOURCE(S): MARPAT 125:328302
GI



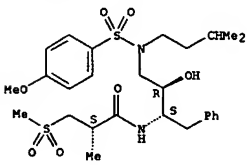
II

AB R5SOm(CH2)nCHR1CONHCHR2CH(OH)CH2NR3SO2R4 [I; R1 = H, (hydroxy)alkyl, CH2CONH2, etc.; R2 = (ar)alkyl, alkylthioalkyl, etc.; R3 = (cyclo)alkyl, cycloalkylmethyl; R4 = heterocyclyl, heteroaryl, etc.; R5 = (ar)alkyl, alkenyl, alkynyl; m,n = 0-2] were prepared Thus, (2S,3S)-N-benzyloxycarbonyl-3-amino-1,2-epoxy-4-phenylbutane (preparation given) was condensed with Me2CHCH2NH2 and the product amidated by 3,4-(MeO)C6H3SO2Cl to give, after deprotection and (S)-MeSO2CH2CHMeCO2H amidation, title compound II. Data for activity of selected I in an in vitro HIV inhibition assay were given.

IT **157566-76-2P 157566-81-9P 157566-82-0P**
157566-83-1P 157566-85-3P 157566-86-4P
174303-66-3P 183004-72-0P 183004-73-1P
183004-74-2P 183004-75-3P 183004-76-4P
183004-77-5P 183004-78-6P 183182-29-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-[[(sulfonylalkanoyl)amino]hydroxyalkyl]sulfonamides as retroviral protease inhibitors)

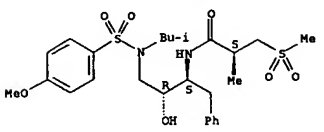
RN 157566-76-2 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



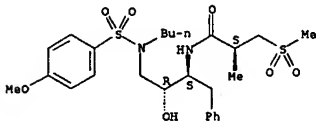
RN 157566-81-9 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



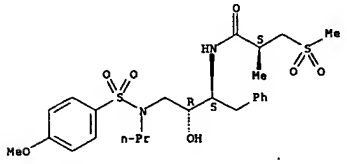
RN 157566-82-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[butyl[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



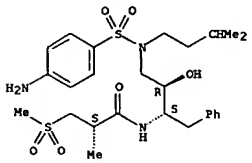
RN 157566-83-1 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl]propylamino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



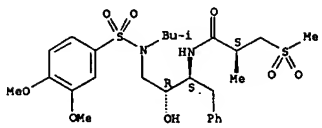
RN 157566-85-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



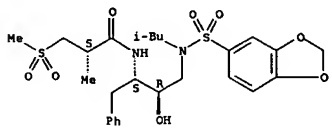
RN 157566-86-4 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[(3,4-dimethoxyphenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



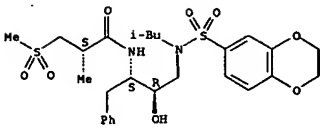
RN 174303-66-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



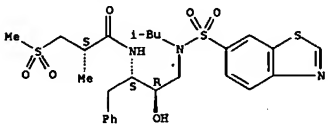
RN 183004-72-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[(2,3-dihydro-1,4-benzodioxin-6-yl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



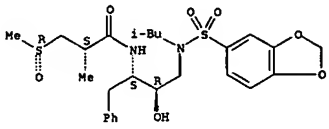
RN 183004-73-1 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(6-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



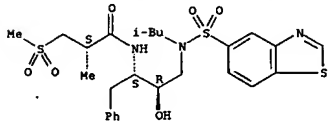
RN 183004-74-2 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(R)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



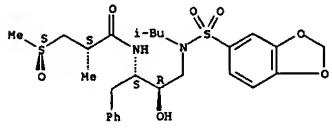
RN 183004-75-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[(2,3-dihydro-5-benzofuranyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183182-29-8 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(S)-methylsulfinyl]-, (2S)- (9CI) (CA INDEX NAME)

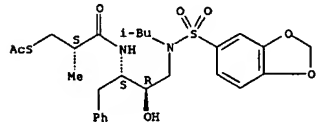
Absolute stereochemistry.



IT **183004-99-1P 183005-00-7P 183005-01-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-[[(sulfonylalkanoyl)amino]hydroxyalkyl]sulfonamides as retroviral protease inhibitors)

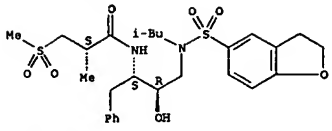
RN 183004-99-1 CAPLUS
CN Ethanethioic acid, S-[(2S)-3-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



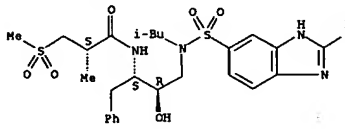
RN 183005-00-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-mercapto-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



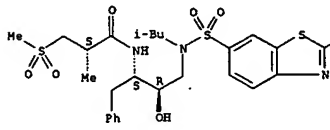
RN 183004-76-4 CAPLUS
CN Carbamic acid, [5-[[[(2R,3S)-2-hydroxy-3-[[(2S)-2-methyl-3-(methylsulfonyl)-1-oxopropyl]amino]-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



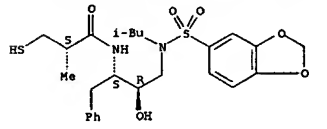
RN 183004-77-5 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[(2-amino-6-benzothiazolyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



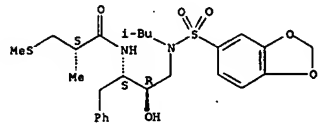
RN 183004-78-6 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(5-benzothiazolylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 183005-01-8 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, (2S)- (9CI) (CA INDEX NAME)

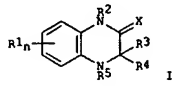
Absolute stereochemistry.



L12 ANSWER 101 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:601709 CAPLUS
DOCUMENT NUMBER: 125:238651
TITLE: Use of quinoxalines and protease inhibitors in a composition for the treatment of AIDS and/or HIV infections
INVENTOR(S): Paessens, Arnold; Blunck, Martin; Riess, Guenther; Kleim, Joerg-Peter; Roesner, Manfred
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 728481	A2	19960828	EP 1996-102129	19960214
EP 728481	A3	19980708		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 19506742	A1	19960829	DE 1995-19506742	19950227
AU 9645615	A1	19960905	AU 1996-45615	19960220
AU 710158	B2	19990916		
CA 2170222	AA	19960828	CA 1996-2170222	19960223
FI 9600850	A	19960828	FI 1996-850	19960223
JP 08245392	A2	19960924	JP 1996-60286	19960223
IL 117247	A1	20001031	IL 1996-117247	19960223
NO 9600775	A	19960828	NO 1996-775	19960226
ZA 9601516	A	19960903	ZA 1996-1516	19960226
BR 9600809	A	19971223	BR 1996-809	19960226
CN 1141196	A	19970129	CN 1996-102709	19960227
PRIORITY APPLN. INFO.:			DE 1995-19506742 A	19950227

OTHER SOURCE(S): MARPAT 125:238651
GI



I

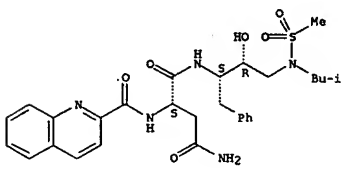
AB Combinations of a quinoxaline derivative [I; R1 = halo, OH, NO2, (substituted) amino, N3, CF3, CF3O, C1-8 alkyl, CN, (substituted) Ph, N-heterocyclyl, etc.; R2, R5 = H, OH, C1-6 alkoxy, aryloxy, C1-6 acyloxy, CN, (substituted) amino, (substituted) C1-8 alkyl, (substituted) C2-8 alkenyl, (substituted) C3-8 alkynyl, (substituted) C3-8 cycloalk(en)yl, etc.; R3, R4 = H, (substituted) C1-8 alkyl, (substituted) C2-8 alkenyl, (substituted) C3-8 cycloalk(en)yl, (substituted)aryl, etc.; or R3R4 or R3R5 complete a (substituted) ring; X = O, S, Se, NR2; n = 0-4] and a peptidomimetic protease inhibitor are useful for treatment of HIV infections and AIDS. Thus, I [R1 = 6-MeO, R2 = R3 = H, R4 = (S)-MeSCH2, R5 = i-PrO2C, X = S] (0.7-6 nM) and saquinavir (6-50 nM) synergistically inhibited syncytium formation in HIV-infected human lymphocytes in vitro.

IT 181703-69-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L12 ANSWER 101 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of quinoxalines and protease inhibitors for treatment of AIDS and HIV infections)

RN 181703-69-5 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

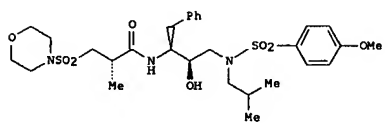
Absolute stereochemistry.



L12 ANSWER 102 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:572053 CAPLUS
DOCUMENT NUMBER: 125:222459
TITLE: Preparation of bis(sulfonamido hydroxyethylamino peptide analogs as retroviral protease inhibitors.
INVENTOR(S): Freskos, John N.; Getman, Daniel P.; Talley, John J.; Sikorski, James A.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9622287	A1	19960725	WO 1996-US607	19960118
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE				
CA 2210889	AA	19960725	CA 1996-2210889	19960118
AU 9647008	A1	19960807	AU 1996-47008	19960118
EP 804428	A1	19971105	EP 1996-902700	19960118
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 11500105	T2	19990106	JP 1996-522362	19960118
US 6143747	A	20001107	US 1998-875025	19980226
US 6384036	B1	20020507	US 2000-635896	20000811
US 2003013751	A1	20030116	US 2002-76607	20020219
US 2004063771	A1	20040401	US 2003-417340	20030417
PRIORITY APPLN. INFO.:			US 1995-376337 A	19950120
			WO 1996-US607 W	19960118
			US 1998-875025 A1	19980226
			US 2000-635896 A1	20000811
			US 2002-76607 A1	20020219

OTHER SOURCE(S): MARPAT 125:222459
GI



I

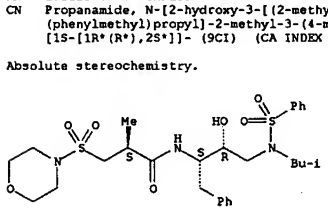
AB R10R11NSOw(CR7R8)tCHR1C(:Y)NR6CHR2CH(OH)CH2NR3SOxR4 [R1 = H, CH2SO2NH2, CH2SO2Me, CO2Me CONH2, alkyl, haloalkyl, heterocycloalkyl, amino acid side chain (derivative), etc.; R2 = halo, NO2, cyano, CF3, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, etc.; R3 = alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, alkylthioalkyl, alkylsulfinylalkyl, alkylthioalkyl, arylthioalkyl, heteroaryl, etc.; R4 = alkyl, alkenyl, alkynyl, haloalkyl, hydroxyalkyl, alkoxyalkyl, aryl, aralkyl, thioalkyl, heteroaryl, heterocycloalkyl, etc.; R6, R8 = H, alkyl;

L12 ANSWER 102 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
R7 = CO2H, amidino, R1; R1R7 = atoms to form a cycloalkyl or heterocyclyl ring; R10, R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocycloalkyl, aryl, aralkyl, heteroaryl, thioalkyl, alkylthioalkyl, etc.; R10R11N = heterocyclo, aralkylheterocyclo, heteroaryl, etc.; x, w = 0-2; t = 0-6; Y = O, S, NH], were prepd. Thus, 3-(4-morpholinosulfonyl)-2(R)-methylpropionic acid (prepn. given) in DMF was treated with hydroxybenzotriazole, EDC, and 3(S)-amino-1-[N-(2-methylpropyl)-N-(4-methoxyphenylsulfonyl)amino]-4-phenyl-2(R)-butanol (prepn. given) to give title compd. (I). I inhibited HIV protease with IC50 = 10 nM.

IT 181123-47-7P 181123-48-8P 181123-49-9P
181123-50-2P 181123-51-3P 181123-52-4P
181123-55-7P 181123-57-9P 181123-59-1P
181123-61-5P 181123-65-9P 181123-68-2P
181123-71-7P 181123-74-0P 181123-77-3P
181123-80-8P 181123-83-1P 181124-55-0P
181124-56-1P 181124-57-2P 181124-58-3P
181124-59-4P 181124-60-7P 181124-61-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bis(sulfonamido hydroxyethylamino peptide analogs as retroviral protease inhibitors)

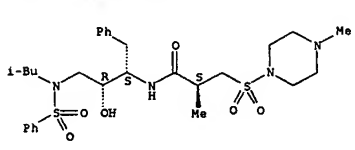
RN 181123-47-7 CAPLUS
CN Propanamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(4-morpholinylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 181123-48-8 CAPLUS
CN Propanamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-[(4-methyl-1-piperazinyl)sulfonyl]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

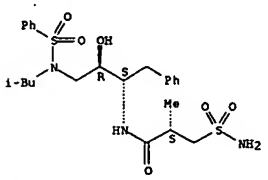
Absolute stereochemistry.



RN 181123-49-9 CAPLUS
CN Propanamide, 3-(aminosulfonyl)-N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-,

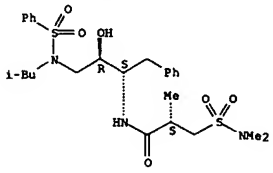
(2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



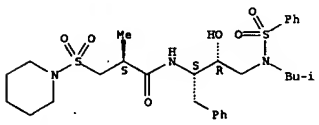
RN 181123-50-2 CAPLUS
CN Propanamide, 3-[(dimethylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



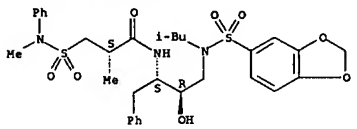
RN 181123-51-3 CAPLUS
CN Propanamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(1-piperidinylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



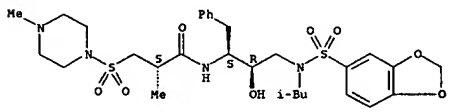
RN 181123-52-4 CAPLUS
CN Propanamide, 3-[[(diphenylmethyl)(phenylmethyl)amino]sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



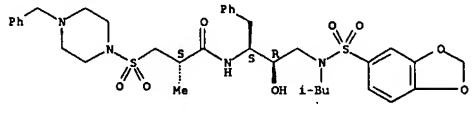
RN 181123-61-5 CAPLUS
CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(4-methyl-1-piperazinyl)sulfonyl]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 181123-65-9 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[4-(phenylmethyl)-1-piperazinyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

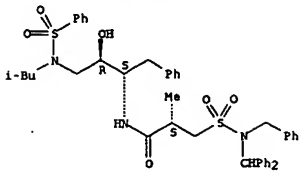
Absolute stereochemistry.



RN 181123-68-2 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[4-(3-pyridinylmethyl)-1-piperazinyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

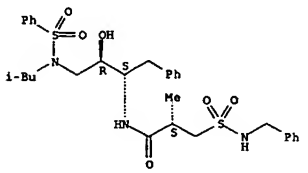
Absolute stereochemistry.

Absolute stereochemistry.



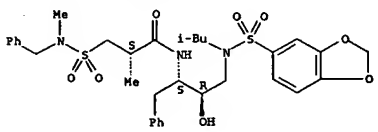
RN 181123-55-7 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-[[(phenylmethyl)amino]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



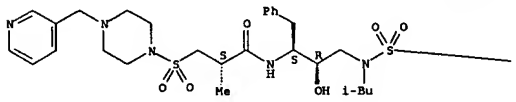
RN 181123-57-9 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[methyl(phenylmethyl)amino]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

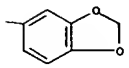


RN 181123-59-1 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[(methylphenylamino)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

PAGE 1-A



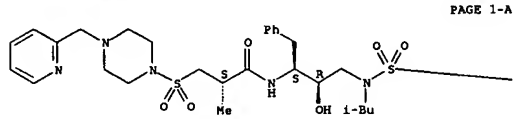
PAGE 1-B



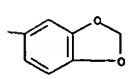
RN 181123-71-7 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-[[4-(2-pyridinylmethyl)-1-piperazinyl]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

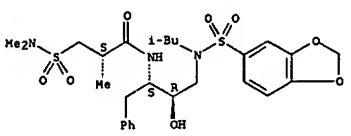


PAGE 1-B



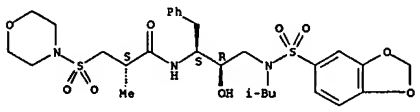
RN 181123-74-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-[(dimethylamino)sulfonyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



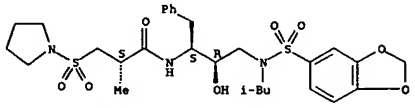
RN 181123-77-3 CAPLUS
CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(4-morpholinylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



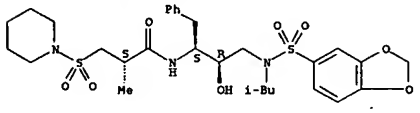
RN 181123-80-8 CAPLUS
CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(1-pyrrolidinylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

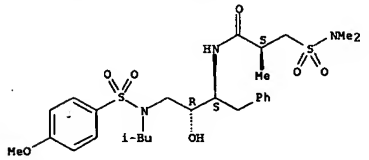
Absolute stereochemistry.



RN 181123-83-1 CAPLUS
CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(1-piperidinylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

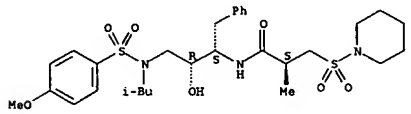
Absolute stereochemistry.





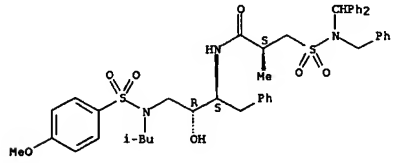
RN 181124-59-4 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(1-piperidinylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 181124-60-7 CAPLUS
CN Propanamide, 3-[[(diphenylmethyl)(phenylmethyl)amino]sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

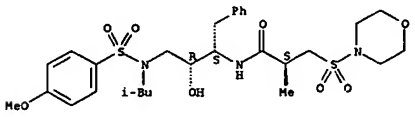


RN 181124-61-8 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-[[(phenylmethyl)amino]sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

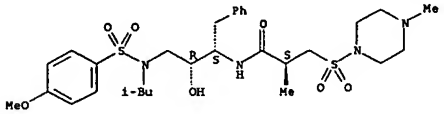
RN 181124-55-0 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(4-morpholinylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



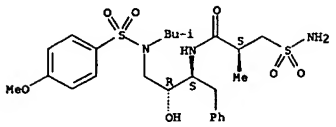
RN 181124-56-1 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-[(4-methyl-1-piperazinyl)sulfonyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



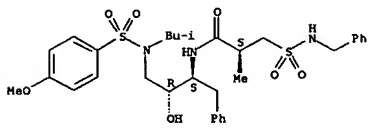
RN 181124-57-2 CAPLUS
CN Propanamide, 3-(aminosulfonyl)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 181124-58-3 CAPLUS
CN Propanamide, 3-[(dimethylamino)sulfonyl]-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, (2S)- (9CI) (CA INDEX NAME)

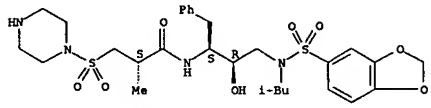
Absolute stereochemistry.



IT 181123-63-7P 181124-49-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of bis(sulfonamido hydroxyethylamino peptide analogs as retroviral protease inhibitors)

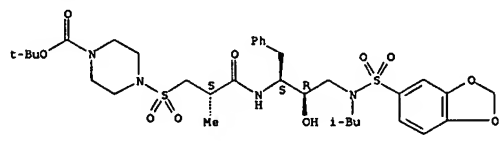
RN 181123-63-7 CAPLUS
CN Propanamide, N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(1-piperazinylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 181124-49-2 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[(2S)-3-[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 103 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:380218 CAPLUS
DOCUMENT NUMBER: 125:142289
TITLE: Sulfonylalkanoylamino hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 935,071, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5521219	A	19960528	US 1993-110913	19930824
AT 161828	E	19980115	AT 1993-920214	19930824
ES 2112430	T3	19980401	ES 1993-920214	19930824
FI 9500651	A	19950214	FI 1995-651	19950214
US 5508294	A	19960416	US 1995-455051	19950531
US 5510388	A	19960423	US 1995-455947	19950531
US 5639769	A	19970617	US 1996-587688	19960117
US 5760064	A	19980602	US 1997-867430	19970606
US 5965588	A	19991012	US 1998-48034	19980326
US 6147117	A	20001114	US 1999-352215	19990713
US 6743929	B1	20040601	US 2000-655844	20000906
US 2004267022	A1	20041230	US 2004-750213	20040102
PRIORITY APPLN. INFO.:			US 1992-935071	B2 19920825
			US 1993-110913	A3 19930824
			US 1996-587688	A1 19960117
			US 1997-867430	A1 19970606
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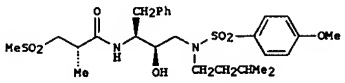
			US 1999-352215	A1 19990713
			US 2000-655844	A3 20000906

OTHER SOURCE(S): MARPAT 125:142289
GI



I

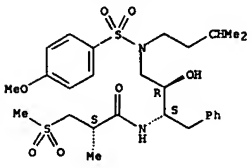
AB RSO2(CH2)tCH2CHR1C(:Y)NHCHR2CH(OH)CH2NR3SO2R4 (R = alkyl, alkenyl, aryl, etc.; R1 = H, CMe2SMe, alkyl, haloalkyl, amino acid side chain, etc.; R2 = alkyl, aryl, cycloalkyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; R4 = alkyl, cycloalkyl, aryl, etc.; t = 0, 1; Y = O, S) and their salts were prepared as retroviral protease inhibitors. Thus, I was prepared in several steps and shown to have an IC50 of 3.2 nanomolar when tested against HIV protease.

IT **157566-76-2P 157566-77-3P 157566-78-4P**
157566-79-5P 157566-80-8P 157566-81-9P

L12 ANSWER 103 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
157566-82-0P 157566-83-1P 157566-84-2P
157566-85-3P 157566-86-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(sulfonylalkanoylamino hydroxyethylamino sulfonamides as retroviral protease inhibitors)

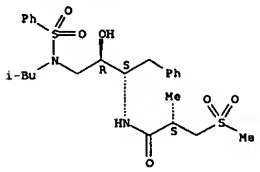
RN 157566-76-2 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157566-77-3 CAPLUS
CN Propanamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

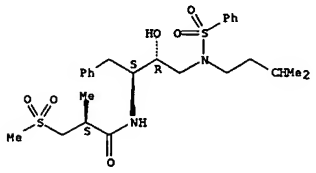
Absolute stereochemistry.



RN 157566-78-4 CAPLUS
CN Propanamide, N-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

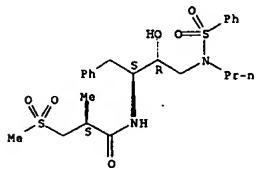
Absolute stereochemistry.

L12 ANSWER 103 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



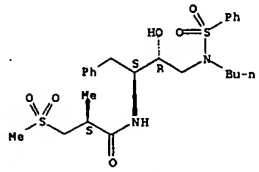
RN 157566-79-5 CAPLUS
CN Propanamide, N-[2-hydroxy-1-(phenylmethyl)-3-[(phenylsulfonyl)propylamino]propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157566-80-8 CAPLUS
CN Propanamide, N-[3-(butyl(phenylsulfonyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

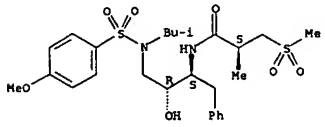
Absolute stereochemistry.



RN 157566-81-9 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

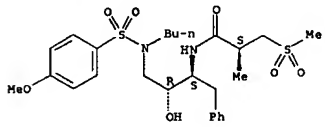
L12 ANSWER 103 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



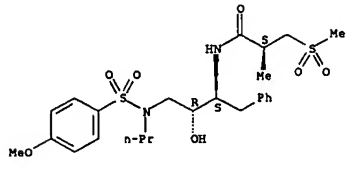
RN 157566-82-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[butyl[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



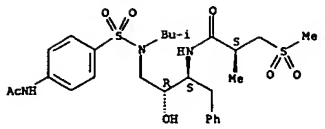
RN 157566-83-1 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl]propylamino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



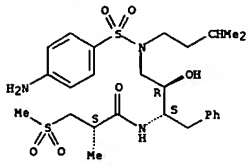
RN 157566-84-2 CAPLUS
CN Propanamide, N-[3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



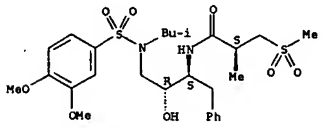
RN 157566-85-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



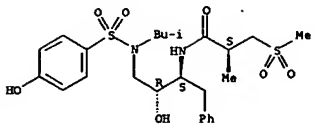
RN 157566-86-4 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[(3,4-dimethoxyphenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **157566-87-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(sulfonylalkanoylamino hydroxyethylamino sulfonamides as retroviral protease inhibitors)
RN 157566-87-5 CAPLUS
CN Propanamide, N-[2-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 1996:153437 CAPLUS
DOCUMENT NUMBER: 124:220480
TITLE: Retroviral protease inhibitor combinations
INVENTOR(S): Bryant, Martin L.; Potts, Karen E.; Smidt, Mary; Tucker, Simon P.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

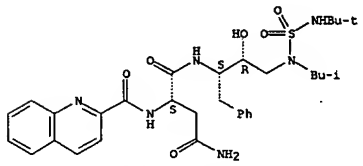
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9533464	A2	19951214	WO 1995-US6673	19950602
WO 9533464	A3	19960104		
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2191948	AA	19951214	CA 1995-2191948	19950602
AU 9526510	A1	19960104	AU 1995-26510	19950602
AU 696299	B2	19980903		
EP 762880	A1	19970319	EP 1995-921428	19950602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
BR 9507912	A	19970812	BR 1995-7912	19950602
CN 1166786	A	19971203	CN 1995-194464	19950602
HU 76979	A2	19980128	HU 1996-3328	19950602
JP 10505324	T2	19980526	JP 1995-501057	19950602
NZ 287702	A	20000623	NZ 1995-287702	19950602
US 6100277	A	20000808	US 1995-458154	19950602
PL 180070	B1	20001229	PL 1995-317425	19950602
RU 2166317	C2	20010510	RU 1997-100123	19950602
NO 9605136	A	19970120	NO 1996-5136	19961202
FI 9604835	A	19970129	FI 1996-4835	19961203
US 2003207813	A1	20031106	US 2002-253899	20020925
PRIORITY APPLN. INFO.:			US 1994-253638	A2 19940603
			WO 1995-US6673	W 19950602
			US 1996-737960	B1 19961209

AB A method is disclosed for the treatment of mammalian retrovirus infections, e.g. HIV, using combinations of retroviral protease inhibitors which are effective in preventing the replication of the retroviruses in vitro or in vivo. In particular, the invention provides protease inhibitor compds. used in combination therapy with other protease inhibitor compds. Also disclosed is combination therapy with a combination of protease inhibitors and antiviral agents other than protease inhibitors. Preparation and activity of selected inhibitors is included.

IT **160676-92-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(retroviral protease inhibitor combinations, and protease inhibitor preparation)
RN 160676-92-6 CAPLUS
CN Butanediamide, N1-[3-[[[(1,1-dimethylethyl)amino]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-

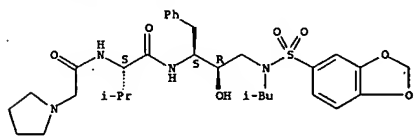
quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



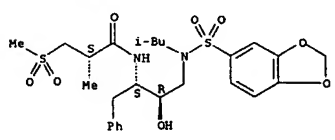
IT **174303-65-2P 174303-66-3P 174303-67-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(retroviral protease inhibitor combinations, and protease inhibitor preparation)
RN 174303-65-2 CAPLUS
CN 1-Pyrrolidineacetamide, N-[(1S)-1-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



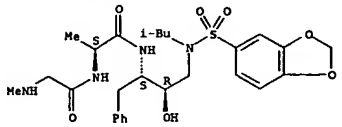
RN 174303-66-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



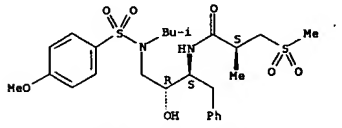
L12 ANSWER 104 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 174303-67-4 CAPLUS
CN L-Alaninamide, N-methylglycyl-N-[3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 157566-81-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(retroviral protease inhibitor combinations, and protease inhibitor preparation)
RN 157566-81-9 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

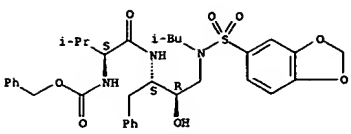
Absolute stereochemistry.



IT 174303-69-6P 174303-70-9P 174303-71-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(retroviral protease inhibitor combinations, and protease inhibitor preparation)
RN 174303-69-6 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

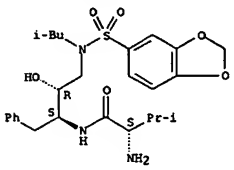
Absolute stereochemistry.

L12 ANSWER 104 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



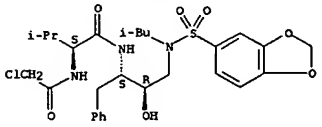
RN 174303-70-9 CAPLUS
CN Butanamide, 2-amino-N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



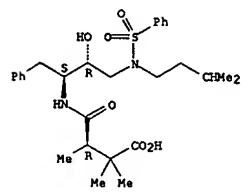
RN 174303-71-0 CAPLUS
CN Butanamide, N-[(1S,2R)-3-[(1,3-benzodioxol-5-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(chloroacetyl)amino]-3-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

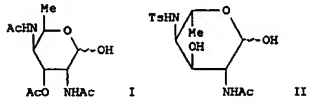


L12 ANSWER 105 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:47171 CAPLUS
DOCUMENT NUMBER: 124:193129
TITLE: Determination of protein binding by in vitro charcoal adsorption
AUTHOR(S): Yuan, Jinhua; Yang, Dai Chang; Birkmeier, Jill; Stolzenbach, James
CORPORATE SOURCE: Pharmacokinetics, Bioanalytical and Radiochemistry Function, G. D. Searle Research and Development, Skokie, IL, 60077, USA
SOURCE: Journal of Pharmacokinetics and Biopharmaceutics (1995), 23(1), 41-55
CODEN: JPBPBJ; ISSN: 0090-466X
PUBLISHER: Plenum
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Certain compds. such as SC-52151 have extensive nonspecific adsorption to the ultrafiltration devices or to dialysis membranes and therefore can not be measured by the conventional ultrafiltration or equilibrium dialysis methods. A new method based on charcoal adsorption was developed to overcome this difficulty. Unlike many conventional methods, which are based on the separation of free drug from bound drug under equilibrium conditions, the new method is operated under nonequil. conditions and involves measuring the time course of decline of the percentage of bound drug remaining in plasma while the free drug is being removed by charcoal adsorption. Theor. aspects of the method and the data processing procedure are presented. SC-98A, a compound with minimal nonspecific adsorption to the ultrafiltration membrane, was used to demonstrate the applicability of this method against the ultrafiltration method. Using this method, the protein binding of SC-52151 in human plasma at 1.0 μg/mL was determined to be in the range of 91.4-97.7% at room temperature
IT 157445-98-2, SC 98A
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(protein binding determination by in vitro charcoal adsorption)
RN 157445-98-2 CAPLUS
CN Butanoic acid, 4-[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

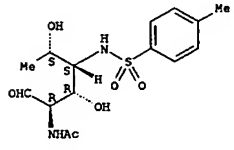


L12 ANSWER 106 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1996:14977 CAPLUS
DOCUMENT NUMBER: 124:202836
TITLE: The synthesis of derivatives of 2,4-diamino-2,4,6-trideoxy-D-gulo- and L-altro-hexopyranoses
AUTHOR(S): Banaszek, Anna; Pakulski, Zbigniew; Zamojski, Aleksander
CORPORATE SOURCE: Inst. Organic Chemistry, Warsaw, 01-224, Pol.
SOURCE: Carbohydrate Research (1995), 279, 173-82
CODEN: CRBRAT; ISSN: 0008-6215
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB Syntheses of 2,4-diamino-2,4,6-trideoxyhexoses having the D-gulo I and L-altro II configuration have been described. I was obtained by two routes starting from benzyl 2-benzyloxycarbonylamino-2-deoxy-α-D-glucopyranoside. II was obtained from 3,4-di-O-acetyl-L-rhamnal in a 10-step reaction sequence.
IT 174151-51-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(the synthesis of derivs. of diaminotrideoxygulo and altrohexopyranoses)
RN 174151-51-0 CAPLUS
CN L-Altrose, 2-(acetylamino)-2,4,6-trideoxy-4-[[(4-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

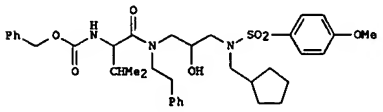
Absolute stereochemistry. Rotation (-).



L12 ANSWER 107 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:994876 CAPLUS
DOCUMENT NUMBER: 124:116874
TITLE: Preparation of sulfonamide derivatives as aspartyl protease inhibitors
INVENTOR(S): Tung, Roger Dennis; Salituro, Francesco Gerald; Deininger, David D.; Murcko, Mark Andrew; Novak, Perry Michael; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9524385	A1	19950914	WO 1995-US2420	19950224
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TT, UA				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2183653	AA	19950914	CA 1995-2183653	19950224
AU 9519332	A1	19950925	AU 1995-19332	19950224
AU 699483	B2	19981203		
EP 749421	A1	19961227	EP 1995-911960	19950224
EP 749421	B1	19990915		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1146201	A	19970326	CN 1995-192473	19950224
JP 10500938	T2	19980127	JP 1995-523497	19950224
AT 184594	E	19991015	AT 1995-911960	19950224
ES 2139195	T3	20000201	ES 1995-911960	19950224
ZA 9501688	A	19951211	ZA 1995-1688	19950301
US 6127372	A	20001003	US 1996-424372	19960401
HK 1012622	A1	20000922	HK 1998-113972	19981217
GR 3032151	T3	20000427	GR 1999-403237	19991215
PRIORITY APPLN. INFO.:			US 1994-207580 A	19940307
			WO 1995-US2420 W	19950224

OTHER SOURCE(S): MARPAT 124:116874
GI

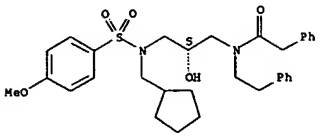


I

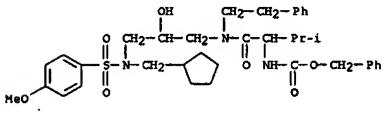
AB Z(CHD)pC(:G)(CXX')mC(:G')ND'SO2E' [D,D' = aryl, heterocyclyl, NH2, alkyl, etc.; E,E' = OH, NH2, aryl, heterocyclyl, etc.; G,G' = H2, O; X,X' = H, oh, NH2, halo, etc.; XX' = O; Z = NDSO2E, NHA, NHE, heterocyclyl, etc.; A = H, (cyclo)alkyl, Ph, heterocyclyl, etc.; m = 1-3; p = 0 or 1] were

L12 ANSWER 107 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
prepd. Title compd. I had Ki of 7nM against HIV-1 protease.
IT 172738-22-6P 172738-29-3P 172738-35-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamide derivs. as aspartyl protease inhibitors)
RN 172738-22-6 CAPLUS
CN Benzeneacetamide, N-[(2S)-3-[(cyclopentylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxypropyl]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

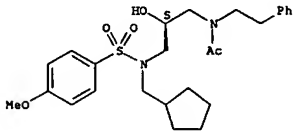


RN 172738-29-3 CAPLUS
CN Carbamic acid, [1-[[[3-[(cyclopentylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxypropyl](2-phenylethyl)amino]carbonyl]-2-methylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 172738-35-1 CAPLUS
CN Acetamide, N-[(2S)-3-[(cyclopentylmethyl)[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxypropyl]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

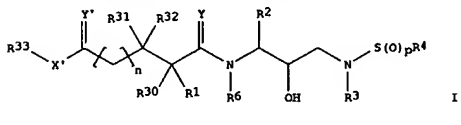


L12 ANSWER 108 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:964989 CAPLUS
DOCUMENT NUMBER: 124:176937
TITLE: N-[(Succinoylamino)hydroxypropyl]sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: U.S., 32 pp. Cont.-in-part of U.S. Ser. No. 935,490, abandoned
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

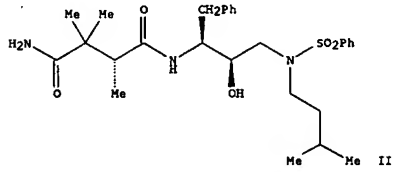
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5463104	A	19951031	US 1993-110912	19930824
AT 154800	E	19970715	AT 1993-920213	19930824
ES 2103488	T3	19970916	ES 1993-920213	19930824
US 5714605	A	19980203	US 1995-541350	19951010
US 5760076	A	19980602	US 1995-541747	19951010
US 6022994	A	20000208	US 1998-41016	19980312
US 6313345	B1	20011106	US 1999-419816	19991018
US 2002137942	A1	20020926	US 2001-884462	20010620
US 6469207	B2	20021022		
US 2003220508	A1	20031127	US 2002-237184	20020909
US 6727282	B2	20040427		
US 2005004043	A1	20050106	US 2004-784916	20040224
PRIORITY APPLN. INFO.:			US 1992-935490 B2	19920825
			US 1993-110912 A3	19930824
			US 1995-541350 A1	19951010
			US 1995-541747 A1	19951010
			US 1998-41016 A1	19980312
			US 1999-419816 A1	19991018
			US 2001-884462 A1	20010620
			US 2002-237184 A1	20020909

OTHER SOURCE(S): MARPAT 124:176937
GI

L12 ANSWER 108 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I

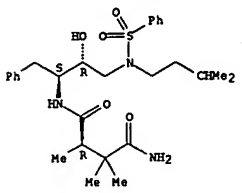


II

AB Succinoylamino hydroxyethylamino sulfonamide compds. I or a pharmaceutically acceptable salt or ester thereof, wherein p represents 0, 1 or 2; n represents either 0 or 1; X' represents N(R34) or O; or R33X' represents cycloalkyl or aryl radicals; Y and Y' each independently represent O or S; R1, R30, R31 and R32 each independently represent hydrogen, OH, (CH2)C(O)CH3, CH2SO2NH2, CO2CH3, CONHCH3, CON(CH3)2, CH2C(O)NHCH3, CH2C(O)N(CH3)2, CONH2, C(CH3)2(SH), C(CH3)2(SCH3), C(CH3)2[S(O)CH3], C(CH3)2[S(O)2CH3], alkyl, haloalkyl, alkenyl, alkynyl, aralkyl or cycloalkyl radicals, or the side chain of the amino acid asparagine, S-Me cysteine or the corresponding sulfoxide or sulfone derivs. thereof, leucine, isoleucine, allo-isoleucine, tert-leucine, phenylalanine, ornithine, alanine, norleucine, glutamine, valine, threonine, serine, o-alkyl serine, aspartic acid, β-cyanoalanine or allothreonine; or R30 and R32 together with the carbon atoms to which they are attached form a cycloalkyl radical; R2 = e.g., alkyl, aryl, cycloalkyl; R3, R33, R34 = e.g., H, alkyl, haloalkyl; R4 = e.g., alkyl, haloalkyl, alkenyl; R6 = H, alkyl; are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. Thus, e.g., butanediamide II was prepared by coupling of benzyl (R)-2,2,3-trimethylsuccinate (preparation given) with 2(R)-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1(S)-(phenylmethyl)propylamine (preparation given) followed by benzyl ester hydrogenolysis and amidation, and exhibited IC50 = 2 nM for inhibition of HIV protease.
IT 157445-96-0P 157445-97-1P 157445-98-2P
157445-99-3P 157446-00-9P 157446-02-1P
157446-03-2P 157446-04-3P 157446-05-4P
157446-06-5P 157446-07-6P 157446-08-7P
157446-09-8P 157474-44-7P 173590-71-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(N-[(succinoylamino)hydroxypropyl]sulfonamides useful as retroviral protease inhibitors)

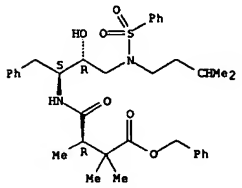
L12 ANSWER 108 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 157445-96-0 CAPLUS
CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157445-97-1 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

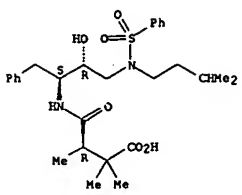
Absolute stereochemistry.



RN 157445-98-2 CAPLUS
CN Butanoic acid, 4-[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, (3R)- (9CI) (CA INDEX NAME)

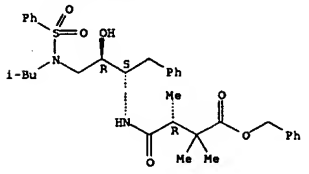
Absolute stereochemistry.

L12 ANSWER 108 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



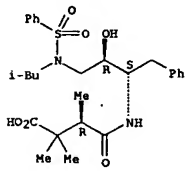
RN 157445-99-3 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157446-00-9 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

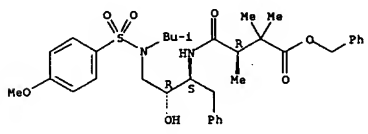
Absolute stereochemistry.



RN 157446-02-1 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-

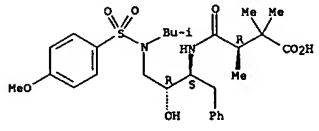
L12 ANSWER 108 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methylpropyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



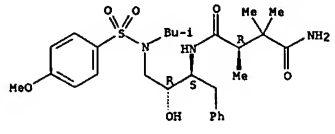
RN 157446-03-2 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157446-04-3 CAPLUS
CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

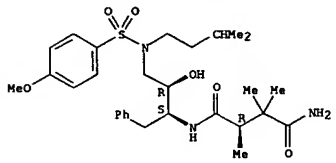
Absolute stereochemistry.



RN 157446-05-4 CAPLUS
CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

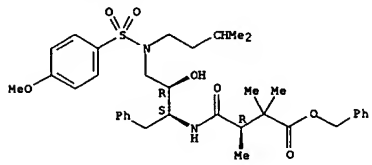
Absolute stereochemistry.

L12 ANSWER 108 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



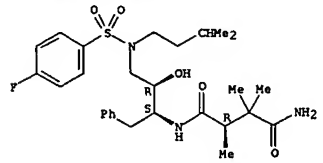
RN 157446-06-5 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



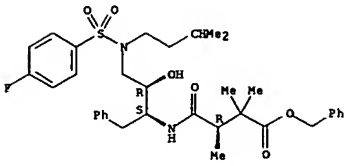
RN 157446-07-6 CAPLUS
CN Butanediamide, N4-[(1S,2R)-3-[[(4-fluorophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



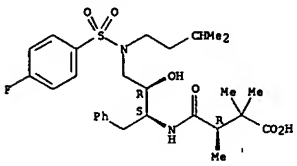
RN 157446-08-7 CAPLUS
CN Butanoic acid, 4-[[3-[[(4-fluorophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



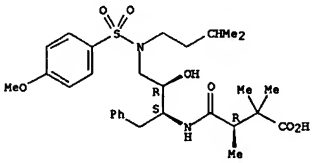
RN 157446-09-8 CAPLUS
CN Butanoic acid, 4-[[3-[[(4-fluorophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



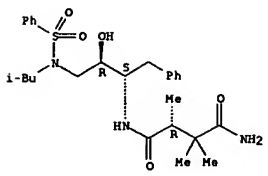
RN 157474-44-7 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 173590-71-1 CAPLUS
CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 1995:871984 CAPLUS
DOCUMENT NUMBER: 123:279761
TITLE: Hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 255 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9506030	A1	19950302	WO 1994-US9139	19940823
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5843946	A	19981201	US 1993-110911	19930824
US 6060476	A	20000509	US 1994-204827	19940302
AU 9476697	A1	19950321	AU 1994-76697	19940823
EP 715618	A1	19960612	EP 1994-927162	19940823
EP 715618	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 6046190	A	20000404	US 1996-586866	19960124

PRIORITY APPLN. INFO.: US 1993-110911 A 19930824
US 1994-204827 A 19940302
US 1992-934984 B2 19920825
WO 1993-US7814 A2 19930824
US 1994-204872 B2 19940302
WO 1994-US9139 W 19940823

OTHER SOURCE(S): MARPAT 123:279761

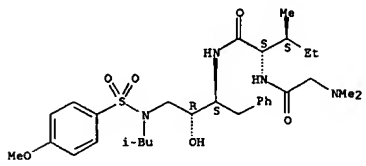
AB Hyroxethylamino sulfonamide compds. AC(:Y)NR6CHR2CHOHCH2NR3S(:O)xR4 [I: R2=(substituted)alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3=H; R3,R4=R2, alkenyl, alkynyl, heterocycloalkyl, -aryl, -aralkyl, -cycloalkyalkyl; R6=H, alkyl; x=1,2; Y=O, S; A=RO, R; R=alkyl, alkenyl; (hetero)aryl, cycloalkyl, cycloalkylalkyl, aralkyl, NH2, mono- or disubstituted amino, etc.] are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease. Many inhibitors were prepared by (1) preparing an N-protected amino epoxide and (2) reacting this with an amine and (3) preparing a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. In vitro HIV protease assays with these compds. revealed inhibitors with IC50's as low as 1.4 nM, e.g. [1S-[1R*(S*),2S*]]-I (A=p-MeOC6H4CH2OCONHCH2CHMe; Y=O; R6=H; R2=benzyl; R3=3-methylbutyl; x=2; R4=phenyl).

IT **159005-68-2P 159005-69-3P 159005-70-6P 159005-89-7P 159005-91-1P 159005-93-3P 159005-94-4P 159005-95-5P 159006-07-2P 159006-21-0P 159006-23-2P 169280-41-5P 169280-42-6P 169280-43-7P 169281-02-1P 169281-03-2P 169281-04-3P 169281-13-4P**

169281-14-5P 169281-17-8P 169436-99-1P 169437-00-7P 169437-01-8P 169437-02-9P 169437-03-0P 169437-04-1P 169437-05-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

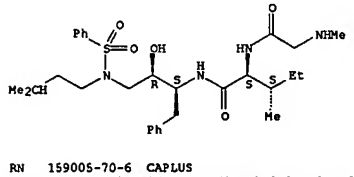
RN 159005-68-2 CAPLUS
CN L-Isoleucinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



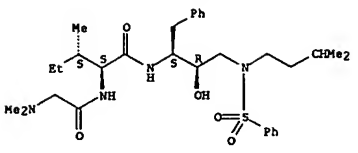
RN 159005-69-3 CAPLUS
CN L-Isoleucinamide, N-methylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



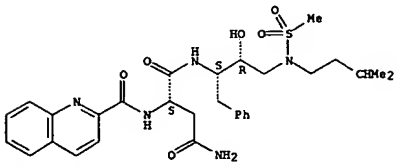
RN 159005-70-6 CAPLUS
CN L-Isoleucinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



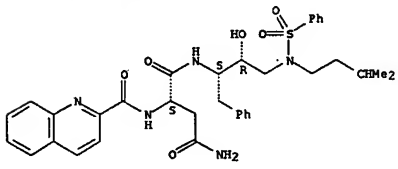
RN 159005-89-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



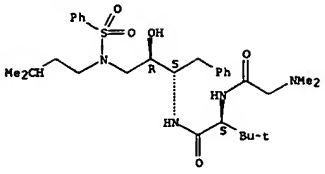
RN 159005-91-1 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



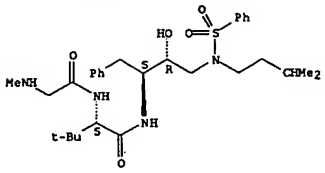
RN 159005-93-3 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



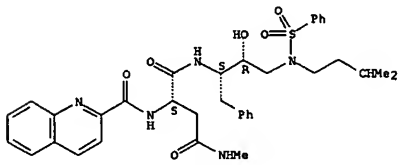
RN 159005-94-4 CAPLUS
CN L-Valinamide, N-methylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



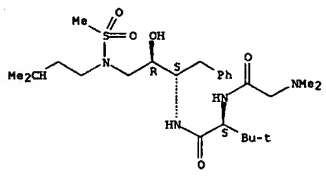
RN 159005-95-5 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-N4-methyl-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



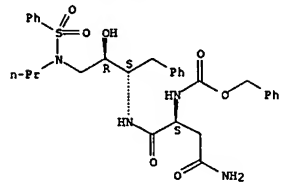
RN 159006-07-2 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



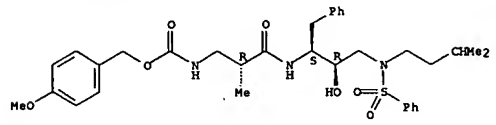
RN 159006-21-0 CAPLUS
CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylsulfonyl)propylamino]propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



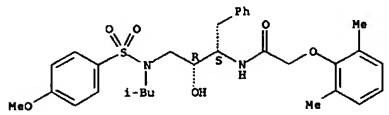
RN 159006-23-2 CAPLUS
CN Carbamic acid, [(2R)-3-[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



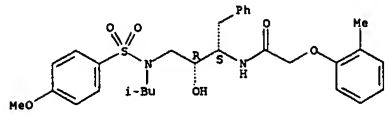
RN 169280-41-5 CAPLUS
CN Acetamide, 2-(2,6-dimethylphenoxy)-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



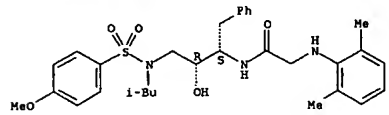
RN 169280-42-6 CAPLUS
CN Acetamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-(2-methylphenoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

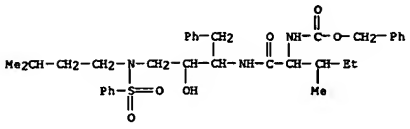


RN 169280-43-7 CAPLUS
CN Acetamide, 2-[(2,6-dimethylphenyl)amino]-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-(9CI) (CA INDEX NAME)

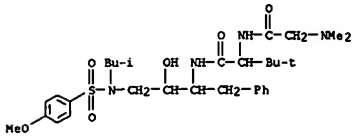
Absolute stereochemistry.



RN 169281-02-1 CAPLUS
CN Carbamic acid, [1-[[[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

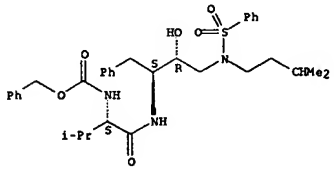


RN 169281-03-2 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)



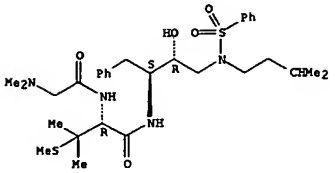
RN 169281-04-3 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



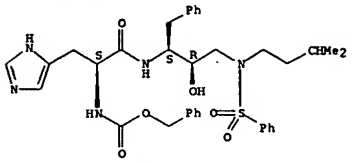
RN 169281-13-4 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-(methylthio)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



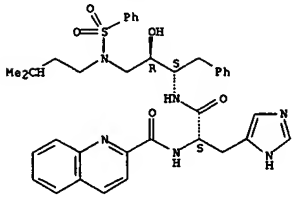
RN 169281-14-5 CAPLUS
CN Carbamic acid, [(1S)-2-[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



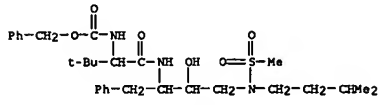
RN 169281-17-8 CAPLUS
CN 2-Quinolinecarboxamide, N-[(1S)-2-[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-1-(1H-imidazol-4-ylmethyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

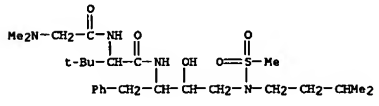


RN 169436-99-1 CAPLUS

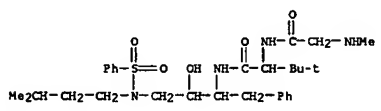
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(1,1-dimethylethyl)-5-hydroxy-3-(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide (9CI) (CA INDEX NAME)



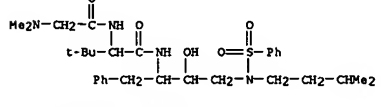
RN 169437-00-7 CAPLUS
CN Valinamide, N,N-dimethylglycyl-N-[2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 169437-01-8 CAPLUS
CN Valinamide, N-methylglycyl-N-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

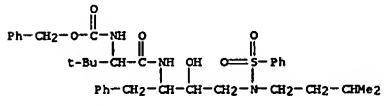


RN 169437-02-9 CAPLUS
CN Valinamide, N,N-dimethylglycyl-N-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

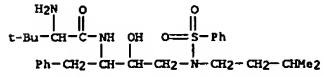


RN 169437-03-0 CAPLUS

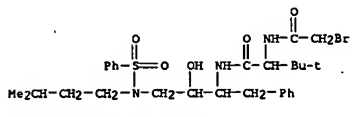
CN Carbamic acid, [1-[[[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2,2-dimethylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 169437-04-1 CAPLUS
CN Butanamide, 2-amino-N-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl- (9CI) (CA INDEX NAME)

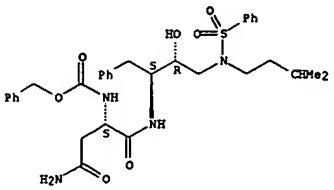


RN 169437-05-2 CAPLUS
CN Butanamide, 2-[(bromoacetyl)amino]-N-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl- (9CI) (CA INDEX NAME)



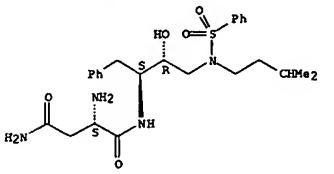
IT 159005-92-2 159006-06-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)
RN 159005-92-2 CAPLUS
CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-06-1 CAPLUS
CN Butanediamide, 2-amino-N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, (2S)- (9CI) (CA INDEX NAME)

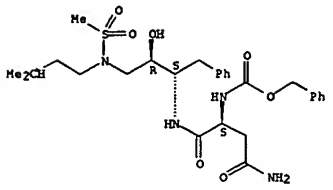
Absolute stereochemistry.



IT **159005-90-0P 159006-05-0P 159006-08-3P**
159006-09-4P 159006-10-7P 159006-11-8P
159006-12-9P 159006-13-0P 159006-14-1P
159006-15-2P 159006-16-3P 159006-17-4P
159006-18-5P 159006-22-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

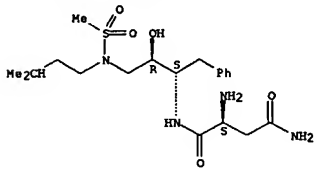
RN 159005-90-0 CAPLUS
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(2-amino-2-oxoethyl)-5-hydroxy-3-(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



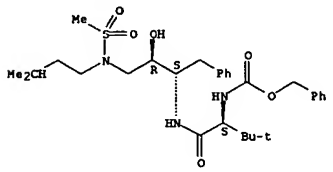
RN 159006-05-0 CAPLUS
CN Butanediamide, 2-amino-N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-08-3 CAPLUS
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(1,1-dimethylethyl)-5-hydroxy-3-(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

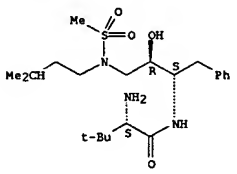
Absolute stereochemistry.



RN 159006-09-4 CAPLUS
CN Butanamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[(3-

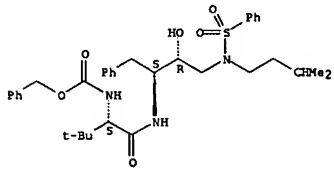
methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



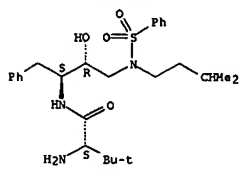
RN 159006-10-7 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2,2-dimethylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



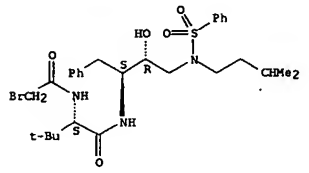
RN 159006-11-8 CAPLUS
CN Butanamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



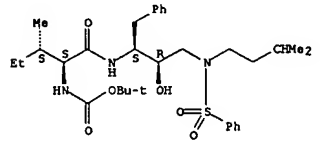
RN 159006-12-9 CAPLUS
CN Butanamide, 2-[(bromoacetyl)amino]-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



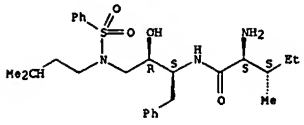
RN 159006-13-0 CAPLUS
CN Carbamic acid, [(1S,2S)-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-14-1 CAPLUS
CN Pentanamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

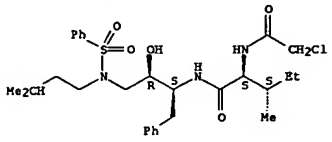
Absolute stereochemistry.



● HCl

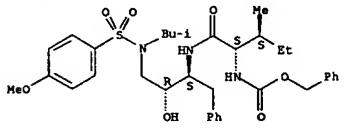
RN 159006-15-2 CAPLUS
CN Pentanamide, 2-[(chloroacetyl)amino]-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



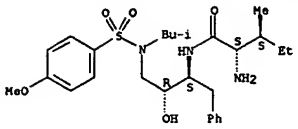
RN 159006-16-3 CAPLUS
CN Carbamic acid, [(1S,2S)-1-[[[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



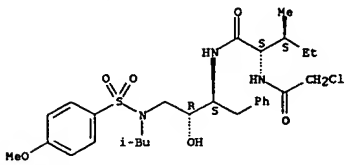
RN 159006-17-4 CAPLUS
CN Pentanamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



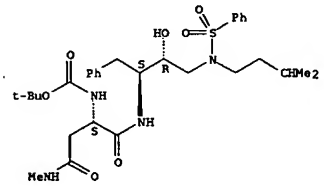
RN 159006-18-5 CAPLUS
CN Pentanamide, 2-[(chloroacetyl)amino]-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-22-1 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-(methylamino)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 1995:408388 CAPLUS
DOCUMENT NUMBER: 122:188162
TITLE: preparation of sulfonylalkanoylamino hydroxyethylamino sulfamic acids as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; De Crescenzo, Gary A.; Sun, Eric T.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410136	A1	19940511	WO 1993-US10461	19931029
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2143191	AA	19940511	CA 1993-2143191	19931029
AU 9456651	A1	19940524	AU 1994-56651	19931029
EP 666843	A1	19950816	EP 1994-902199	19931029
EP 666843	B1	19990818		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 885881	A2	19981223	EP 1998-114522	19931029
EP 885881	A3	19991006		
EP 885881	B1	20030312		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
EP 885880	A2	19981223	EP 1998-114523	19931029
EP 885880	A3	19991006		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 183499	E	19990915	AT 1994-902199	19931029
ES 2134924	T3	19991016	ES 1994-902199	19931029
AT 234279	E	20030315	AT 1998-114522	19931029
PT 885881	T	20030731	PT 1998-114522	19931029
ES 2196436	T3	20031216	ES 1998-114522	19931029
EP 1462443	A1	20040929	EP 2004-7097	19931029
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
US 5583132	A	19961210	US 1995-379645	19950202
GR 3031646	T3	20000229	GR 1999-402735	19991027
PRIORITY APPLN. INFO.:			US 1992-969612 A	19921030
			EP 1994-902199 A3	19931029
			WO 1993-US10461 W	19931029
			EP 1998-114523 A3	19980803

OTHER SOURCE(S): MARPAT 122:188162
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

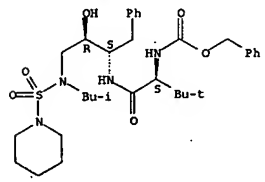
AB Sulfonylalkanoylamino hydroxyamino sulfamic acid compds. [I; R = alkyl, alkenyl, alkynyl, cycloalkyl, hydroxyalkyl, etc.; R1, R20, R21 = H, CH2-SO2-NH2, CH2-CO2-Me, CO2Me, CONH2, etc.; R2 = alkyl, aryl, cycloalkyl, cycloalkylalkyl, etc.; R3 = alkyl, haloalkyl, alkenyl, alkynyl,

hydroxyalkyl; R4, R5 = H, any group in the definition of R3; R6 = H, alkyl; x = 1,2; t = 0, 1, 2; Y = O, S, NR15; R15 = H, any group in the definition of R3] and their pharmaceutically acceptable salts and esters, effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, are prepd. E.g., 2(S)-methyl-3-(methylsulfonyl)propionic acid was condensed with the phenylalanine deriv. II (prepn. given) in DMF contg. HOBt and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide at 0° for 2 h and at room temp. for 16 h to give the title compd. III. III was the only title compd. prepd. with data and it was not tested for biol. activities; however, some intermediates, e.g., analogs of II, were tested for their HIV inhibition activity.

IT **160765-62-8P 160765-63-9P 160765-64-0P**
161446-48-6P 161446-49-7P 161446-50-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in preparation of sulfonylalkanoylamino hydroxyethylamino sulfamic acids as
retroviral protease inhibitors)

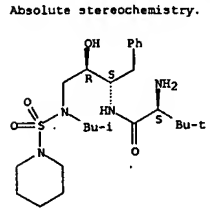
RN 160765-62-8 CAPLUS
CN Carbamic acid, [1-[[[2-hydroxy-3-[(2-methylpropyl)(1-piperidinylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2,2-dimethylpropyl]-, phenylmethyl ester, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



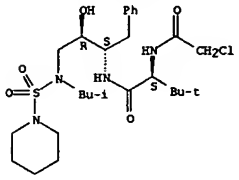
RN 160765-63-9 CAPLUS
CN Butanamide, 2-amino-N-[2-hydroxy-3-[(2-methylpropyl)(1-piperidinylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



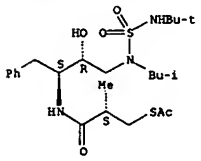
RN 160765-64-0 CAPLUS
CN Butanamide, 2-[(chloroacetyl)amino]-N-[2-hydroxy-3-[(2-methylpropyl)(1-piperidinylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



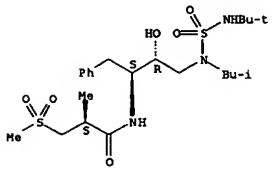
RN 161446-48-6 CAPLUS
CN Ethanethioic acid, S-[6-hydroxy-2,11,11-trimethyl-8-(2-methylpropyl)-3-oxo-5-(phenylmethyl)-9-thia-4,8,10-triazadodec-1-yl] ester, 9,9-dioxide, [2S-(2R*,5R*,6S*)]- (9CI) (CA INDEX NAME)

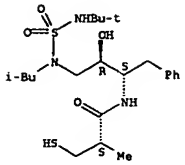
Absolute stereochemistry.



RN 161446-49-7 CAPLUS
CN Propanamide, N-[3-[[[(1,1-dimethylethyl)amino]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-mercapto-2-methyl-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

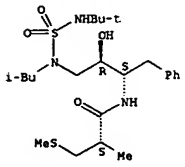
Absolute stereochemistry.





RN 161446-50-0 CAPLUS
CN Propanamide, N-[3-[[[(1,1-dimethylethyl)amino]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylthio)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 161446-45-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as retroviral protease inhibitors)
RN 161446-45-3 CAPLUS
CN Propanamide, N-[3-[[[(1,1-dimethylethyl)amino]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

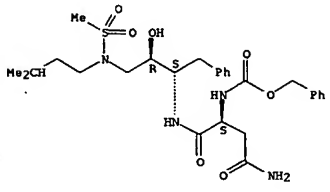
L12 ANSWER 111 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:352211 CAPLUS
DOCUMENT NUMBER: 122:204547
TITLE: Inhibitors of HIV-1 Protease Containing the Novel and Potent (R)-(Hydroxyethyl)sulfonamide Isostere
AUTHOR(S): Vazquez, Michael L.; Bryant, Martin L.; Clare, Michael; DeCrescenzo, Gary A.; Doherty, Elizabeth M.; Freskos, John N.; Getman, Daniel P.; Houseman, Kathryn A.; Julien, Janet A.; et al.
CORPORATE SOURCE: Searle Discovery Research, Skokie, IL, 60077, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(4), 581-4
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:204547

AB The authors have prepared and tested a series of novel and highly potent HIV-1 protease inhibitors based on the (R)-(hydroxyethyl)sulfonamide isostere. The isostere exhibits enhanced potency relative to the previously reported (hydroxyethyl)urea isostere. The preferred stereochem. for the critical hydroxyl group is R. X-ray crystallog. studies show that these inhibitors bind to the protease in an extended fashion with one of the sulfonamide oxygens forming a hydrogen bond to the key structural water mol. Some of the compds. showed excellent antiviral activity in vitro.

IT 159005-90-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(inhibitors of HIV-1 protease containing novel and potent (R)-(hydroxyethyl)sulfonamide isostere in relation to antiviral activity)
RN 159005-90-0 CAPLUS
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(2-amino-2-oxoethyl)-5-hydroxy-3-(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

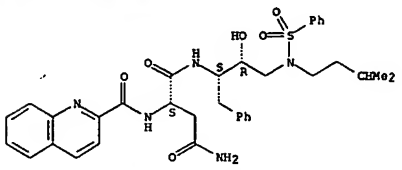
Absolute stereochemistry.



IT 159005-91-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(inhibitors of HIV-1 protease containing novel and potent (R)-(hydroxyethyl)sulfonamide isostere in relation to antiviral activity)
RN 159005-91-1 CAPLUS

CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

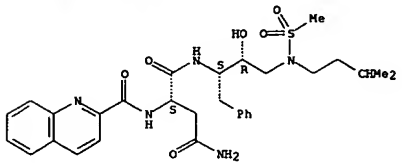


IT **159005-89-7P 159005-92-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors of HIV-1 protease containing novel and potent (R)-(hydroxyethyl)sulfonamide isostere in relation to antiviral activity)

RN 159005-89-7 CAPLUS

CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

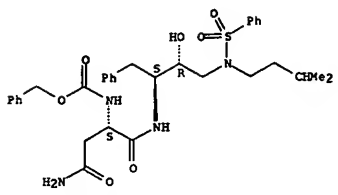
Absolute stereochemistry.



RN 159005-92-2 CAPLUS

CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

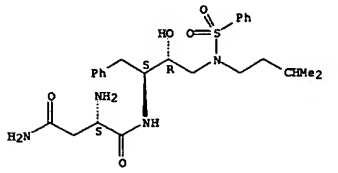


IT **159006-06-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(inhibitors of HIV-1 protease containing novel and potent (R)-(hydroxyethyl)sulfonamide isostere in relation to antiviral activity)

RN 159006-06-1 CAPLUS

CN Butanediamide, 2-amino-N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, (2S)- (9CI) (CA INDEX NAME)

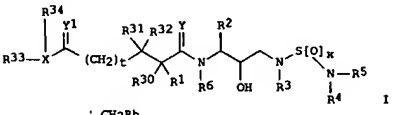
Absolute stereochemistry.



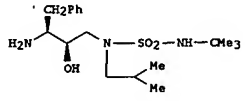
ACCESSION NUMBER: 1995:340526 CAPLUS
DOCUMENT NUMBER: 122:133838
TITLE: preparation of succinoylamino hydroxyethylamino sulfamic acid derivatives as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; De Crescenzo, Gary A.; Sun, Eric T.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410133	A1	19940511	WO 1993-US10460	19931029
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2141570	AA	19940511	CA 1993-2141570	19931029
AU 9455892	A1	19940524	AU 1994-55892	19931029
EP 666841	A1	19950816	EP 1994-901230	19931029
EP 666841	B1	19970122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 148105	E	19970215	AT 1994-901230	19931029
ES 2097023	T3	19970316	ES 1994-901230	19931029
US 5602119	A	19970211	US 1995-379573	19950131
PRIORITY APPLN. INFO.:			US 1992-969683 A	19921030
			WO 1993-US10460 W	19931029

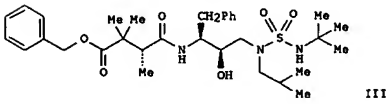
OTHER SOURCE(S): MARPAT 122:133838
GI



I



II



III

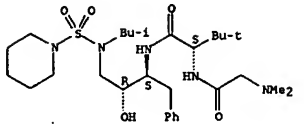
AB Title compds. [I; R1 = H, CH2-SO2-NH2, CH2-CO2Me, CO2Me, CONH2, CH2-CO-NHMe, CMe2-SH, etc.; R2 = alkyl, acyl, cycloalkyl, cycloalkylalkyl, NO2, cyano, CF3, OH, SH, alkoxy, etc.; R3 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, etc.; R4, R5 = H, any group in the definition of R3; R6 = H, alkyl; R30,R31,R32 = H, alkyl, alkenyl, alkynyl, etc.; R33, R34 = H, any group in the definition of R3, or R33 and R34 together with X = cycloalkyl, aryl, heterocyclyl, heteroaryl provided that when X = O, R34 = nil; X = N, O, CR17; R17 = H, alkyl; x = 1, 2; t = 0, 1, 2; Y, Y1 = O, S, NR15; R15 = H, any group in the definition of R3], effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, are prepared Thus, 4-benzyl 2(R),3,3-trimethylsuccinate was condensed with the [(tert-butylaminosulfonyl)amino]propylamine derivative II (preparation given) in DMF containing HOBt and 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride to give the title compound III. III had an IC50 of 1.4 μM against retroviral protease in an in vitro study. The title compds. were also compared with AZT in a CEM cell assay.

IT **160677-29-2P 160765-62-8P 160765-63-9P 160765-64-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for retroviral protease inhibitors)

RN 160677-29-2 CAPLUS

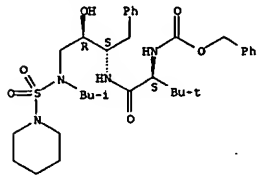
CN L-Valinamide, N,N-dimethylglycyl-N-[2-hydroxy-3-[(2-methylpropyl)(1-piperidinylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



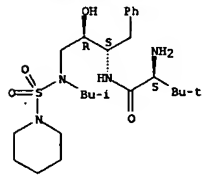
RN 160765-62-8 CAPLUS
CN Carbamic acid, [1-[[[2-hydroxy-3-[(2-methylpropyl)(1-piperidinylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2,2-dimethylpropyl]-, phenylmethyl ester, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

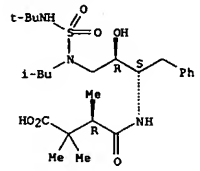


RN 160765-63-9 CAPLUS
CN Butanamide, 2-amino-N-[2-hydroxy-3-[(2-methylpropyl)(1-piperidinylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

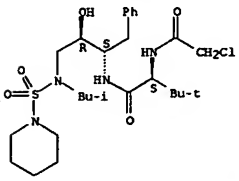
Absolute stereochemistry.



RN 160765-64-0 CAPLUS
CN Butanamide, 2-[(chloroacetyl)amino]-N-[2-hydroxy-3-[(2-methylpropyl)(1-piperidinylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

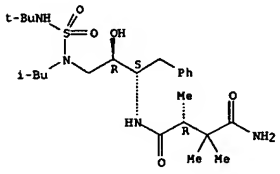


Absolute stereochemistry.



IT 160765-56-0P 160765-57-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as retroviral protease inhibitor)
RN 160765-56-0 CAPLUS
CN Butanediamide, N4-[(1S,2R)-3-[[[(1,1-dimethylethyl)amino]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160765-57-1 CAPLUS
CN 4-Thia-3,5,9-triazatridecan-13-oic acid, 7-hydroxy-2,2,11,12,12-pentamethyl-5-(2-methylpropyl)-10-oxo-8-(phenylmethyl)-, 4,4-dioxide, [7R-(7R*,8S*,11R*)]- (9CI) (CA INDEX NAME)

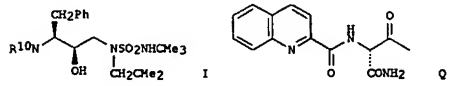
Absolute stereochemistry.

ACCESSION NUMBER: 1995:330514 CAPLUS
DOCUMENT NUMBER: 122:106521
TITLE: Preparation of N-sulfamidohydroxyalkyl amino acid amides as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Sun, Eric T.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9410134	A1	19940511	WO 1993-US10552	19931029
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2142997	AA	19940511	CA 1993-2142997	19931029
AU 9455470	A1	19940524	AU 1994-55470	19931029
EP 666842	A1	19950816	EP 1994-900506	19931029
EP 666842	B1	19980624		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
EP 810208	A2	19971203	EP 1997-113206	19931029
EP 810208	A3	19981202		
EP 810208	B1	20020102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
AT 167669	E	19980715	AT 1994-900506	19931029
ES 2118364	T3	19980916	ES 1994-900506	19931029
AT 211462	E	20020115	AT 1997-113206	19931029
PT 810208	T	20020628	PT 1997-113206	19931029
ES 2170305	T3	20020801	ES 1997-113206	19931029
US 6156768	A	20001205	US 1995-379545	19950202
US 6444678	B1	20020903	US 2000-633063	20000804
US 2003158236	A1	20030821	US 2002-178956	20020625

PRIORITY APPLN. INFO.:
US 1992-968730 A 19921030
EP 1994-900506 A3 19931029
WO 1993-US10552 W 19931029
US 1995-379545 A3 19950202
US 2000-633063 A1 20000804

OTHER SOURCE(S): MARPAT 122:106521
GI



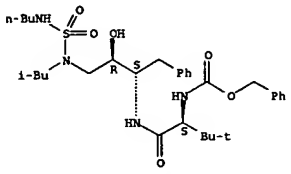
AB RR'N(CR7R8)tCHR1C(:Y)NR6CHR2CH(OH)CH2NR3SOxNR4R5 [R = H, (cyclo)alkyl, (hetero)aryl, alkyl(oxy)carbonyl, heterocyclyl(oxy)carbonyl, etc.; R' =

groups cited for R3, R''SO2; R'' = groups cited for R3; NRR' = heterocyclyl, heteroaryl; R1,R7,R8 = H, (halo)alkyl, amino acid side chain, CONH2, CO2Me, etc.; R1R7 = atoms to form a cycloalkyl group; R2 = (un)substituted (cyclo)alkyl, aryl(alkyl); R3 = (cyclo)alkyl, (hetero)aryl(alkyl), aminoalkyl, etc.; R4,R5 = H, groups cited for R3; NR4R5 = heterocyclyl, heteroaryl; R6 = H, alkyl; Y = O, S, NH, NR3; t = 0-2; x = 1 or 2] were prepd. Thus, N-benzyloxycarbonyl-3(S)-amino-1,2(S)-epoxy-4-phenylbutane (prepn. given) was condensed with Me2CHCH2NH2 and the product amidated by ClSO2NHCMe3 (prepn. given) to give, after deprotection, sulfamamide I (R10 = H) which was N-acylated by N-BOC-L-asparagine and the deprotected product N-acylated by quinoline-2-carboxylic acid to give I (R10 = quinolinoylasparaginyl group Q). The latter had IC50 of 2nM against HIV-1 infection of CEM cells in vitro.

IT **160677-07-6P 160677-08-7P 160677-10-1P 160677-11-2P 160677-13-4P 160677-14-5P 160677-15-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of retroviral protease inhibitor)

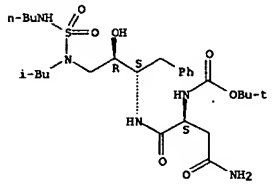
RN 160677-07-6 CAPLUS
CN 10-Thia-2,5,9,11-tetraazapentadecanoic acid, 3-(1,1-dimethylethyl)-7-hydroxy-9-(2-methylpropyl)-4-oxo-6-(phenylmethyl)-, phenylmethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



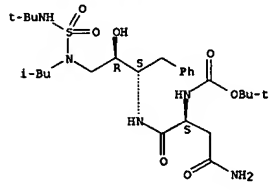
RN 160677-08-7 CAPLUS
CN Butanamide, 2-amino-N-[3-[[(butylamino)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3,3-dimethyl-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



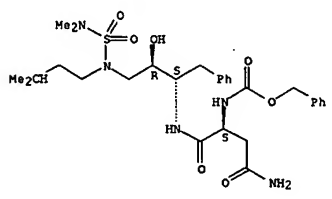
RN 160677-13-4 CAPLUS
CN 10-Thia-2,5,9,11-tetraazatridecanoic acid, 3-(2-amino-2-oxoethyl)-7-hydroxy-12,12-dimethyl-9-(2-methylpropyl)-4-oxo-6-(phenylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7S*)]- (9CI) (CA INDEX NAME)

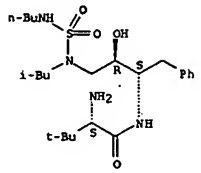
Absolute stereochemistry.



RN 160677-14-5 CAPLUS
CN 3-Thia-2,4,8,11-tetraazadodecan-12-oic acid, 10-(2-amino-2-oxoethyl)-6-hydroxy-2-methyl-4-(3-methylbutyl)-9-oxo-7-(phenylmethyl)-, phenylmethyl ester, 3,3-dioxide, [6R-(6R*,7S*,10S*)]- (9CI) (CA INDEX NAME)

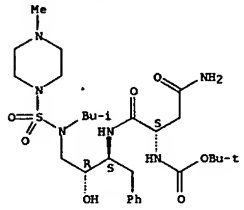
Absolute stereochemistry.





RN 160677-10-1 CAPLUS
CN Carbamic acid, [3-amino-1-[[[2-hydroxy-3-[[(4-methyl-1-piperazinyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

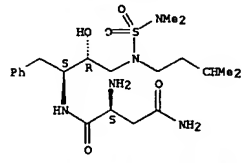


RN 160677-11-2 CAPLUS
CN 10-Thia-2,5,9,11-tetraazapentadecanoic acid, 3-(2-amino-2-oxoethyl)-7-hydroxy-9-(2-methylpropyl)-4-oxo-6-(phenylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 160677-15-6 CAPLUS
CN Butanediamide, 2-amino-N1-[3-[[(dimethylamino)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

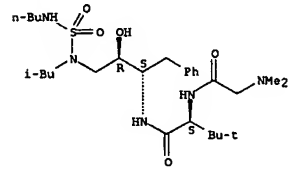
Absolute stereochemistry.



IT **160676-88-0P 160676-89-1P 160676-90-4P 160676-91-5P 160676-92-6P 160676-93-7P 160676-94-8P 160677-16-7P 160677-17-8P 160677-18-9P 160677-27-0P 160677-28-1P 160677-29-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as retroviral protease inhibitor)

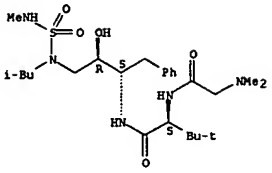
RN 160676-88-0 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[3-[[(butylamino)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



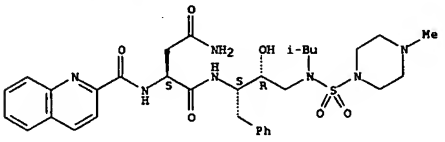
RN 160676-89-1 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[2-hydroxy-3-[[(methylamino)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



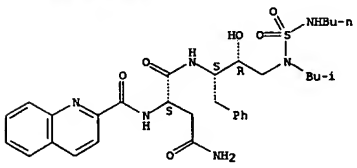
RN 160676-90-4 CAPLUS
CN Butanediamide, N1-[3-[[(4-methyl-1-piperazinyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



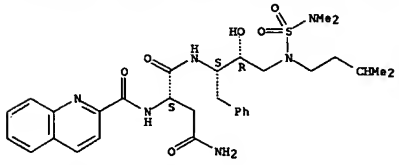
RN 160676-91-5 CAPLUS
CN Butanediamide, N1-[3-[[(butylamino)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



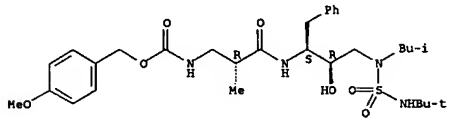
RN 160676-92-6 CAPLUS
CN Butanediamide, N1-[3-[[[(1,1-dimethylethyl)amino]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



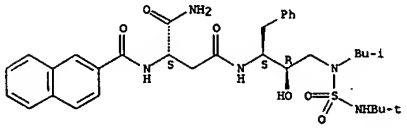
RN 160677-17-8 CAPLUS
CN 11-Thia-2,6,10,12-tetraazatetradecanoic acid, 8-hydroxy-4,13,13-trimethyl-10-(2-methylpropyl)-5-oxo-7-(phenylmethyl)-, (4-methoxyphenyl)methyl ester, 11,11-dioxide, [4R-(4R*,7S*,8R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



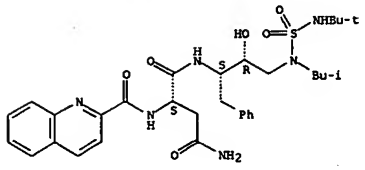
RN 160677-18-9 CAPLUS
CN Butanediamide, N4-[(1S,2R)-3-[[[(1,1-dimethylethyl)amino]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-naphthalenylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



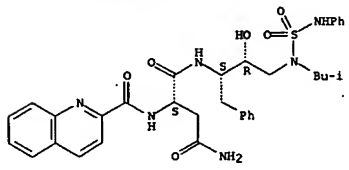
RN 160677-27-0 CAPLUS
CN 3-Thia-2,4,8,11-tetraazadodecan-12-oic acid, 10-(1,1-dimethylethyl)-6-hydroxy-2-methyl-4-(3-methylbutyl)-9-oxo-7-(phenylmethyl)-, phenylmethyl ester, 3,3-dioxide, [6R-(6R*,7S*,10S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



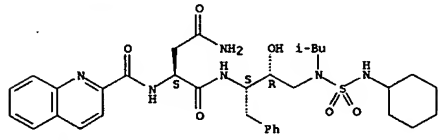
RN 160676-93-7 CAPLUS
CN Butanediamide, N1-[2-hydroxy-3-[(2-methylpropyl)[(phenylamino)sulfonyl]amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



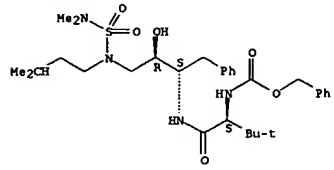
RN 160676-94-8 CAPLUS
CN Butanediamide, N1-[3-[[(cyclohexylamino)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



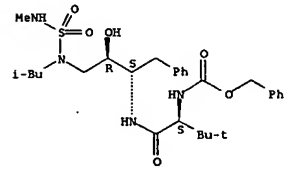
RN 160677-16-7 CAPLUS
CN Butanediamide, N1-[3-[[(dimethylamino)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



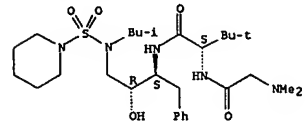
RN 160677-28-1 CAPLUS
CN 3-Thia-2,4,8,11-tetraazadodecan-12-oic acid, 10-(1,1-dimethylethyl)-6-hydroxy-4-(2-methylpropyl)-9-oxo-7-(phenylmethyl)-, phenylmethyl ester, 3,3-dioxide, [6R-(6R*,7S*,10S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160677-29-2 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[2-hydroxy-3-[(2-methylpropyl)(1-piperidinylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 114 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1995:293723 CAPLUS
DOCUMENT NUMBER: 122:81141
TITLE: Preparation of heterocyclylarylsulfonamide inhibitors of HIV-aspartyl protease
INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9405639	A1	19940317	WO 1993-US8458	19930907
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
LT 3302	B	19950626	LT 1993-917	19930901
IL 106927	A1	20010111	IL 1993-106927	19930906
EP 659181	A1	19950628	EP 1993-921428	19930907
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JP 08501299	T2	19960213	JP 1994-507525	19930907
HU 71892	A2	19960228	HU 1995-685	19930907
AU 691160	B2	19980514	AU 1993-48520	19930907
AU 9348520	A1	19940329		
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EP 885887	B1	20030528		
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AT 178598	E	19990415	AT 1993-921428	19930907
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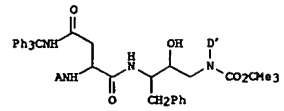
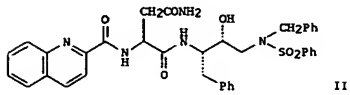
RU 2135496	C1	19990827	RU 1995-109928	19930907
SK 281360	B6	20010212	SK 1995-293	19930907
CZ 289475	B6	20020116	CZ 1995-587	19930907
CA 2143208	C	20030107	CA 1993-2143208	19930907
AT 241602	E	20030615	AT 1998-113921	19930907
PL 185635	B1	20030630	PL 1993-307858	19930907
RO 118747	B1	20031030	RO 1995-479	19930907
PT 885887	T	20031031	PT 1998-113921	19930907
ES 2200243	T3	20040301	ES 1998-113921	19930907
CN 1087347	A	19940601	CN 1993-117370	19930908
CN 1061339	B	20010131		
ZA 9308470	A	19940620	ZA 1993-8470	19931112
US 5585397	A	19961217	US 1993-142327	19931124
FI 9501059	A	19950418	FI 1995-1059	19950307
NO 9500876	A	19950508	NO 1995-876	19950307
NO 303444	B1	19980713		
HK 1012631	A1	20000623	HK 1998-113971	19981217
HK 1023561	A1	20040716	HK 2000-100689	19981217
PRIORITY APPLN. INFO.:			US 1992-941982	A2 19920908
			EP 1993-921428	A3 19930907
			WO 1993-US8458	W 19930907

OTHER SOURCE(S): MARPAT 122:81141
GI

L12 ANSWER 114 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I



II

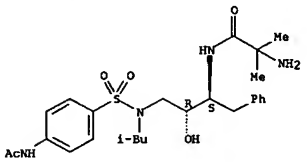
AB Title compds. A(B)xNHCH(D)CH(OH)CH2N(D')SO2E (A = H, Het, R1-Het, (substituted)R1-C1-6 alkyl, (substituted) R1-C2-6 alkenyl wherein R1 = CO, SO2, COCO, O2C, etc., Het = C5-7 cycloalkyl, C5-7 cycloalkenyl, C6-10 aryl, (substituted) 5-7-membered heterocyclyl; R2 = H, (Ar)-C1-3 alkyl; B = NR2CR3CO, null wherein R3 = H, (substituted)Het or C1-6 alkyl or C2-6 alkenyl or C3-6 cycloalkyl or C5-6 cycloalkenyl; x = 0,1; D, D' = Ar, (substituted) C1-4 alkyl wherein Ar = Ph, (substituted) 3-6-membered carbocyclyl or 5-6-membered heterocyclyl; E = Het-O, Het-Het, (substituted) C1-6 alkyl or C2-6 alkenyl, C3-6 carbocyclyl) useful also against viral infection of HIV-2, HIV-2, or HTLV, are prepared 4,3-(AcNH)FC6H3SO2Cl and syn-I (A = quinolin-2-ylcarbonyl, D' = Me2CHCH2) (preparation given) in CH2Cl2 was treated with F3CCO2H followed by NaHCO3 and 4-FC6H4SO2Cl to give the title compound II which inhibited HIV-1 protease with IC50 of <0.1 nM.

IT 160233-13-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of HIV-1 protease inhibitors)

RN 160233-13-6 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-amino-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 114 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

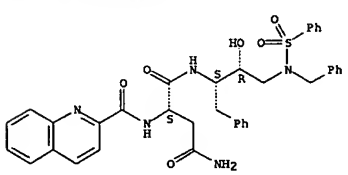


● HCl

IT 160230-05-7P 160230-06-8P 160230-07-9P
160230-08-0P 160230-09-1P 160230-10-4P
160230-11-5P 160230-12-6P 160230-13-7P
160230-14-8P 160230-15-9P 160230-16-0P
160230-17-1P 160230-18-2P 160230-19-3P
160230-20-6P 160230-21-7P 160230-22-8P
160230-23-9P 160230-24-0P 160230-25-1P
160230-27-3P 160230-29-5P 160230-31-9P
160230-33-1P 160230-35-3P 160230-49-9P
160230-50-2P 160230-64-8P 160230-72-8P
160231-88-9P 160231-89-0P 160231-90-3P
160231-91-4P 160231-92-5P 160231-93-6P
160231-96-9P 160333-42-6P 160333-43-7P
160333-44-8P 160333-45-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of as HIV-1 protease inhibitor)

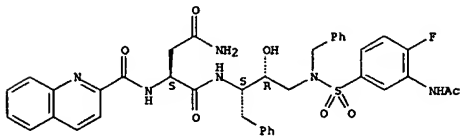
RN 160230-05-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)(phenylsulfonyl)amino]propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



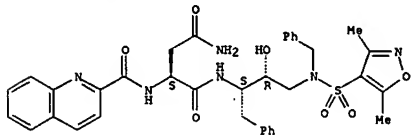
RN 160230-06-8 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

L12 ANSWER 114 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.



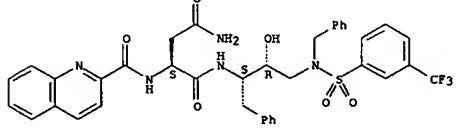
RN 160230-07-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[(3,5-dimethyl-4-isoxazolyl)sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



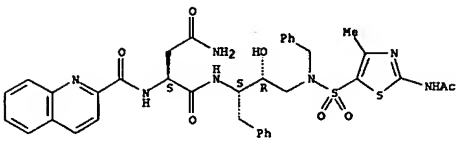
RN 160230-08-0 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylmethyl)[[3-(trifluoromethyl)phenyl]sulfonyl]amino]propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



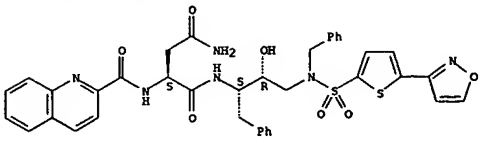
RN 160230-09-1 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[2-(acetylamino)-4-methyl-5-thiazolyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



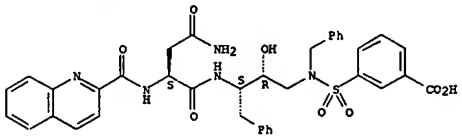
RN 160230-10-4 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[[[5-(3-isoxazolyl)-2-thienyl]sulfonyl](phenylmethyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



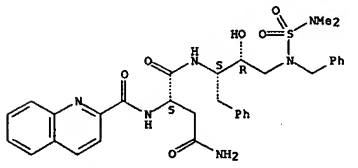
RN 160230-11-5 CAPLUS
CN Benzoic acid, 3-[[[(2R,3S)-3-[[(2S)-4-amino-1,4-dioxo-2-[(2-quinolinylcarbonyl)amino]butyl]amino]-2-hydroxy-4-phenylbutyl](phenylmethyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



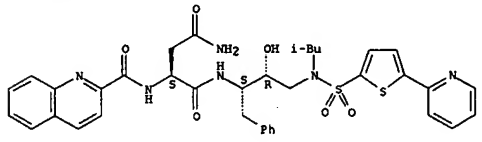
RN 160230-12-6 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(methylsulfonyl)(phenylmethyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



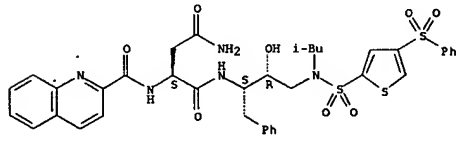
RN 160230-16-0 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[5-(2-pyridinyl)-2-thienyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



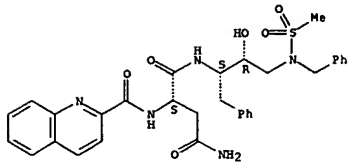
RN 160230-17-1 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-(phenylsulfonyl)-2-thienyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



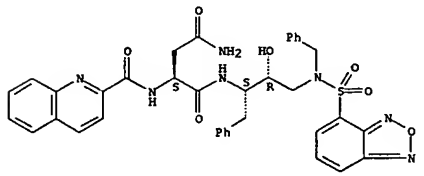
RN 160230-18-2 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[(4-fluorophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



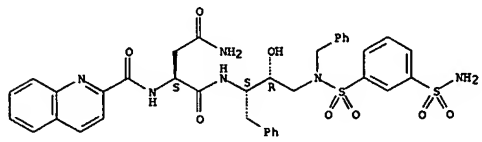
RN 160230-13-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[(2,1,3-benzoxadiazol-4-ylsulfonyl)(phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



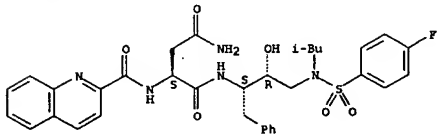
RN 160230-14-8 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[3-(aminosulfonyl)phenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



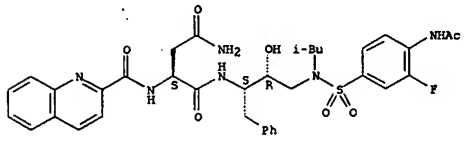
RN 160230-15-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[(dimethylamino)sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



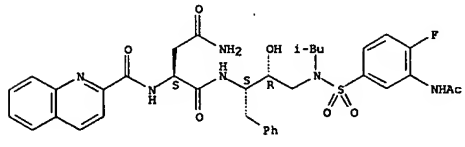
RN 160230-19-3 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



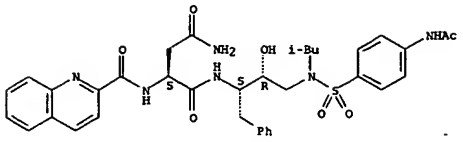
RN 160230-20-6 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



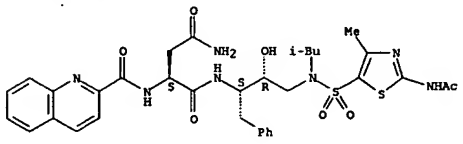
RN 160230-21-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



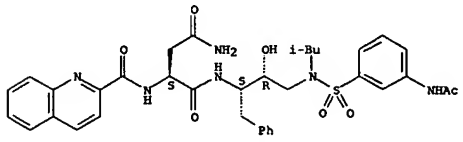
RN 160230-22-8 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[2-(acetylamino)-4-methyl-5-thiazolyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-23-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[3-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-24-0 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[(2,1,3-benzoxadiazol-4-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

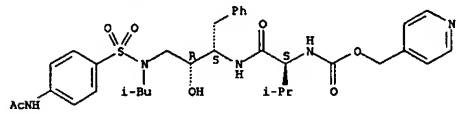
CRN 76-05-1
CMF C2 H F3 O2

RN 160230-29-5 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 4-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 160230-28-4
CMF C34 H45 N5 O7 S

Absolute stereochemistry.



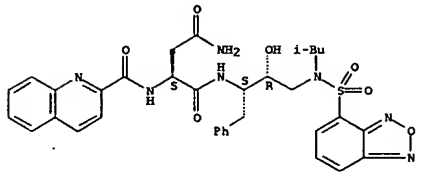
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 160230-31-9 CAPLUS
CN 3-Thia-2,4,8,11-tetraazadodecan-12-oic acid, 6-hydroxy-2-methyl-10-(1-methylethyl)-4-(2-methylpropyl)-9-oxo-7-(phenylmethyl)-, 2-pyridinylmethyl ester, 3,3-dioxide, (6R,7S,10S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

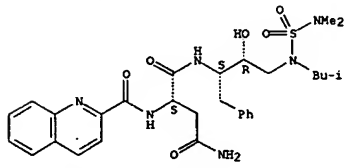
CM 1

CRN 160230-30-8
CMF C28 H43 N5 O6 S



RN 160230-25-1 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[(dimethylamino)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

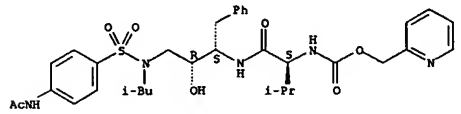


RN 160230-27-3 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 2-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

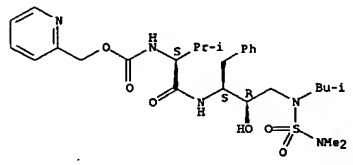
CRN 160230-26-2
CMF C34 H45 N5 O7 S

Absolute stereochemistry.



CM 2

Absolute stereochemistry.



CM 2

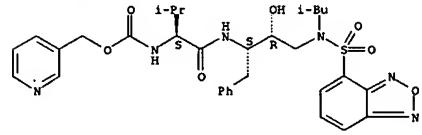
CRN 76-05-1
CMF C2 H F3 O2

RN 160230-33-1 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[(2,1,3-benzoxadiazol-4-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 3-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 160230-32-0
CMF C32 H40 N6 O7 S

Absolute stereochemistry.



CM 2

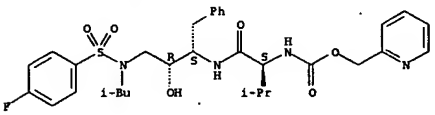
CRN 76-05-1
CMF C2 H F3 O2

RN 160230-35-3 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[(4-fluorophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 2-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 160230-34-2
CMF C32 H41 F N4 O6 S

Absolute stereochemistry.

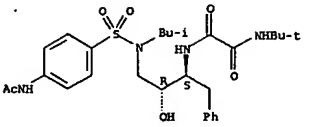


CM 2

CRN 76-05-1
CMF C2 H F3 O2

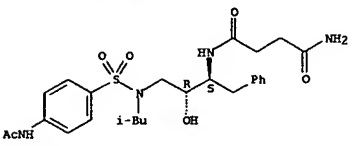
RN 160230-49-9 CAPLUS
CN Ethanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



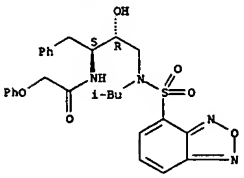
RN 160230-50-2 CAPLUS
CN Butanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



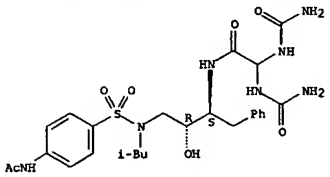
RN 160230-64-8 CAPLUS
CN Acetamide, N-[(1S,2R)-3-[(2,1,3-benzoxadiazol-4-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-phenoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



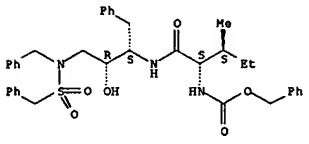
RN 160230-72-8 CAPLUS
CN Acetamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2-bis[(aminocarbonyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



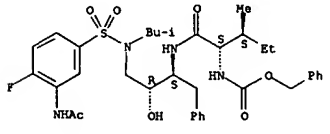
RN 160231-88-9 CAPLUS
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 5-hydroxy-9-[(1S)-1-methylpropyl]-8-oxo-1-phenyl-3,6-bis(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



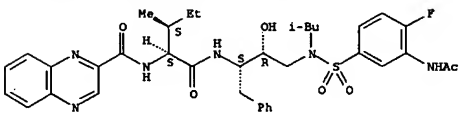
RN 160231-89-0 CAPLUS
CN Carbamic acid, [(1S,2S)-1-[[[(1S,2R)-3-[[3-(acetylamino)-4-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



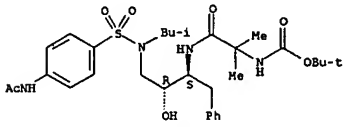
RN 160231-90-3 CAPLUS
CN 2-Quinoxalinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



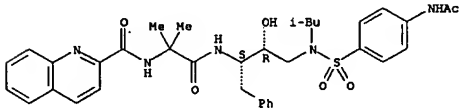
RN 160231-91-4 CAPLUS
CN Carbamic acid, [2-[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



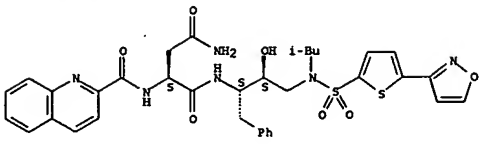
RN 160231-92-5 CAPLUS
CN 2-Quinolinecarboxamide, N-[2-[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



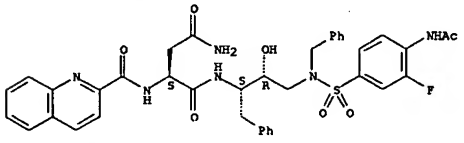
RN 160231-93-6 CAPLUS
CN Butanediamide, N1-[(1S,2S)-2-hydroxy-3-[[[5-(3-isoxazolyl)-2-thienyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



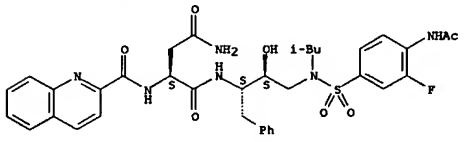
RN 160231-96-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



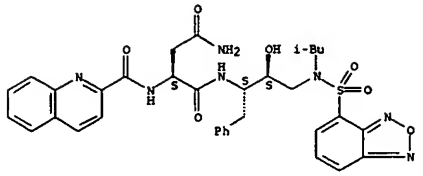
RN 160333-42-6 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



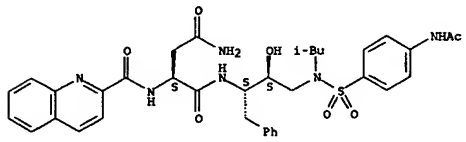
RN 160333-43-7 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[(2,1,3-benzoxadiazol-4-ylsulfonyl)(2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



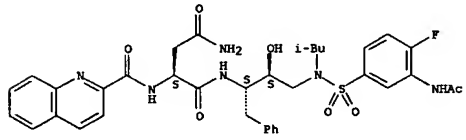
RN 160333-44-8 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160333-45-9 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[3-(acetylamino)-4-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

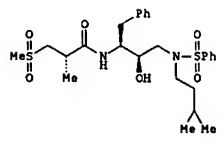
Absolute stereochemistry.



ACCESSION NUMBER: 1995:3862 CAPLUS
DOCUMENT NUMBER: 122:55727
TITLE: (Sulfonylalkanoylamino)(hydroxyethylamino)sulfonamides as HIV protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404493	A1	19940303	WO 1993-US7816	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 656888	A1	19950614	EP 1993-920214	19930824
EP 656888	B1	19980107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08500825	T2	19960130	JP 1993-506532	19930824
AU 669223	B2	19960530	AU 1993-50820	19930824
AU 9350820	A1	19940315		
AT 161828	E	19980115	AT 1993-920214	19930824
ES 2112430	T3	19980401	ES 1993-920214	19930824
RU 2146668	C1	20000320	RU 1995-106996	19930824
FI 9500651	A	19950214	FI 1995-651	19950214
NO 9500550	A	19950214	NO 1995-550	19950214
PRIORITY APPLN. INFO.:			US 1992-935071	A2 19920825
			WO 1993-US7816	W 19930824

OTHER SOURCE(S): MARPAT 122:55727
GI

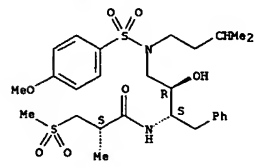


AB The title compds. RS(O)x(CH2)tC(R21)(R20)CH(R1)C(:Y)N(R6)CH(R2)C(OH)HCH2N(R3)S(O)xR4 [R = H, alkyl, alkenyl, alkynyl, heteroaryl, cycloalkyl, etc.; R1, R20, R21 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, etc.; R2 = (un)substituted alkyl, aryl, cycloalkyl, arylkyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, cycloalkyl, etc.; R6 = H, alkyl; Y = O, S, (un)substituted NH; t = 0, 1; x = 0-2], useful as HIV protease inhibitors for the treatment of AIDS, are prepd. Thus, sulfonimide I was prepd. and demonstrated IC50 against HIV protease of 3 nM.

IT 157566-76-2 157566-77-3 157566-78-4
157566-79-5 157566-80-8 157566-81-9
157566-82-0 157566-83-1 157566-84-2
157566-85-3 157566-86-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(HIV protease inhibitor)

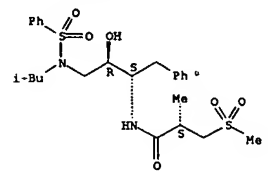
RN 157566-76-2 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



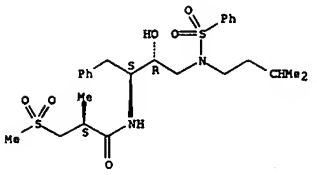
RN 157566-77-3 CAPLUS
CN Propanamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



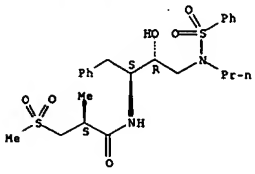
RN 157566-78-4 CAPLUS
CN Propanamide, N-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



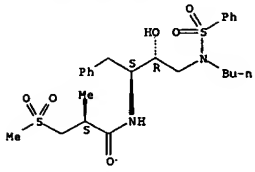
RN 157566-79-5 CAPLUS
CN Propanamide, N-[2-hydroxy-1-(phenylmethyl)-3-[(phenylsulfonyl)propylamino]propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

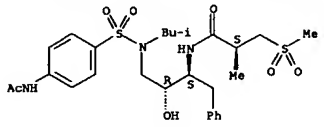


RN 157566-80-8 CAPLUS
CN Propanamide, N-[3-[butyl(phenylsulfonyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

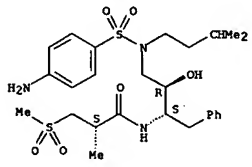


RN 157566-81-9 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-,



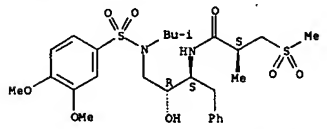
RN 157566-85-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157566-86-4 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[(3,4-dimethoxyphenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

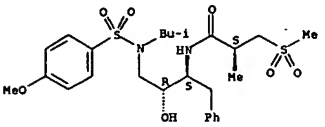


IT 157566-76-2P 157566-77-3P 157566-78-4P
157566-79-5P 157566-80-8P 157566-81-9P
157566-82-0P 157566-83-1P 157566-84-2P
157566-85-3P 157566-86-4P 157566-87-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as HIV protease inhibitor)

RN 157566-76-2 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-,

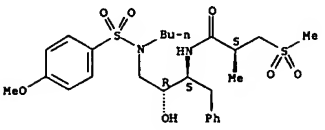
(2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



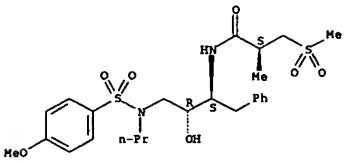
RN 157566-82-0 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[butyl[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157566-83-1 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl]propylamino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

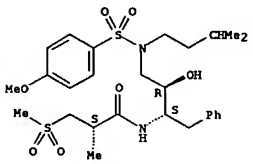
Absolute stereochemistry.



RN 157566-84-2 CAPLUS
CN Propanamide, N-[3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

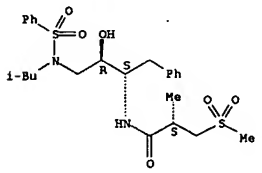
Absolute stereochemistry.

Absolute stereochemistry.



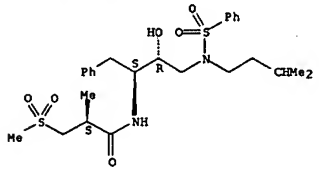
RN 157566-77-3 CAPLUS
CN Propanamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157566-78-4 CAPLUS
CN Propanamide, N-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

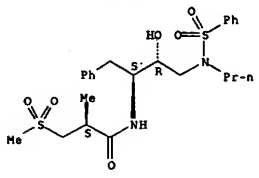
Absolute stereochemistry.



RN 157566-79-5 CAPLUS

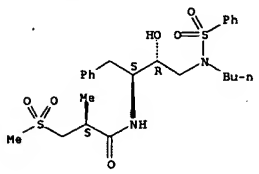
L12 ANSWER 115 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Propanamide, N-[2-hydroxy-1-(phenylmethyl)-3-[(phenylsulfonyl)propylamino]propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



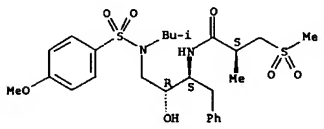
RN 157566-80-8 CAPLUS
CN Propanamide, N-[3-[butyl(phenylsulfonyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



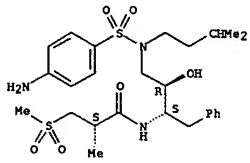
RN 157566-81-9 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



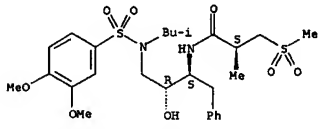
RN 157566-82-0 CAPLUS

L12 ANSWER 115 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.



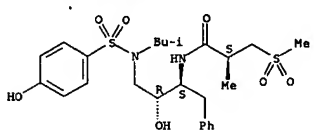
RN 157566-86-4 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[(3,4-dimethoxyphenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



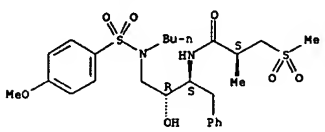
RN 157566-87-5 CAPLUS
CN Propanamide, N-[2-hydroxy-3-[[(4-hydroxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



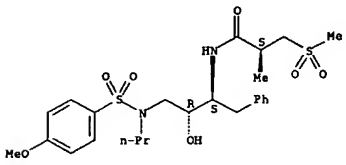
L12 ANSWER 115 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Propanamide, N-[(1S,2R)-3-[butyl[(4-methoxyphenyl)sulfonyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



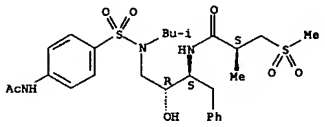
RN 157566-83-1 CAPLUS
CN Propanamide, N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl]propylamino]-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157566-84-2 CAPLUS
CN Propanamide, N-[3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157566-85-3 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[(4-aminophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, (2S)- (9CI) (CA INDEX NAME)

L12 ANSWER 116 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:701324 CAPLUS
DOCUMENT NUMBER: 121:301324
TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 198 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404492	A1	19940303	WO 1993-US7814	19930824
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 656887	A1	19950614	EP 1993-923714	19930824
EP 656887	B1	19981028		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08501288	T2	19960213	JP 1994-506530	19930824
JP 3657002	B2	20050608		
AU 680635	B2	19970807	AU 1994-53474	19930824
AU 9453474	A1	19940315		
EP 810209	A2	19971203	EP 1997-113434	19930824
EP 810209	A3	19981202		
EP 810209	B1	20020605		
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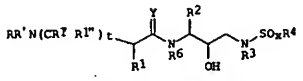
AT 172717	E	19981115	AT 1993-923714	19930824
ES 2123065	T3	19990101	ES 1993-923714	19930824
RU 2173680	C2	20010920	RU 1995-106624	19930824
AT 218541	E	20020615	AT 1997-113434	19930824
PT 810209	T	20020930	PT 1997-113434	19930824
ES 2177868	T3	20021216	ES 1997-113434	19930824
US 6060476	A	20000509	US 1994-204827	19940302
US 5968942	A	19991019	US 1994-294468	19940823
NO 9500533	A	19950213	NO 1995-533	19950213
FI 9500650	A	19950214	FI 1995-650	19950214
FI 112471	B1	20031215		
US 6455581	B1	20020924	US 1995-451090	19950525
US 6046190	A	20000404	US 1996-586866	19960124
NO 9803099	A	19950213	NO 1998-3099	19980703
NO 307047	B1	20000131		
US 6248775	B1	20010619	US 1999-288080	19990408
US 6500832	B1	20021231	US 2000-525161	20000314
US 2002052399	A1	20020502	US 2001-798255	20010305
US 6417387	B2	20020709		
FI 2001002317	A	20011127	FI 2001-2317	20011127
US 2003191319	A1	20031009	US 2002-157019	20020530
US 6646010	B2	20031111		
US 2004044047	A1	20040304	US 2002-199481	20020722
US 6846954	B2	20050125		
US 6924286	B1	20050802	US 2003-633376	20030804
US 2004229922	A1	20041118	US 2004-812343	20040330

PRIORITY APPLN. INFO.:
US 1992-934984 A2 19920825
EP 1993-923714 A3 19930824
US 1993-110911 A2 19930824
WO 1993-US7814 W 19930824
US 1994-204827 A2 19940302
US 1994-204872 B2 19940302
US 1994-294468 A1 19940823
WO 1994-US9139 W 19940823
US 1995-451090 A3 19950525
US 1999-288080 A1 19990408
US 2001-798255 A1 20010305
US 2002-157019 A1 20020530
US 2002-199481 A3 20020722

OTHER SOURCE(S): MARPAT 121:301324

GI



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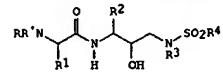

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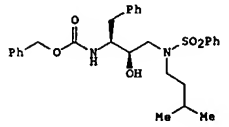


III

AB Title compds. [I and II; R = H, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, heterocyclylcarbonyl, heteroaryloxyalkyl, hydroxyalkyl, aryl, alkyl, alkanyl, alkynyl, substituted aminocarbonyl, etc.; R' = H, R3, R'SO2; RR'N = heterocyclyl, heteroaryl; R1 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, CMe2SH, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R1', R1'' = H, R1; 1 of R1', R1'' together with R1 form a cycloalkyl radical; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl, heteroaralkyl, (substituted) aminoalkyl, etc.; R4 = R3, except H; R6 = H, alkyl; x = 0-2; t = 0, 1; Y = O, S, imino], were prepared Thus, title compound (III, solution phase preparation given) inhibited HIV protease with IC50 = 16 nM.

IT **159005-68-2P 159005-69-3P 159005-70-6P 159005-89-7P 159005-90-0P 159005-91-1P 159005-92-2P 159005-93-3P 159005-94-4P 159005-95-5P 159006-07-2P 159006-21-0P**

159006-23-2P

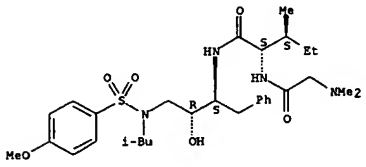
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of, as HIV protease inhibitor)

RN 159005-68-2 CAPLUS

CN L-Isoleucinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

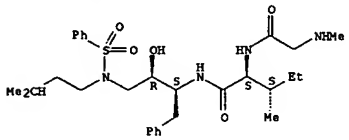
Absolute stereochemistry.



RN 159005-69-3 CAPLUS

CN L-Isoleucinamide, N-methylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

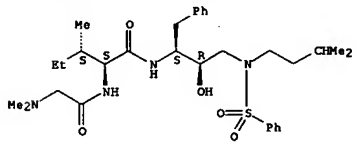
Absolute stereochemistry.



RN 159005-70-6 CAPLUS

CN L-Isoleucinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

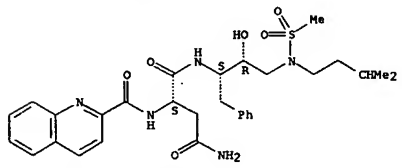
Absolute stereochemistry.



RN 159005-89-7 CAPLUS

CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

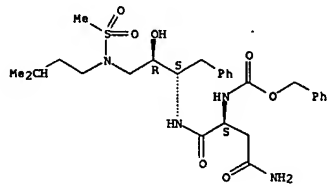
Absolute stereochemistry.



RN 159005-90-0 CAPLUS

CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(2-amino-2-oxoethyl)-5-hydroxy-3-(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

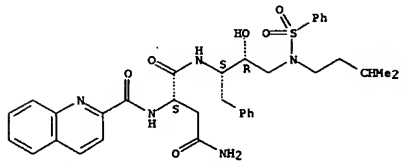
Absolute stereochemistry.



RN 159005-91-1 CAPLUS

CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

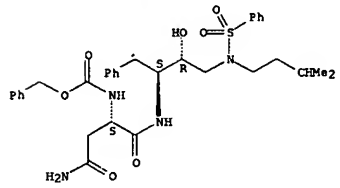
Absolute stereochemistry.



RN 159005-92-2 CAPLUS

CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

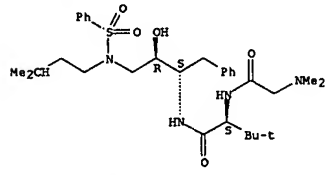
Absolute stereochemistry.



RN 159005-93-3 CAPLUS

CN L-Valinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

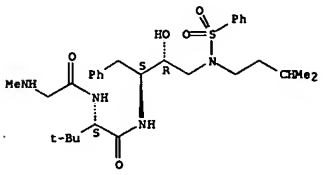


RN 159005-94-4 CAPLUS

CN L-Valinamide, N-methylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-

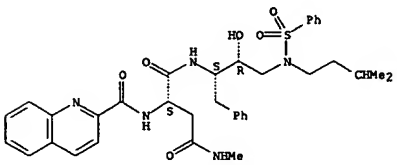
methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



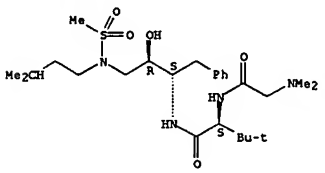
RN 159005-95-5 CAPLUS
CN Butanediamide, N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-N4-methyl-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (9CI) (CA INDEX NAME)

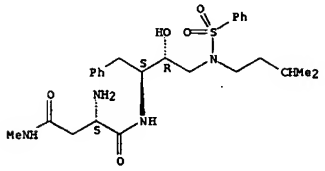
Absolute stereochemistry.



RN 159006-07-2 CAPLUS
CN L-Valinamide, N,N-dimethylglycyl-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



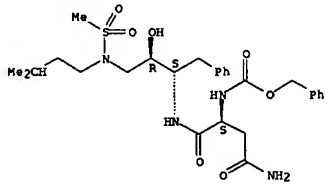


● HCl

IT **159005-90-0P 159005-92-2P 159006-05-0P**
159006-06-1P 159006-08-3P 159006-09-4P
159006-10-7P 159006-11-8P 159006-12-9P
159006-13-0P 159006-14-1P 159006-15-2P
159006-16-3P 159006-17-4P 159006-18-5P
159006-22-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for HIV protease inhibitor)

RN 159005-90-0 CAPLUS
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(2-amino-2-oxoethyl)-5-hydroxy-3-(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

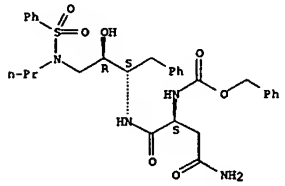


RN 159005-92-2 CAPLUS
CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

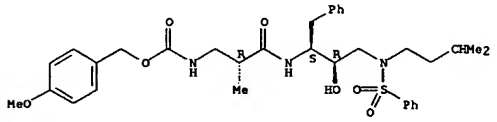
RN 159006-21-0 CAPLUS
CN Carbamic acid, [(1S)-3-amino-1-[[[(1S,2R)-2-hydroxy-1-(phenylmethyl)-3-[(phenylsulfonyl)propylamino]propyl]amino]carbonyl]-3-oxopropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-23-2 CAPLUS
CN Carbamic acid, [(2R)-3-[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2-methyl-3-oxopropyl]-, (4-methoxyphenyl)methyl ester (9CI) (CA INDEX NAME)

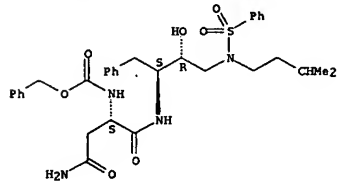
Absolute stereochemistry.



IT **159006-49-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as HIV protease inhibitor intermediate)

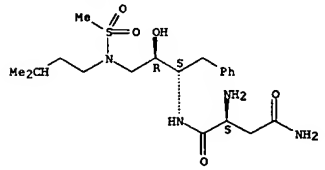
RN 159006-49-2 CAPLUS
CN Butanediamide, 2-amino-N1-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-N4-methyl-, monohydrochloride, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



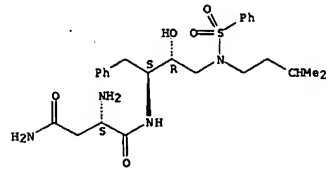
RN 159006-05-0 CAPLUS
CN Butanediamide, 2-amino-N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-06-1 CAPLUS
CN Butanediamide, 2-amino-N1-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-, (2S)- (9CI) (CA INDEX NAME)

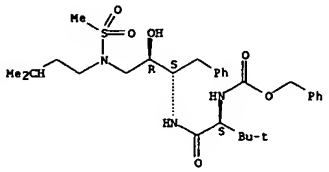
Absolute stereochemistry.



RN 159006-08-3 CAPLUS
CN 2-Thia-3,7,10-triazaundecan-11-oic acid, 9-(1,1-dimethylethyl)-5-hydroxy-3-

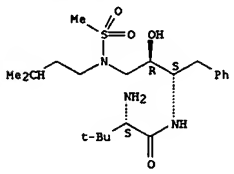
(3-methylbutyl)-8-oxo-6-(phenylmethyl)-, phenylmethyl ester, 2,2-dioxide, (5R,6S,9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



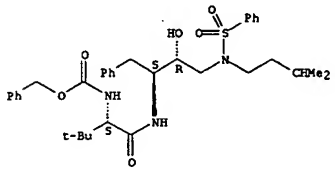
RN 159006-09-4 CAPLUS
CN Butanamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(methylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

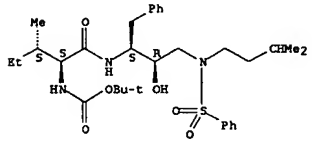
Absolute stereochemistry.



RN 159006-10-7 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2,2-dimethylpropyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

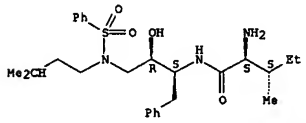
Absolute stereochemistry.





RN 159006-14-1 CAPLUS
CN Pentanamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, monohydrochloride, (2S,3S)- (9CI) (CA INDEX NAME)

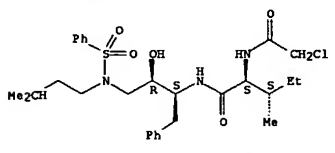
Absolute stereochemistry.



● HCl

RN 159006-15-2 CAPLUS
CN Pentanamide, 2-[(chloroacetyl)amino]-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

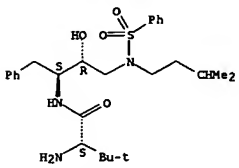


RN 159006-16-3 CAPLUS
CN Carbamic acid, [(1S,2S)-1-[[[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

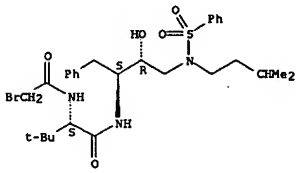
RN 159006-11-8 CAPLUS
CN Butanamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



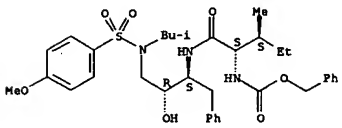
RN 159006-12-9 CAPLUS
CN Butanamide, 2-[(bromoacetyl)amino]-N-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-3,3-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



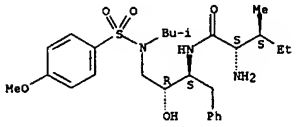
RN 159006-13-0 CAPLUS
CN Carbamic acid, [(1S,2S)-1-[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



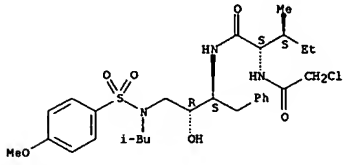
RN 159006-17-4 CAPLUS
CN Pentanamide, 2-amino-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, (2S,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 159006-18-5 CAPLUS
CN Pentanamide, 2-[(chloroacetyl)amino]-N-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-3-methyl-, (2S,3S)- (9CI) (CA INDEX NAME)

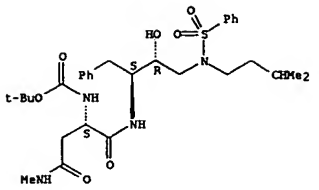
Absolute stereochemistry.



RN 159006-22-1 CAPLUS
CN Carbamic acid, [(1S)-1-[[[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]carbonyl]-3-(methylamino)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 116 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

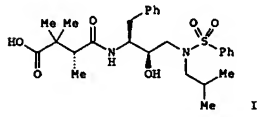


L12 ANSWER 117 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:579258 CAPLUS
DOCUMENT NUMBER: 121:179258
TITLE: N-(alkanoylamino-2-hydroxypropyl)sulfonamides useful as HIV protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9404491	A1	19940303	WO 1993-US7815	19930825
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 656886	A1	19950614	EP 1993-920213	19930824
EP 656886	B1	19970625		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08500824	T2	19960130	JP 1993-506531	19930824
AT 154800	E	19970715	AT 1993-920213	19930824
ES 2103488	T3	19970916	ES 1993-920213	19930824
AU 674702	B2	19970109	AU 1993-50819	19930825
AU 9350819	A1	19940315		
RU 2130016	C1	19990510	RU 1995-106823	19930825
NO 9500670	A	19950222	NO 1995-670	19950222
FI 950084	A	19950223	FI 1995-841	19950223
PRIORITY APPLN. INFO.:			US 1992-935490	A2 19920825
			WO 1993-US7815	W 19930825

OTHER SOURCE(S): MARPAT 121:179258
GI

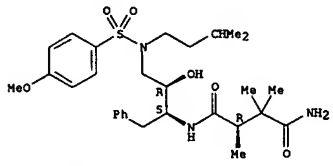


AB The title compds. R33(R34)X1C(:Y1)(CH2)tC(R31)(R32)C(R30)(R1)C(:Y)N(R6)C(R2)HC(OH)HCH2N(R3)S(O)xR4 [R1 = H, CH2SO2NH2, CO2Me, CONHMe, CONMe2, etc.; R2 = alkyl, aryl, cycloalkyl, (un)substituted cycloalkylalkyl and arylalkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, etc.; R4 = alkyl, haloalkyl alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl etc.; R6 = H, alkyl; R30-R32 = R1; R1R30R31 = cycloalkyl; R1R30R32C = cycloalkyl; R33, R34 = H, R3; R33R34X1 = cycloalkyl, aryl, heterocyclyl, etc.; X1 = O, N, CR17; R17 = H, alkyl; Y, Y1 = O, S, NR15; R15 = H, R3; t = 0, 1; x = 0-2], useful as HIV protease inhibitors for the treatment of AIDS, are prepd. Thus, sulfonamide I was prepd. and demonstrated IC50 against HIV protease of 1 nmol.

IT **157446-05-4 157446-06-5 157446-07-6**
157446-08-7 157446-09-8 157474-44-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(HIV protease inhibitor)

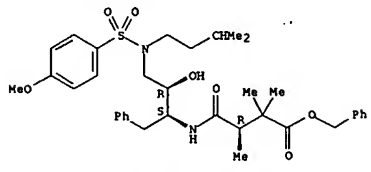
RN 157446-05-4 CAPLUS
CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157446-06-5 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

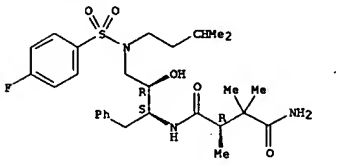
Absolute stereochemistry.



RN 157446-07-6 CAPLUS
CN Butanediamide, N4-[(1S,2R)-3-[[(4-fluorophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

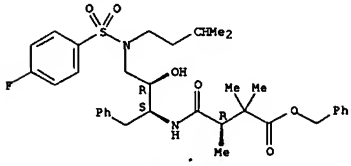
Absolute stereochemistry.

L12 ANSWER 117 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



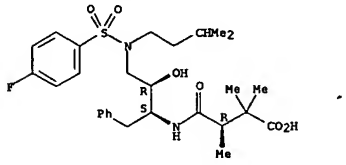
RN 157446-08-7 CAPLUS
CN Butanoic acid, 4-[[3-[[(4-fluorophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



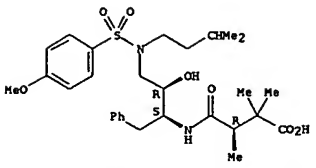
RN 157446-09-8 CAPLUS
CN Butanoic acid, 4-[[3-[[(4-fluorophenyl)sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157474-44-7 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](3-methylbutyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

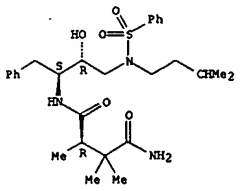
Absolute stereochemistry.



IT 157445-96-0P 157445-97-1P 157445-98-2P
157445-99-3P 157446-00-9P 157446-01-0P
157446-02-1P 157446-03-2P 157446-04-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as HIV protease inhibitor)

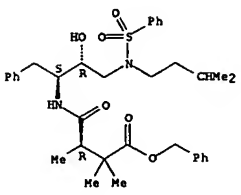
RN 157445-96-0 CAPLUS
CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



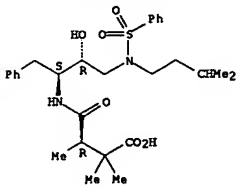
RN 157445-97-1 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



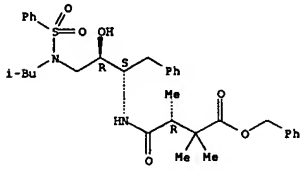
RN 157445-98-2 CAPLUS
CN Butanoic acid, 4-[[(1S,2R)-2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157445-99-3 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

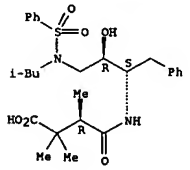
Absolute stereochemistry.



RN 157446-00-9 CAPLUS

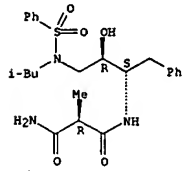
CN Butanoic acid, 4-[[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



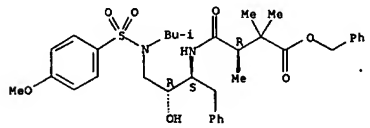
RN 157446-01-0 CAPLUS
CN Propanediamide, N-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2-methyl-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157446-02-1 CAPLUS
CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, phenylmethyl ester, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

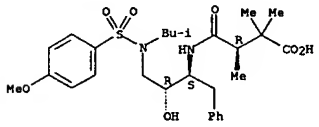
Absolute stereochemistry.



RN 157446-03-2 CAPLUS

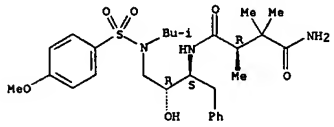
CN Butanoic acid, 4-[[2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 157446-04-3 CAPLUS
CN Butanediamide, N4-[(1S,2R)-2-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



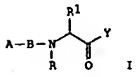
L12 ANSWER 118 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:551397 CAPLUS
DOCUMENT NUMBER: 117:151397
TITLE: Preparation of peptides as kininogenase inhibitors.
INVENTOR(S): Szelke, Michael; Evans, David Michael; Jones, David Michael
PATENT ASSIGNEE(S): Ferring Peptide Research Partnership KB, Swed.
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9204371	A1	19920319	WO 1991-GB1479	19910902
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MN, MW, NL, NO, PL, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9184387	A1	19920330	AU 1991-84387	19910902
HU 64084	A2	19931129	HU 1993-610	19910902
JP 06501461	T2	19940217	JP 1991-514802	19910902
EP 652893	A1	19950517	EP 1991-915557	19910902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ZA 9107096	A	19920429	ZA 1991-7096	19910906
NO 9300731	A	19930507	NO 1993-731	19930226

PRIORITY APPLN. INFO.: GB 1990-19558 A 19900907
WO 1991-GB1479 A 19910902

OTHER SOURCE(S): MARPAT 117:151397
GI



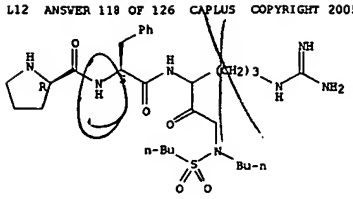
AB The title compds. [I; R = H, alkyl; R1 = basic amino acid side chain; A = terminal amino acyl, terminal imino acyl; B = D- or L- amino acid residue; Y = binding enhancing or carbonyl activating group preferably selected from H, alkyl, fluoroalkyl, etc.; with provisos], useful as kininogenase inhibitors (no data), are prepared BOC-Arg(Z)2-OH (Z = benzyloxycarbonyl) was condensed with ClCO2Bu-i, the product was deprotected and then condensed with BOC-Cha-ONSu (Cha = 3-cyclohexylphenylalanine residue), the product was deprotected and then reacted with Z(NMe)-D-Phe-OH, the product was treated with Dess Martin Periodinane, and the product was hydrogenated over Pd/C to give MeD-Phe-Cha-Arg-H.

IT 143115-37-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as kininogenase inhibitor)

RN 143115-37-1 CAPLUS
CN L-Phenylalaninamide, D-prolyl-N-[4-[(aminoiminomethyl)amino]-1-[[butyl(butylsulfonyl)amino]acetyl]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 118 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L12 ANSWER 119 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:449265 CAPLUS
DOCUMENT NUMBER: 117:49265
TITLE: Preparation of dipeptide renin inhibitors
INVENTOR(S): Toyoda, Tatsuo; Fujioka, Toshihiro; Hayashi, Kunio; Nakamura, Masuhisa; Hashimoto, Naofumi
PATENT ASSIGNEE(S): Shionogi and Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 117 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 468641	A2	19920129	EP 1991-305763	19910626
EP 468641	A3	19930113		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2045008	AA	19911229	CA 1991-2045008	19910619
US 5194608	A	19930316	US 1991-719492	19910624
AU 9179304	A1	19920102	AU 1991-79304	19910626
AU 643036	B2	19931104		
HU 58346	A2	19920228	HU 1991-2166	19910627
JP 05009162	A2	19930119	JP 1991-156764	19910627
JP 2997095	B2	20000111		
US 5223615	A	19930629	US 1992-974212	19921110
US 5272268	A	19931221	US 1992-974211	19921110
AU 9344890	A1	19931125	AU 1993-44890	19930826
AU 653682	B2	19941006		

PRIORITY APPLN. INFO.: JP 1990-172050 A 19900628
US 1991-719492 A3 19910624

OTHER SOURCE(S): MARPAT 117:49265
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

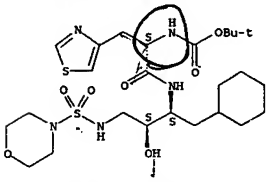
AB Title compds. [I; R1 = (substituted) (cyclo)alkyl, alkenyl, alkynyl, heterocyclyl; R2 = (substituted) carbamoyl, aryl, heterocyclyl, alkyl, alkylthiomethyl, alkylthio; R3 = (substituted) aryl, 5- to 6-membered heterocyclyl; R4 = R5SO2, R5CO; R5 = (substituted) aryl, (cyclo)alkyl, alkenyl, alkynyl, heterocyclyl; X = CH2, NH, O, S; Y = CO, NHSO2], were prepared Thus, N-(tert-butoxycarbonyl)cyclohexylalaninal was condensed with 4-acetylpyridine using NaN(SiMe3)2 and 15-crown-5 in THF to give a mixture of aldol condensation epimers, which was treated with H2C:C(Me)OMe and p-MeC6H4SO3H to give oxazolidine II (BOC = Me3CO2C). This was successively reduced with NaBH4, deketalized with HCl or CF3CO2H, coupled with BOC-His(Tos)-OH (Tos = tosyl), and oxidized with MnO2 to give intermediate III. III was N-deprotected with CF3CO2H, acylated with 3-tert-butylsulfonyl-2S-phenylpropionic acid, and N'-deprotected with pyridinium hydrochloride to give title compound IV. I at 15 mg/kg orally in monkeys pretreated with furosemide gave 33-99% inhibition of renin. Several I at 1-100 mg/kg orally or i.v. effectively reduced blood pressure in monkeys.

IT 141597-65-1P 141597-66-2P 141597-67-3P
141597-68-4P 141597-69-5P 141597-70-8P
141597-71-9P 141597-72-0P 141597-73-1P
141597-74-2P 141597-75-3P 141597-76-4P

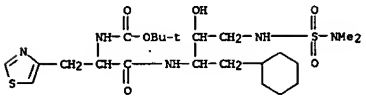
L12 ANSWER 119 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for peptide renin inhibitor)

RN 141597-65-1 CAPLUS
CN Carbamic acid, [2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(4-morpholinylsulfonyl)amino]propyl]amino]-2-oxo-1-(4-thiazolylmethyl)ethyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

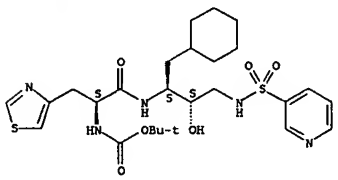


RN 141597-66-2 CAPLUS
CN 3-Thia-2,4,8,11-tetraazadodecan-12-oic acid, 7-(cyclohexylmethyl)-6-hydroxy-2-methyl-9-oxo-10-(4-thiazolylmethyl)-, 1,1-dimethylethyl ester, 3,3-dioxide, [6S-(6R*,7R*,10R*)]- (9CI) (CA INDEX NAME)



RN 141597-67-3 CAPLUS
CN Carbamic acid, [2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(3-pyridinylsulfonyl)amino]propyl]amino]-2-oxo-1-(4-thiazolylmethyl)ethyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

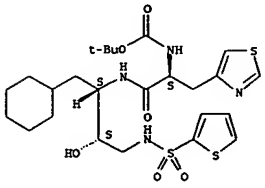
Absolute stereochemistry.



RN 141597-68-4 CAPLUS
CN Carbamic acid, [2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(2-

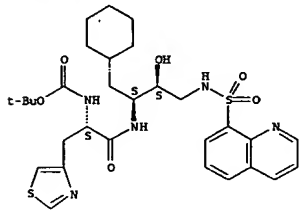
thienylsulfonyl)amino]propyl]amino]-2-oxo-1-(4-thiazolylmethyl)ethyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



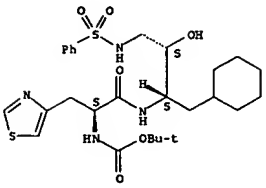
RN 141597-69-5 CAPLUS
CN Carbamic acid, [2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(8-quinolinylsulfonyl)amino]propyl]amino]-2-oxo-1-(4-thiazolylmethyl)ethyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



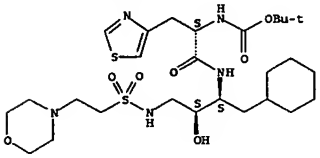
RN 141597-70-8 CAPLUS
CN Carbamic acid, [2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(phenylsulfonyl)amino]propyl]amino]-2-oxo-1-(4-thiazolylmethyl)ethyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



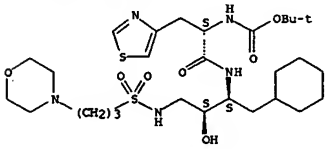
RN 141597-71-9 CAPLUS
CN 10-Thia-2,5,9-triazadodecanoic acid, 6-(cyclohexylmethyl)-7-hydroxy-12-(4-morpholinyl)-4-oxo-3-(4-thiazolylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



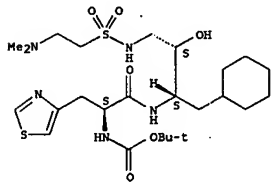
RN 141597-72-0 CAPLUS
CN 10-Thia-2,5,9-triazatridecanoic acid, 6-(cyclohexylmethyl)-7-hydroxy-13-(4-morpholinyl)-4-oxo-3-(4-thiazolylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



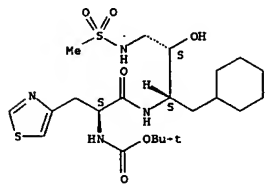
RN 141597-73-1 CAPLUS
CN 10-Thia-2,5,9,13-tetraazatetradecanoic acid, 6-(cyclohexylmethyl)-7-hydroxy-13-methyl-4-oxo-3-(4-thiazolylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



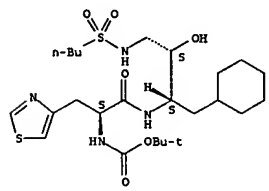
RN 141597-74-2 CAPLUS
CN 10-Thia-2,5,9-triazaundecanoic acid, 6-(cyclohexylmethyl)-7-hydroxy-4-oxo-3-(4-thiazolylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



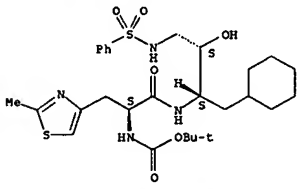
RN 141597-75-3 CAPLUS
CN 10-Thia-2,5,9-triazatetradecanoic acid, 6-(cyclohexylmethyl)-7-hydroxy-4-oxo-3-(4-thiazolylmethyl)-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



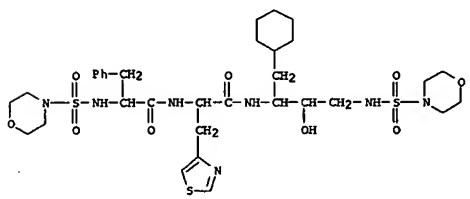
RN 141597-76-4 CAPLUS
CN Carbamic acid, [2-[[1-(cyclohexylmethyl)-2-hydroxy-3-[(phenylsulfonyl)amino]propyl]amino]-1-[(2-methyl-4-thiazolyl)methyl]-2-oxoethyl]-, 1,1-dimethylethyl ester, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **141596-68-1P 141596-69-2P 141596-70-5P**
141596-71-6P 141596-72-7P 141596-73-8P
141596-74-9P 141596-75-0P 141596-76-1P
141596-77-2P 141596-78-3P 141596-79-4P
141596-80-7P 141596-81-8P 141596-82-9P
141596-83-0P 141596-84-1P 141625-04-9P
142003-00-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)

RN 141596-68-1 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(4-morpholinylsulfonyl)amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



RN 141596-69-2 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-3-(1-naphthalenyl)-L-alanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(4-morpholinylsulfonyl)amino]propyl]-3-(4-

thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

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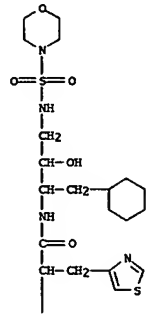
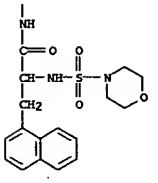
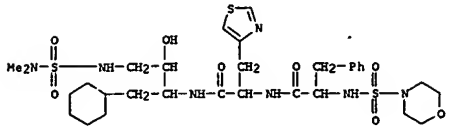


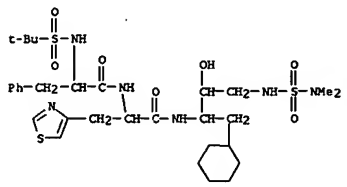
PAGE 2-A



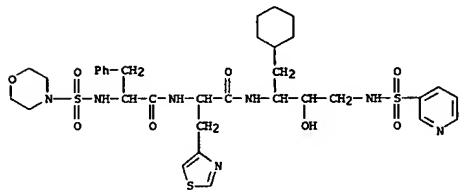
RN 141596-70-5 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxypropyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



RN 141596-71-6 CAPLUS
CN L-Alaninamide, N-[(1,1-dimethylethyl)sulfonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxypropyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

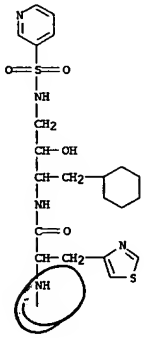


RN 141596-72-7 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(3-pyridinylsulfonyl)amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

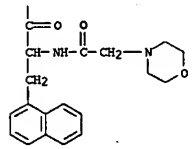


RN 141596-73-8 CAPLUS
CN L-Alaninamide, N-(4-morpholinylacetyl)-3-(1-naphthalenyl)-L-alanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(3-pyridinylsulfonyl)amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

PAGE 1-A

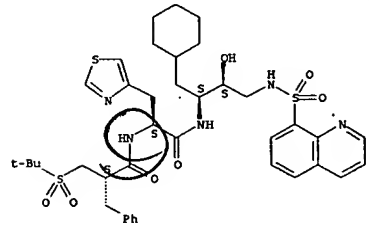


PAGE 2-A



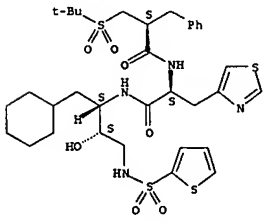
RN 141596-74-9 CAPLUS
CN 4-Thiazolepropanamide, N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(8-quinolinylsulfonyl)amino]propyl]-α-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



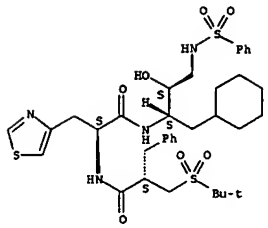
RN 141596-75-0 CAPLUS
CN 4-Thiazolepropanamide, N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(2-thienylsulfonyl)amino]propyl]-α-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



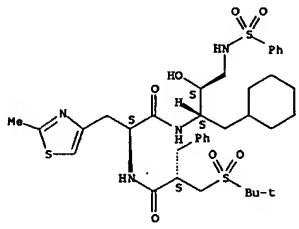
RN 141596-76-1 CAPLUS
CN 4-Thiazolepropanamide, N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(phenylsulfonyl)amino]propyl]-α-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



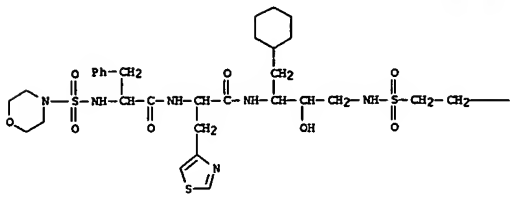
RN 141596-77-2 CAPLUS
CN 4-Thiazolepropanamide, N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(phenylsulfonyl)amino]propyl]-α-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-2-methyl-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141596-78-3 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[2-(4-morpholinyl)ethyl]sulfonyl]amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

RN 141596-79-4 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[3-(4-morpholinyl)propyl]sulfonyl]amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

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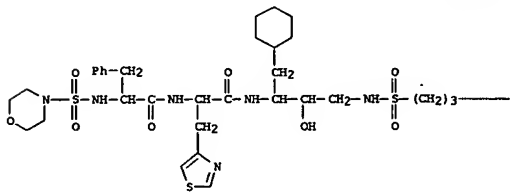
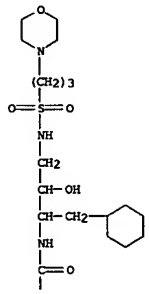


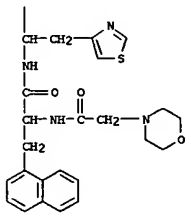
PAGE 1-B

RN 141596-80-7 CAPLUS
CN L-Alaninamide, N-(4-morpholinylacetyl)-3-(1-naphthalenyl)-L-alanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[3-(4-morpholinyl)propyl]sulfonyl]amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

PAGE 1-A

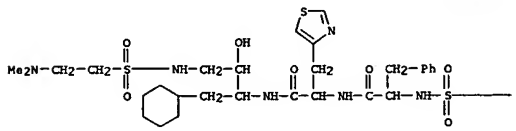


PAGE 2-A



RN 141596-81-8 CAPLUS
CN L-Alaninamide, N-(4-morpholinylsulfonyl)-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3-[[[2-(dimethylamino)ethyl]sulfonyl]amino]-2-hydroxypropyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

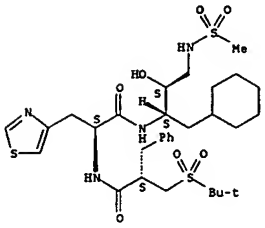
PAGE 1-A



PAGE 1-B

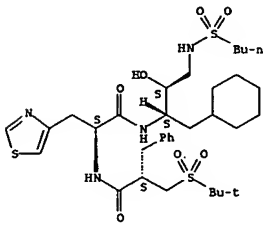
RN 141596-82-9 CAPLUS
CN 4-Thiazolepropanamide, N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(methylsulfonyl)amino]propyl]-α-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



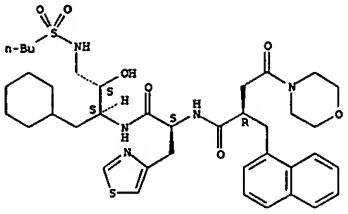
RN 141596-83-0 CAPLUS
CN 4-Thiazolepropanamide, N-[3-[(butylsulfonyl)amino]-1-(cyclohexylmethyl)-2-hydroxypropyl]-α-[[2-[[(1,1-dimethylethyl)sulfonyl]methyl]-1-oxo-3-phenylpropyl]amino]-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141596-84-1 CAPLUS
CN 4-Morpholinebutanamide, N-[2-[[3-[(butylsulfonyl)amino]-1-(cyclohexylmethyl)-2-hydroxypropyl]amino]-2-oxo-1-(4-thiazolylmethyl)ethyl]-α-(1-naphthalenylmethyl)-γ-oxo-, [1S-[1R*[R*(S*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 141625-04-9 CAPLUS
CN L-Alaninamide, N-(4-morpholinylacetyl)-3-(1-naphthalenyl)-L-alanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[2-(4-morpholinyl)ethyl]sulfonyl]amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

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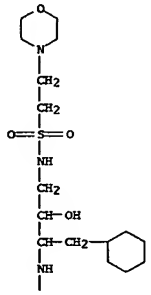
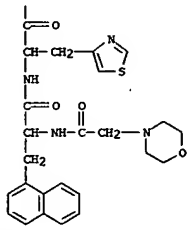
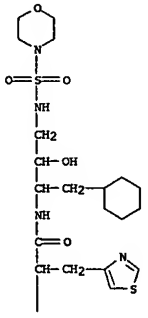


PAGE 2-A

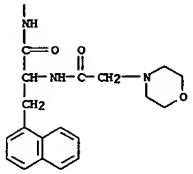


RN 142003-00-7 CAPLUS
CN L-Alaninamide, N-(4-morpholinylacetyl)-3-(1-naphthalenyl)-L-alanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[(4-morpholinylsulfonyl)amino]propyl]-3-(4-thiazolyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L12 ANSWER 120 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

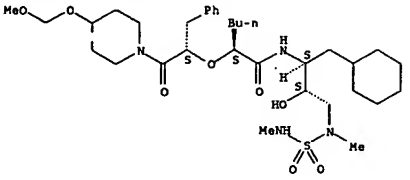
ACCESSION NUMBER: 1991:632882 CAPLUS
DOCUMENT NUMBER: 115:232882
TITLE: Preparation of peptide analogs as renin inhibitors for treatment of hypertension and heart failure
INVENTOR(S): Fung, Anthony K. L.; Plattner, Jacob J.; Baker, William R.; Armiger, Yoek Lin; Rosenberg, Saul H.; De, Biswanath; Mantei, Robert A.; Boyd, Steven A.; Kempf, Dale J.; et al.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: Eur. Pat. Appl., 145 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 364804	A1	19900425	EP 1989-118270	19891003
R: ES, GR				
IL 91780	A1	19950831	IL 1989-91780	19890926
CA 1337909	A1	19960109	CA 1989-615201	19890929
WO 9003971	A1	19900419	WO 1989-US4385	19891003
W: AU, DK, JP, KR, US				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8944163	A1	19900501	AU 1989-44163	19891003
AU 639212	B2	19930722		
EP 437508	A1	19910724	EP 1989-911665	19891003
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 04505608	T2	19921001	JP 1989-510915	19891003
DK 9100599	A	19910404	DK 1991-599	19910404
US 5268374	A	19931207	US 1991-700185	19910522
PRIORITY APPLN. INFO.:			US 1988-253282 A	19881004
			US 1989-393721 A	19890814
			WO 1989-US4385 A	19891003

OTHER SOURCE(S): MARPAT 115:232882
GI For diagram(s), see printed CA Issue.
AB ACHR1XCHR3COT [A = R5CO(CH2)w, R5 = HO, alkoxy, thioalkoxy, (substituted) amino, alkyolsulfonyl, arylsulfonyl, heterocyclosulfonyl, heterocyclyl, etc.; w = 0-4; R1 = H, alkyl, alkenyl, cycloalkylalkyl, aryloxyalkyl, etc.; R3 = alkyl, haloalkyl, alkenyl, alkoxyalkyl, etc.; X = CH2, CHOH, CO, NH, O, S, etc.; T = a mimic of the Leu-Val cleavage site of angiotensinogen] a salt, ester, or prodrug thereof, were prepared 3-(4-Morpholinyl)propyl-2(S)-[3-tert-butoxycarbonyl)-2,2-dimethyl-4($)-(cyanohexylmethyl)-5(S)-oxazolidinyl)methyl]-3-methylbutanamide (preparation given) was deprotected to give the alc. which was coupled with 2(S)-[1(S)-4-(methoxymethoxy)piperidin-1-ylcarbonyl]-2-phenylethoxy]hexanoic acid (preparation given), 1-hydroxybenzotriazole, and N-methymorpholine, to give hexanamide I. In 2 salt depleted monkeys following oral dosing, I at 3 mg/kg decreased blood pressure and plasma renin activity from 114 to 99 mm Hg and from 23.9 to 0.2 ng/mL/h, resp.
IT 130316-99-3P 130317-09-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as nonpeptide renin inhibitor)
RN 130316-99-3 CAPLUS
CN Hexanamide, N-[1-(cyclohexylmethyl)-2-hydroxy-3-[methyl[(methylamino)sulfonyl]amino]propyl]-2-[2-[4-(methoxymethoxy)-1-piperidinyl]-2-oxo-1-(phenylmethyl)ethoxy]-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

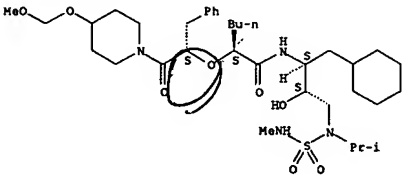
L12 ANSWER 120 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



RN 130317-09-8 CAPLUS
CN Hexanamide, N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[(methylamino)sulfonyl](1-methylethyl)amino]propyl]-2-[2-[4-(methoxymethoxy)-1-piperidinyl]-2-oxo-1-(phenylmethyl)ethoxy]-, [1S-[1R*[R*(R*)],2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



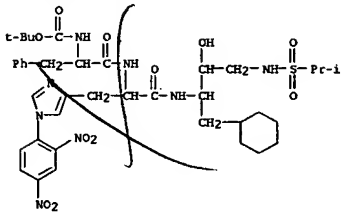
L12 ANSWER 121 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:193405 CAPLUS
DOCUMENT NUMBER: 110:193405
TITLE: Preparation of amino acid amidohydroxyalkylamides and pharmaceuticals containing them for the treatment of hypertension and hyperaldosteronism
INVENTOR(S): Raddatz, Peter; Schmitges, Claus J.; Minck, Klaus Otto
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

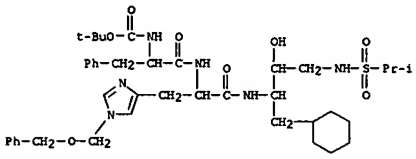
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3635907	A1	19880428	DE 1986-3635907	19861022
EP 264795	A2	19880427	EP 1987-114975	19871013
EP 264795	A3	19900328		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE				
AU 8779823	A1	19880428	AU 1987-79823	19871015
HU 47596	A2	19880328	HU 1987-4728	19871021
HU 199875	B	19900328		
JP 63112548	A2	19880517	JP 1987-265548	19871022
ZA 8707950	A	19880629	ZA 1987-7950	19871022
PRIORITY APPLN. INFO.:			DE 1986-3635907 A	19861022

OTHER SOURCE(S): CASREACT 110:193405; MARPAT 110:193405
AB Pharmaceuticals contain hydroxy amino acid derivs. XZNR2CHR3CHOH(CH2)nNR4EY [I; X = H, R1OCmH2mCO, R1CmH2mO2C, R1CmH2mCO, R1SO2, etc.; Z = 1-4 amino acid residues; E = CONH, CSNH, CO2, SO2, SO2NH, etc.; Y = R5, CO2R6, CONR7R8, etc.; EY = pyrrolidinocarbonyl, piperidinocarbonyl, morpholinocarbonyl, pyrrolidinosulfonyl, etc.; R1, R3, R6, R7, R8 = H, alkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, cycloalkyl, bicycloalkyl, etc.; R2, R4 = H, alkyl; R5 = H, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl; m = 0-5; n = 1, 2. I are used for the treatment of renin-dependent hypertension and hyperaldosteronism (no data). 1-Bromo-3S-BOC-amino-4-cyclohexylbutan-2-one was treated with NaN3 in DMF at 0° to give 1-azido-3S-BOC-amino-4-cyclohexylbutan-2-one; the latter was reduced with NaBH4 and the resulting epimers were resolved by chromatog. to give 1-azido-3S-BOC-amino-4-cyclohexylbutan-2S-ol and this was hydrogenated to give 1-amino-3S-BOC-amino-4-cyclohexylbutan-2S-ol. The latter was treated with isopentyl isocyanate, the BOC group was removed with 4N HCl in dioxane, the product was treated with BOC-(imi-DNP-His)OH to give N-isopentyl-N'-[2S-hydroxy-3S-[BOC-(imi-DNP-His)amino]-4-cyclohexylbutyl]urea. This was deprotected and solvolyzed to give N-isopentyl-N'-[2S-hydroxy-3S-(BOC-Phe-His)amino-4-cyclohexylbutyl]urea (I). A solution containing 100 g I and 5 g Na2HPO4 in 3 L H2O at pH 6.5 was filled into ampules containing 500 mg I each.
IT 120195-54-2P 120195-83-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and partial deprotection of)
RN 120195-54-2 CAPLUS
CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[(1-methylethyl)sulfonyl]amino]propyl]-1-(2,4-dinitrophenyl)-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

L12 ANSWER 121 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



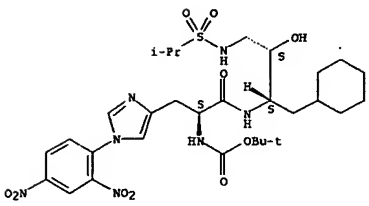
RN 120195-83-7 CAPLUS
CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[(1-methylethyl)sulfonyl]amino]propyl]-1-[(phenylmethoxy)methyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



IT 120195-53-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for amino acid (amidohydroxyalkyl)amide antihypertensives)
RN 120195-53-1 CAPLUS
CN 10-Thia-2,5,9-triazadodecanoic acid, 6-(cyclohexylmethyl)-3-[[1-(2,4-dinitrophenyl)-1H-imidazol-4-yl]methyl]-7-hydroxy-11-methyl-4-oxo-, 1,1-dimethylethyl ester, 10,10-dioxide, [3S-(3R*,6R*,7R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

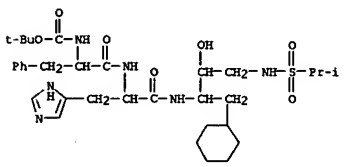
L12 ANSWER 121 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT **120195-52-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of hypertension and hyperaldosteronism)

RN 120195-52-0 CAPLUS

CN L-Histidinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[(1-methylethyl)sulfonyl]amino]propyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

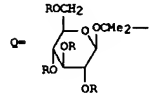


L12 ANSWER 122 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:173760 CAPLUS
DOCUMENT NUMBER: 110:173760
TITLE: Preparation of renin-inhibiting peptides
INVENTOR(S): Hagenbach, Alexander; Metternich, Rainer; Pfenniger, Emil; Weidmann, Beat
PATENT ASSIGNEE(S): Sandoz A.-G., Switz.
SOURCE: Brit. UK Pat. Appl., 88 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2200115	A1	19880727	GB 1988-1040	19880118
GB 2200115	B2	19901114		
NL 8800100	A	19880816	NL 1988-100	19880118
CH 676988	A	19910328	CH 1988-157	19880118
DK 8800225	A	19880722	DK 1988-225	19880119
FR 2609716	A1	19880722	FR 1988-636	19880119
AU 8810375	A1	19880901	AU 1988-10375	19880119
BE 1002212	A5	19901016	BE 1988-67	19880119
SE 8800166	A	19880722	SE 1988-169	19880120
JP 01019053	A2	19890123	JP 1988-10571	19880120
ZA 8800415	A	19890927	ZA 1988-415	19880121
PRIORITY APPLN. INFO.:			DE 1987-3701526 A	19870121
			DE 1987-3707339 A	19870307

OTHER SOURCE(S): MARPAT 110:173760
GI



AB The title peptides A-B-C-NR1CHR2CHR3CH2-D-Y-NR4R5 [I; A = R6CO, R7CONHC(:CR8R9)CO; R6 = (un)branched, (un)substituted C1-10 alkyl, C3-7 cycloalkyl, C3-10 cycloalkyl(C1-5 alkyl), C6-10 aryl, 5- or 6-membered heteroaryl(C1-5 alkyl) containing 1 or 2 N, O, or S, or 1 N and 1 O and/or S in the heteroaryl moiety, (un)branched C1-5 alkoxy, C6-10 aryl-C1-5 alkoxy, Q, R10O(CH2CH2O)n(CH2)m; R = H, Ac; R10 = (un)branched C1-5 alkyl; n = 1-20; m = 1-5; R7 = (un)branched C1-5 alkyl, C6-10 aryl; R8, R9 = H, R7; R1 = H, (un)branched C1-5 alkyl; B, C = bond, NR1CHR11CO, excluding B = C = bond; R11 = hydrophilic or lipophilic amino acid side chain; D = O, NR1, CHR1; R2 = (un)branched C1-10 alkyl, (un)substituted C3-10 cycloalkyl(C1-5 alkyl), heteroaryl(C1-5 alkyl) defined as above, R15S(O)s(CH2)p; R15 = H, C1-4 alkyl, CH2Ph; s = 0, 1; p = 1, 2; R3 = H, OH, NH2, O2CR2; R4, R5 = H, (un)branched C1-5 alkyl, C6-10 aryl(C1-5 alkyl), heteroaryl(C1-5 alkyl) defined as above, CHR12COR13; R12 = (un)branched C1-5 (hydroxy)alkyl; R13 = OH, NH2 (un)branched C1-5 alkoxy, (un)branched C1-5 alkylamino, CH2Ph, NR4R5, 1-pyrrolidinyl, 1-piperidinyl, morpholino, (N-substituted)-1-piperazinyl, etc.; Y = SO2, CO, PNR4R5], useful as renin inhibitors (no data), were prepared A solution of 4 g

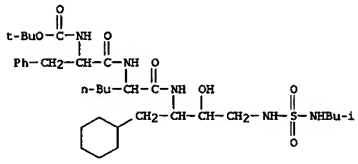
L12 ANSWER 122 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

MeSO2NMe2 in 50 mL THF was mixed at 0-5° with 20 mL 1.6M BuLi in hexane. After 0.5 h, 3.7 g N-tert-butoxycarbonylcyclohexylalaninal was added at once and was allowed to react 0.5 h to give (2R,3S)-3-N-(tert-butoxycarbonylamino)-4-cyclohexyl-2-hydroxy-N,N-dimethyl-1-butanesulfonamide as the main product and the (2R,3R)-isomer as a byproduct.

IT **118546-36-4P 118551-01-2P 118551-04-5P**
118627-62-6P 120019-57-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as renin inhibitor)

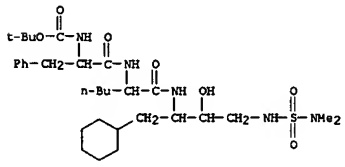
RN 118546-36-4 CAPLUS

CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[(2-methylpropyl)amino]sulfonyl]amino]propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)



RN 118551-01-2 CAPLUS

CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxypropyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

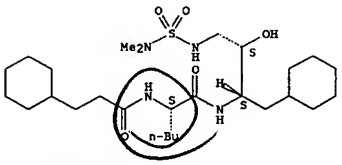


RN 118551-04-5 CAPLUS

CN Cyclohexanepropanamide, N-[1-[[[1-(cyclohexylmethyl)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxypropyl]amino]carbonyl]pentyl]-, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

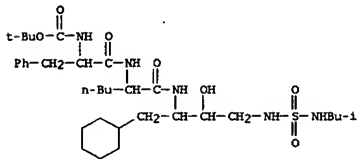
Absolute stereochemistry.

L12 ANSWER 122 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



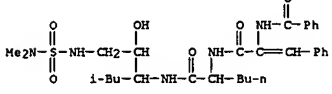
RN 118627-62-6 CAPLUS

CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[(2-methylpropyl)amino]sulfonyl]amino]propyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



RN 120019-57-0 CAPLUS

CN L-Norleucinamide, N-benzoyl-α,β-didehydrophenylalanyl-N-[1-[2-[[(dimethylamino)sulfonyl]amino]-1-hydroxyethyl]-3-methylbutyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

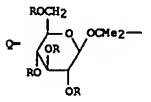


L12 ANSWER 123 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:135732 CAPLUS
DOCUMENT NUMBER: 110:135732
TITLE: Preparation and testing of peptide amides as renin inhibitors
INVENTOR(S): Hagenbach, Alexander; Metternich, Rainer; Pfenninger, Emil; Weidmann, Beat
PATENT ASSIGNEE(S): Sandoz-Patent-G.m.b.H., Fed. Rep. Ger.
SOURCE: Ger. Offen., 26 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3800591	A1	19880804	DE 1988-3800591	19880112
NL 8800100	A	19880816	NL 1988-100	19880118
CH 676988	A	19910328	CH 1988-157	19880118
DK 8800225	A	19880722	DK 1988-225	19880119
FR 2609716	A1	19880722	FR 1988-636	19880119
AU 8810375	A1	19880901	AU 1988-10375	19880119
BE 1002212	A5	19901016	BE 1988-67	19880119
SE 8800169	A	19880722	SE 1988-169	19880120
JP 01019053	A2	19890123	JP 1988-10571	19880120
ZA 8800415	A	19890927	ZA 1988-415	19880121
PRIORITY APPLN. INFO.:			DE 1987-3701526	A1 19870121
			DE 1987-3707339	A1 19870307

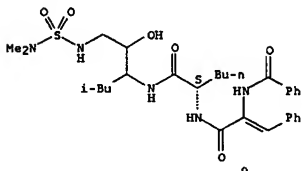
OTHER SOURCE(S): CASREACT 110:135732; MARPAT 110:135732
GI



AB A-B-C-NR1CHR2CHR3CH2DYNR4R5 [I; A = R6CO, R7CONHC(:CR8R9)CO, sugar moiety Q; B, C = bond, NR1CHR10CO; D = bond, O, NR1, CHR1; Y = SO2, CO, P(:O)NR4R5; R = H, Ac; R1 = H, C1-5 alkyl; R2 = C1-10 alkyl, (substituted) cycloalkylalkyl, aralkyl, heteroarylalkyl, etc.; R3 = H, OH, amino, alkoxycarbonyl, etc.; R4, R5 = H, C1-5 alkyl, aralkyl, heteroarylalkyl, etc.; R4R5N = morpholino, piperazino, piperidino, pyrrolidino; R6 = (substituted) C1-10 alkyl, cycloalkyl, cycloalkylalkyl, aryl, heteroaryl, etc.; R7 = C1-5 alkyl, C6-10 aryl, R8, R9 = H, R7; R10 = hydrophilic or lipophilic amino acid side chain], useful as cardiovascular agents, were prepared MeSO2NMe2 in THF at 0-5° was treated with BuLi and after 0.5 h BOC-cyclohexylalaninal (BOC = Me3CO2C) was added. The mixture was stirred 0.5 h to give (2R,3S)-3-(BOC-amino)-N,N-dimethyl-4-cyclohexyl-2-hydroxy-1-butanesulfonamide. I inhibit human plasma renin with IC50 of 10-5 to 10-11 M.

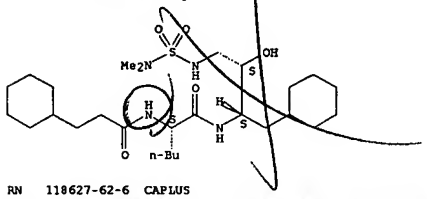
IT **118546-36-4P 118551-01-2P 118551-02-3P**
118551-04-5P 118627-62-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

L12 ANSWER 123 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

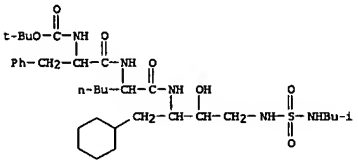


RN 118551-04-5 CAPLUS
CN Cyclohexanepropanamide, N-[1-[[[1-(cyclohexylmethyl)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxypropyl]amino]carbonyl]pentyl]-, [1S-[1R*(R*),2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

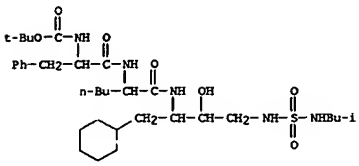


RN 118627-62-6 CAPLUS
CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[(2-methylpropyl)amino]sulfonyl]amino]propyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

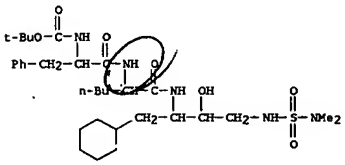


L12 ANSWER 123 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(prepn. of, as renin inhibitor)

RN 118546-36-4 CAPLUS
CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-2-hydroxy-3-[[[(2-methylpropyl)amino]sulfonyl]amino]propyl]-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)



RN 118551-01-2 CAPLUS
CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[1-(cyclohexylmethyl)-3-[[(dimethylamino)sulfonyl]amino]-2-hydroxypropyl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)



RN 118551-02-3 CAPLUS
CN L-Norleucinamide, N-benzoyl-α,β-didehydrophenylalanyl-N-[1-[2-[[(dimethylamino)sulfonyl]amino]-1-hydroxyethyl]-3-methylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

L12 ANSWER 124 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1987:5439 CAPLUS
DOCUMENT NUMBER: 106:5439
TITLE: Amino acid esters and amides
INVENTOR(S): Ryono, Denis Evan; Petrillo, Edward William, Jr.
PATENT ASSIGNEE(S): E. R. Squibb and Sons, Inc., USA
SOURCE: Ger. Offen., 53 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3542567	A1	19860605	DE 1985-3542567	19851202
US 4629724	A	19861216	US 1984-677714	19841203
GB 2167759	A1	19860604	GB 1985-29058	19851126
GB 2167759	B2	19880921		
CA 1269497	A1	19900522	CA 1985-496343	19851127
FR 2574080	A1	19860606	FR 1985-17860	19851203
FR 2574080	B1	19900330		
JP 61137897	A2	19860625	JP 1985-273162	19851203
JP 07020990	B4	19950308		
PRIORITY APPLN. INFO.:			US 1984-677714 A	19841203

OTHER SOURCE(S): CASREACT 106:5439

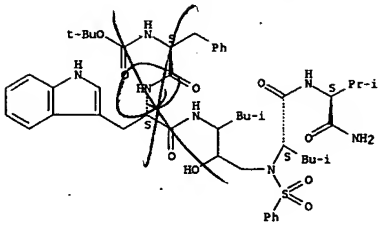
AB R6CONHCHR2ZCH2NR1CHR3CONR5CHRCOR4 [Z = CH(OH), CO; R, R2, R3 = H, (un)substituted alkyl, etc.; R1 = H, alkyl, aralkyl, cycloalkyl, etc.; R4 = alkoxy, aralkoxy, heterocyclylalkoxy, (un)substituted amino, etc.; R5 = H, alkyl, aralkyl, cycloalkyl, etc.; R6 = alkyl, aralkyl, heterocyclylalkyl, (un)substituted aminomethyl], useful as antihypertensives (no data), were prepared E.g., Q-L-Leu-L-Val-OMe.HCl [Q = (2R,3S)-3-(L-histidylamino)-2-hydroxy-5-methylhexyl] (I) was prepared, by solution methods, in many steps. One thousand tablets (420 mg each) were prepared containing I 250, cornstarch 100, gelatin 20, Avicel 50, and Mg stearate 5 mg.

IT **105577-98-8P 105577-99-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as antihypertensive)

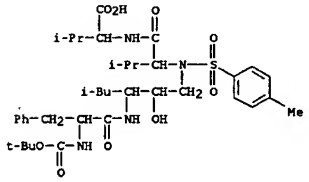
RN 105577-98-8 CAPLUS
CN L-Valinamide, N-[3-[[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-tryptophyl]amino]-2-hydroxy-5-methylhexyl]-N-(phenylsulfonyl)-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 124 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



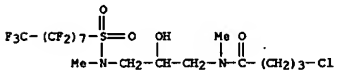
RN 105577-99-9 CAPLUS
CN L-Valine, N-[N-[3-[[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]amino]-2-hydroxy-5-methylhexyl]-N-[(4-methylphenyl)sulfonyl]-L-valyl]- (9CI) (CA INDEX NAME)



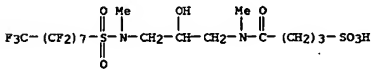
L12 ANSWER 125 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1984:440224 CAPLUS
DOCUMENT NUMBER: 101:40224
TITLE: Linear fluorine-containing anionic compounds
PATENT ASSIGNEE(S): Dainippon Ink and Chemicals, Inc., Japan; Kawamura Physical and Chemical Research Institute
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59048449	A2	19840319	JP 1982-158087	19820913
JP 03021015	B4	19910320		
PRIORITY APPLN. INFO.:			JP 1982-158087	19820913

AB Anionic surfactants are prepared which contain polyfluoroalkyl groups and urea linkages, thiourea linkages, or carbonamide groups. Thus, a 0.1% aqueous solution of C6F13SO2NH(CH2)3NMeCONH(CH2)2SO3Na [90851-81-3] had foaming power 202 mm in H2O and 198 mm in seawater and surface tension 17.3 dyne/cm.
IT 90851-73-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with sodium sulfite)
RN 90851-73-3 CAPLUS
CN Butanamide, 4-chloro-N-[3-[[(heptadecafluorooctyl)sulfonyl]methylamino]-2-hydroxypropyl]-N-methyl- (9CI) (CA INDEX NAME)



IT 90851-87-9
RL: TEM (Technical or engineered material use); USES (Uses)
(surfactants)
RN 90851-87-9 CAPLUS
CN 1-Butanesulfonic acid, 4-[[3-[[(heptadecafluorooctyl)sulfonyl]methylamino]-2-hydroxypropyl]methylamino]-4-oxo-, monosodium salt (9CI) (CA INDEX NAME)



● Na

L12 ANSWER 125 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L12 ANSWER 126 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1977:171847 CAPLUS
DOCUMENT NUMBER: 86:171847
TITLE: [D-2-(1,4-Cyclohexadienyl)Gly]6-DES-Gly10-LRH nonapeptide amides
INVENTOR(S): Foell, Theodore J.; Rees, Richard W. A.
PATENT ASSIGNEE(S): American Home Products Corp., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3992530	A	19761116	US 1975-638385	19751208
BE 847419	A1	19770419	BE 1976-171618	19761019
NL 7611753	A	19770610	NL 1976-11753	19761022
FR 2334369	A1	19770708	FR 1976-32138	19761025
FR 2334369	B1	19790223		
GB 1553524	A	19790926	GB 1976-44198	19761025
DE 2648829	A1	19770616	DE 1976-2648829	19761027
JP 52071469	A2	19770614	JP 1976-135118	19761108
PRIORITY APPLN. INFO.:			US 1975-638385 A	19751208

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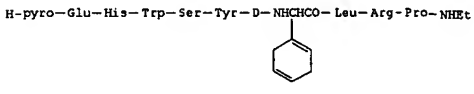
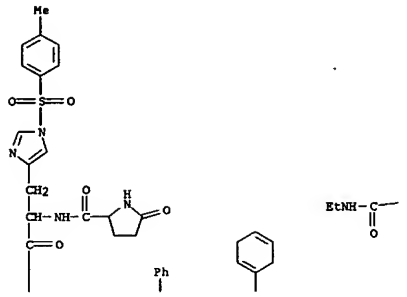


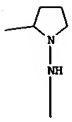
I

AB The LH-releasing hormone analog I was prepared by the solid-phase method. Thus, H-pyroGlu-His(SO2C6H4Me-4)-Trp-Ser(CH2Ph)-Tyr(CH2C6H3Cl2-2,6)-D-Cgl-Leu-Arg(SO3C6H4Me-4)-Pro-resin)II, Cgl = 2-(1,4-cyclohexadienyl)glycyl] was prepared by stepwise solid-phase couplings in which Me3CO2C-D-Cgl-OH was used. II was treated with EtNH2 and deblocked with HF to give I. Preimplantation and postimplantation inhibition of pregnancy in rats was accomplished by the s.c. administration of I at 200 μg/day. I can be useful as a morning-after contraceptive in mammals and an antilittering agent for control of rodent populations.
IT 62526-87-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)
RN 62526-87-8 CAPLUS
CN L-Prolinamide, 5-oxo-L-prolyl-1-[(4-methylphenyl)sulfonyl]-L-histidyl-L-tryptophyl-O-(phenylmethyl)-L-seryl-O-[(2,6-dichlorophenyl)methyl]-L-tyrosyl-D-2-(1,4-cyclohexadien-1-yl)glycyl-L-leucyl-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl-N-ethyl- (9CI) (CA INDEX NAME)

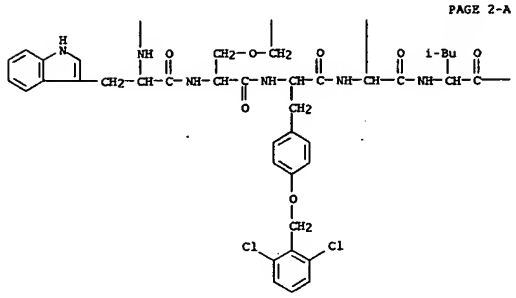
PAGE 1-A



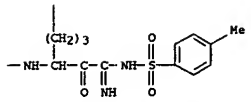
PAGE 1-B



PAGE 2-A



PAGE 2-B



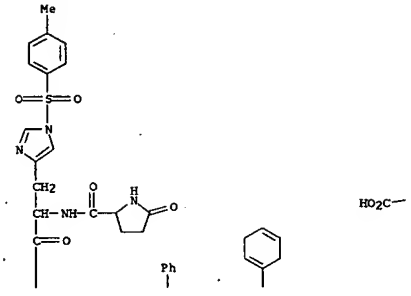
IT **62526-86-7DP**, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with ethylamine)

RN 62526-86-7 CAPLUS

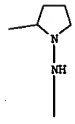
CN L-Proline, 1-[N2-[N-[D-2-(1,4-cyclohexadien-1-yl)-N-[O-[(2,6-dichlorophenyl)methyl]-N-[N-[N-[1-[(4-methylphenyl)sulfonyl]-N-(5-oxo-L-prolyl)-L-histidyl]-L-tryptophyl]-O-(phenylmethyl)-L-seryl]-L-tyrosyl]glycyl]-L-leucyl]-N5-[imino[[(4-methylphenyl)sulfonyl]amino]methyl]-L-ornithyl]- (9CI) (CA INDEX NAME)

L12 ANSWER 126 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

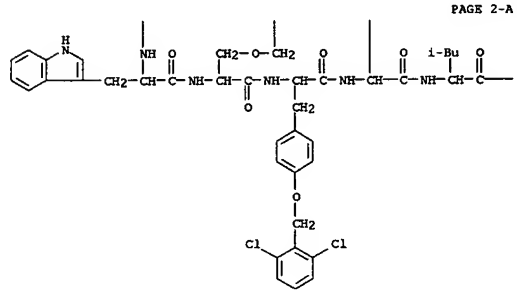


PAGE 1-B

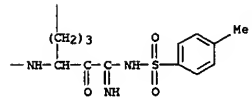


L12 ANSWER 126 OF 126 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A



PAGE 2-B



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	135.18	701.85

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-19.71	-39.42

STN INTERNATIONAL LOGOFF AT 14:18:13 ON 12 AUG 2005